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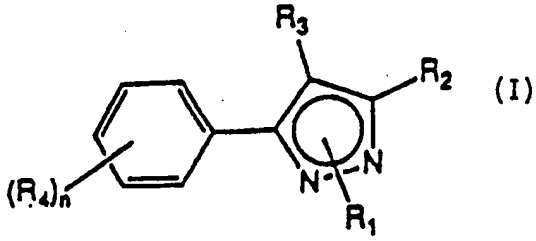

(57) Abstract

The invention herein relates to substituted-arylpyrazole compounds according to formula (I), and agriculturally-acceptable salts and hydrates thereof wherein R_1 is independently alkyl; cycloalkyl, cycloalkenyl, cycloalkylalkyl, or cycloalkenylalkyl; alkenyl or alkynyl; benzyl; and said R_1 members substituted with halogen, amino, nitro, cyano, hydroxy, alkoxy, alkylthio, (a), (b), YR_{10}, or $NR_{11}R_{12}$; R_2 is haloalkyl; R_3 is halogen; R_4 is an R_1 member, thioalkyl, alkoxyalkyl or polyalkoxyalkyl, carbamyl, halogen, amino, nitro, cyano, hydroxy, C_{1-10} heterocycle containing O, $S(O)_m$ and/or NR_{18} hetero atoms, aryl, aralkyl or alkaryl, (c), (d), YR_{15} or $NR_{16}R_{17}$ group and any two R_4 groups combined through a saturated and/or unsaturated carbon, -(C=X)-, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of R_4 members; X is O, $S(O)_m$, NR_{19} or $CR_{20}R_{21}$; Y is O, $S(O)_m$ or NR_{22}; R_{8-22} are hydrogen or one of the R_4 members; m is 0-2 and n is 1 to 5, herbicidal compositions containing same, herbicidal methods of use and processes for preparing said compounds.

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+ DESIGNATIONS OF "SU"

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HERBICIDAL SUBSTITUTED ARYL-HALOALKYLPYRAZOLES

FIELD OF THE INVENTION

The field of the invention contemplated herein pertains to herbicidal compounds generically defined by the above title, to compositions containing same and processes for preparing said compounds.

BACKGROUND OF THE INVENTION

Various substituted 3-aryl- and 5-arylpyrazole type compounds are known in the literature. Such compounds have various utilities, e.g., as chemical intermediates, pharmaceuticals and herbicides.

Among the substituted 3-aryl-5-(halo)alkyl-pyrazoles and 5-aryl-3-(halo)alkylpyrazoles in the art are those having a variety of substituent radicals on the aryl and/or pyrazole moieties of the compound, e.g., alkyl, carboxyl, alkoxycarbonyl, formyl, phenyl and phenyl substituted with various groups such as alkyl, halo or nitro groups, etc. For example, compounds of this type are known wherein the aryl moiety is a substituted or unsubstituted phenyl radical, in which the substituent radicals are alkyl, cycloalkyl, alkaryl, halogen, trifluoromethyl, etc., and the pyrazolyl radical is substituted in various positions on the nitrogen or carbon atoms with alkyl, halogen, alkoxy, hetero-cycles, $S(O)_nR$ members, wherein n is 0-2 and R may be a variety of radicals such as those substituted on the aryl or pyrazole moieties.

Compounds of the above type having utility as herbicides, typically require application rates as high as five or ten or more kilograms per hectare to achieve adequate weed control. Accordingly, it is an object of this invention to provide a novel class of arylpyrazole-type compounds having uniquely high phytotoxic unit

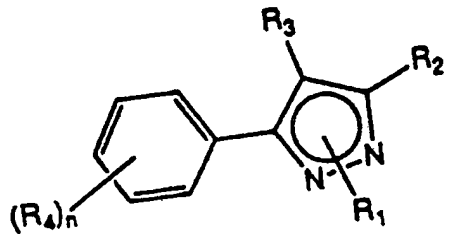
activity against a spectrum of weeds, including narrow-leaf and broadleaf weeds yet maintain a high degree of safety in a plurality of crops, especially small grains and/or row crops such as wheat, barley, corn, soybeans, peanuts, etc.

The 1-(halo)alkyl-3-(substituted)aryl-4-halo-5-haloalkylpyrazoles and 1-(halo)alkyl-5-(substituted)-aryl-4-halo-3-haloalkylpyrazoles described herein are new.

SUMMARY OF THE INVENTION

This invention relates to herbicidally-active compounds, compositions containing these compounds, processes for making them and herbicidal methods of using the same.

The herbicidal compounds of this invention are characterized by the structure of Formula I

I 

and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is independently C_{1-8} alkyl; C_{3-8} cycloalkyl, cycloalkenyl, cycloalkylalkyl, or cycloalkenylalkyl; C_{2-8} alkenyl or alkynyl; benzyl; wherein the above members may be optionally substituted with halogen, amino, nitro, cyano, hydroxy, alkoxy, alkylthio,

$-CYR_8$, $-CR_9$, YR_{10}, or $NR_{11}R_{12}$;

R_2 is C_{1-5} haloalkyl;

R_3 is halogen;

R_4 is an R_1 member, thioalkyl, alkoxyalkyl or polyalkoxyalkyl, carbamyl, halogen, amino, nitro, cyano,

hydroxy, C_{1-10} heterocycle containing 1-4 O, $S(O)_m$ and/or NR_{18} hetero atoms, C_{6-12} aryl, aralkyl or alkaryl, $-CYR_{13}$, $-CR_{14}$, YR_{15} or $NR_{16}R_{17}$ group. Any two R_4 groups may be combined through a saturated and/or unsaturated carbon, -(C=X)-, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of the R_4 members;

X is O, $S(O)_m$, NR_{19} or $CR_{20}R_{21}$;

Y is O, $S(O)_m$ or NR_{22};

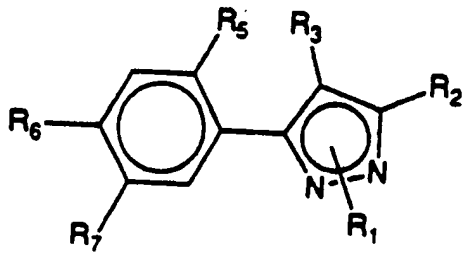
R_{8-22} are hydrogen or one of the R_4 members;

m is 0-2 and

n is 1-5.

A preferred subgenus of the substituted-arylpyrazolyl compounds in this invention are those according to Formula II

II



and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is C_{1-5} alkyl, alkylthio, alkoxyalkyl, C_{2-4} alkenyl, benzyl, which members may optionally be substituted with halogen, amino, nitro, cyano, hydroxy or $-C(=X)-YR_8$ groups;

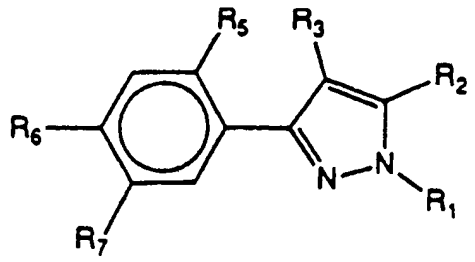
R_2, R_3, X, Y and R_8 are as defined for Formula I;

R_5 is halogen or hydrogen;

R_6 and R_7 are as defined for the R_4 member of Formula I.

Particularly preferred compounds of this invention are those according to Formula III

III



and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is C_{1-5} alkyl;

R_2, R_3 and R_5 are as previously defined;

R_6 is halogen, nitro, cyano, YR_{10};

R_7 is hydrogen or an R_4 member and

R_6 and R_7 are combined through a saturated and/or unsaturated carbon, -(C=X)-, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of the R_4 members, provided that when said linkage contains

$$-\overset{O}{\overset{\|}{C}}-NR_{18}-,$$

said cyclic ring has at least six ring members and

X, Y, R_{18} and m are as previously defined.

Still more preferred are compounds according to Formula III and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is methyl;

R_2 is CF_3, CF_2Cl or CF_2H;

R_3 is chloro or bromo;

R_5 is fluoro;

R_6 is chloro;

R_7 is propargyloxy, allyloxy, polyalkoxy, $OCH(R_{23})COR_{24}$ where R_{23} is hydrogen, methyl or ethyl and R_{24} is YR_{10} or $NR_{11}R_{12}$;

R_6 and R_7 are combined through an $-OCH_2(C=O)N(R_{18})-$linkage to give a fused six member ring and

Y, R_{10}-R_{12} and R_{18} are as previously defined.

Preferred species according to this invention include the following:

4-Chloro-3-(4-chloro-2-fluoro-5-propargyl-oxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

2-(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)propanoic acid, ethyl ester,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, 1-methylethyl ester,

4-Chloro-3-(4-chloro-2-fluoro-5-(methoxymethoxy)phenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

4-Chloro-3-(4-chloro-2-fluoro-5-(methoxyethoxy)phenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, 1,1-dimethylethyl ester,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-acetic acid,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-ethoxy-1-methyl-2-oxoethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-methoxy-1-methyl-2-oxoethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, ethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 1-methylethyl ester and

6-(4-Chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3-(4H)-one.

While all of the above compounds have exhibited particularly efficacious use in a plurality of crops, tests to date indicate that those of most preferred interest are the Compound Nos. 135, 137, 261, 282 and 446. These compounds collectively provide outstanding control of resistant broadleaf weeds such as pigweed, cocklebur, velvetleaf and hemp sesbania in various crops such as corn, soybean and nuts and in forestry against trees and vines. Other of the compounds of this invention exhibit excellent herbicidal effect against weeds in other crops such as wheat and barley.

Some of the compounds of the present invention may have more than one possible stereoisomer and these stereoisomers may differ in herbicidal efficacy. The structures illustrated are intended to include all possible stereoisomers.

The above compounds may be suitably applied in a variety of application modes, e.g., pre-emergent and/or postemergent, surface applied, pre-plant incorporated, etc.

Another aspect of this invention relates to processes for preparing the compounds according to Formulae I-III and their precursors, intermediates

and/or starting materials. These process aspects will be discussed in more detail below.

Other aspects of this invention relate to herbicidal compositions containing the compounds of Formulae I-III and to herbicidal methods of using those compositions to control undesirable weeds.

It is further within the purview of this invention that the substituted-arylpyrazole compounds of Formulae I-III be formulated in compositions containing other herbicidal compounds as co-herbicides, e.g., acetamides, esp., acetanilides, thiocarbamates, ureas, sulfonylureas, sulfonamides, imidazolinones, benzoic acid and its derivatives, diphenyl ethers, salts of glyphosate, etc.

Other additaments may be included in such herbicidal formulations as desired and appropriate, e.g, antidotes (safeners) for the herbicide(s), plant disease control agents, such as fungicides, insecticides, nematicides and other pesticides.

As used herein, the terms "alkyl", "alkenyl", alkynyl" when used either alone or in compound form, e.g., haloalkyl, haloalkenyl, alkoxy, alkoxyalkyl, etc., are intended to embrace linear or branched-chain members. Preferred alkyl members are the lower alkyls having from 1 to 4 carbon atoms and preferred alkenyl and alkynyl members are those having from 2 to 4 carbon atoms.

The term "haloalkyl" is intended to mean alkyl radicals substituted with one or more halogen (chloro, bromo, iodo or fluoro) atoms; preferred members of this class are those having from 1 to 4 carbon atoms, especially the halomethyl radicals, e.g., trifluoromethyl. In polyhaloalkyl members, the halogens can all be the same or mixed halogens.

Representative, non-limiting alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl and cycloalkenylalkyl members include the following:

Methyl, ethyl, the isomeric propyls, butyls, pentyls, hexyls, heptyls, octyls, nonyls, decyls, etc.; vinyl, allyl, crotyl, methallyl, the isomeric butenyls, pentenyls, hexenyls, heptenyls, octenyls; ethynyl, the isomeric propynyls, butynyls, pentynyls, hexynyls, etc.; the alkoxy, polyalkoxy, alkoxyalkyl and polyalkoxyalkyl analogs of the foregoing alkyl groups, e.g., methoxy, ethoxy, propoxys, butoxys, pentoxys and hexoxys and corresponding polyalkoxys and alkoxyalkyls, e.g., methoxymethoxy, methoxyethoxy, ethoxymethoxy, ethoxyethoxy, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, propoxymethyl, isopropoxymethyl, butoxymethyl, isobutoxymethyl, tertbutoxymethyl, pentoxymethyl, hexoxymethyl, etc., cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, etc.; the isomeric cyclopentenes, cyclohexenes and cycloheptenes having mono- or di-unsaturation; representative aryl, aralkyl and alkaryl groups include phenyl, the isomeric tolyls and xylyls, benzyl, naphthyl, etc.

Representative mono-, di- and tri- haloalkyl members include: chloromethyl, chloroethyl, bromomethyl, bromoethyl, iodomethyl, iodoethyl, chloropropyl, bromopropyl, iodopropyl, 1,1,-dichloromethyl, 1,1-dibromomethyl, 1,1-dichloropropyl, 1,2-dibromopropyl, 2,3-dibromopropyl, 1-chloro-2-bromoethyl, 2-chloro-3-bromopropyl, trifluoromethyl, trichloromethyl, etc.

Representative heterocyclic members include: alkylthiodiazolyl; piperidyl; piperidylalkyl; dioxolanylalkyl, thiazolyl; alkylthiazolyl; benzothiazolyl; halobenzothiazolyl; furyl; alkyl-substituted furyl; furylalkyl; pyridyl; alkylpyridyl; alkyloxazolyl; tetrahydrofurylalkyl; 3-cyanothienyl; thienylalkyl; alkyl-substituted thienyl; 4,5-polyalkylene-thienyl; piperidinyl; alkylpiperidinyl; pyridyl; di- or tetra-

hydropyridinyl; alkyltetrahydromorpholyl; alkylmorpholyl; azabicyclononyl; diazabicycloalkanyl, benzoalkylpyrrolidinyl; oxazolidinyl; perhydrooxazolidinyl; alkyloxazolidyl; furyloxazolidinyl, thienyloxazolidinyl, pyridyloxazolidinyl, pyrimidinyloxazolidinyl, benzooxazolidinyl, C_{3-7} spirocycloalkyloxazolidinyl, alkylaminoalkenyl; alkylideneimino; pyrrolidinyl; piperidonyl; perhydroazepinyl; perhydroazocinyl; pyrazolyl; dihydropyrazolyl; piperazinyl; perhydro-1,4-diazepinyl; quinolinyl, isoquinolinyl; di-, tetra- and perhydroquinolyl - or - isoquinolyl; indolyl and di- and perhydroindolyl and said heterocyclic members substituted with radicals such as defined in Formulae I-III.

By " agriculturally-acceptable salts" of the compounds defined by the above formulae is meant a salt or salts which readily ionize in aqueous media to form a cation or anion of said compounds and the corresponding salt anion or cation, which salts have no deleterious effect on the herbicidal properties of a given herbicide and which permit formulation of various mixtures, e.g., herbicide-antidote compositions without undue problems of mixing, suspension, stability, applicator equipment use, packaging, etc.

By "herbicidally-effective" is meant the amount of herbicide required to effect a meaningful injury or destruction to a significant portion of affected undesirable plants or weeds. Although of no hard and fast rule, it is desirable from a commercial viewpoint that 80-85% or more of the weeds be destroyed, although commercially significant suppression of weed growth can occur at much lower levels, particularly with some very noxious, herbicide-resistant plants.

DETAILED DESCRIPTION OF THE INVENTION

The compounds according to this invention are suitably prepared by a variety of processes as will be described below.

In broad aspect, the preferred overall process for preparing the compounds of Formulae I-III is best viewed in the separate process steps required to get the necessary intermediates, immediate precursors and end products of the above formulae. The products of "Process I" provide the intermediates necessary for "Processes II-XVI". The products according to Formulae I-III are prepared by either a single process "II-XVI" or any combination of "Processes II-XVI". It is expressly understood that various modifications obvious to those skilled in the art are contemplated. Specific embodiments are described in Examples 1-42 below.

In the sequence of process steps described below, the various symbols defining radical substituents, e.g., R_1-R_{24}, X, Y, etc. have the same meanings as defined for the compounds of Formulae I-III, unless otherwise qualified or limited.

Process I

This process describes the preparation of important intermediate compounds of Formula B, or isomeric mixtures thereof, which are useful in the overall process scheme for producing compounds of Formulae I-III.

A B

The process for the preparation of a compound of Formula B suitably proceeds from compounds of Formula A. Compounds of Formula A are prepared by known means from substituted acetophenones, which also are known in the art; the structure shown for Formula A is meant to embody all possible tautomeric forms or mixtures thereof. Compounds of Formula A can be prepared in any anhydrous solvent or mixture of solvents; the preferred solvents are ether, alcohols, dimethylsulfoxide, toluene, benzene, etc., by reacting a substituted acetophenone in the presence of an ester with a strong base such as an alkali alkoxide, alkali amide or alkali hydride with alkali alkoxides such as sodium methoxide being preferred. Reaction temperature is in the range of -100°C to 200°C, preferably -78°C to 50°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction, the compound of Formula A is isolated by diluting the reaction mixture with water, which may be followed by acidification of the aqueous layer or, alternatively, by diluting the reaction mixture with aqueous acid. Subsequently, the product is isolated by a method such as crystallization or solvent extraction. If necessary, the product is purified by standard methods. The cyclization of this intermediate to give compounds of Formula B can be carried out in any suitable solvent by treatment with hydrazine or substituted hydrazines with alkylhydrazines being preferred. Reaction temperature is in the range of -78°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary,

the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

In the case of the addition of hydrazine to compounds of Formula A, the resultant pyrazole of Formula C may be treated with an alkylating agent to obtain compounds of Formula B. In this case, products of Formula B can be obtained by treatment of the above compound with an alkylating agent such as methyl iodide, benzyl bromide, allyl bromide, dimethylsulfate, etc. The preferred solvents are toluene, dimethylsulfoxide, acetone, dimethylformamide, dioxane, etc. The reaction may be carried out with or without a base. In cases in which a base is employed, alkali metal carbonates or hydroxides such as sodium carbonate or sodium hydroxide may be used. Reaction temperature is in the range of -78°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

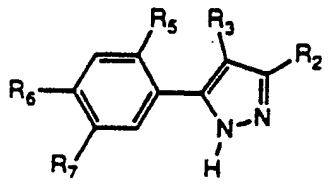
C B

Compounds illustrated by Formula C can exist in two possible tautomeric forms, either a 5-aryl-pyrazole or a 3-arylpyrazole. The 5-arylpyrazole depicted in Formula C is meant to include both possible tautomeric forms. Table 1 shows typical examples of compounds of Formula C.

In all tables herein, boiling points and melting points are measured in degrees Centigrade (°C) and unless otherwise indicated refractive indices are at 25°C.

TABLE 1

PHYSICAL DATA FOR 1-H-5-ARYLPYRAZOLES



Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, °C)
1	CF_3	H	H	F	H	114.5-116.5
2	CF_3	H	Cl	F	H	116.5-117.5
3	CF_2Cl	H	F	Cl	OCH_3	177.0
4	CF_2CF_3	H	F	Cl	OCH_3	135.0
5	CF_3	H	F	H	F	156.0-157.0
6	CF_3	H	F	F	H	157.0-158.0
7	CF_3	H	H	Cl	H	150.0-151.0
8	CF_2Cl	H	H	Cl	H	148.5-150.0
9	CF_3	H	F	Cl	OCH_3	209.0-210.0
10*	CF_3	Cl	F	Cl	OCH_3	186.0
11	CF_3	H	F	Cl	H	152.0-154.0
12	CF_2H	H	F	H	F	146.0
13	CF_3	H	F	Cl	CH_3	159.0-160.0
14	CF_3	H	F	OCH_3	H	138.0

* Compound No. 10 was prepared from Compound No. 9 by Process II.

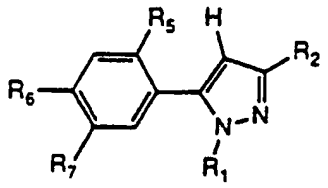
The 2-fluoro-4-chloro-5-methoxyacetophenone, used to prepare compound Nos. 3, 4 and 9 by the above process, was prepared from 2-chloro-4-fluoroanisole, which can be obtained from 2-chloro-4-fluorophenol by methods known in the art (C. A. Buehler and D. E. Pearson, Survey of Organic Synthesis, pages 285-382, Wiley-Interscience, New York, 1970). Treatment of 2-chloro-4-fluoroanisole with titanium tetrachloride and dichloromethylmethylether at room temperature gives 2-fluoro-4-chloro-5-methoxybenzaldehyde. The 2-fluoro-4-chloro-5-methoxybenzaldehyde is converted to 2-fluoro-4-chloro-5-methoxyacetophenone by treatment with methyl Grignard followed by oxidation using standard methods known in the art.

The above mentioned 2-fluoro-4-chloro-5-methoxyacetophenone and its analogous precursor, 2-fluoro-4-chloro-5-methoxybenzaldehyde and processes for preparing them are the discovery of other inventors (Bruce C. Hamper and Kindrick L. Leschinsky) employed by the assignee herein.

Tables 2 and 3 show typical examples of compounds prepared by Process I.

TABLE 2

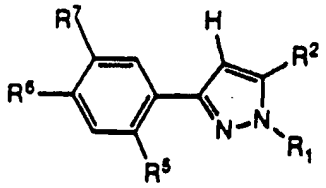
PHYSICAL DATA FOR 1-ALKYL-5-ARYLPYRAZOLES



Compound No.	R_1	R^2	R^5	R^6	R^7	physical data (mp; nD)
15	CH_3	CF_3	Cl	Cl	H	85.0°C
16	$CH(CH_3)_2$	CF_3	F	Cl	OCH_3	75.0°C
17	CF_2H	CF_3	F	Cl	OCH_3	76.0°C
18	CH_3	CF_3	H	NO_2	H	116.5-121.0°C
19	CH_3	CF_3	H	NO_2	OCH_3	105.0-107.0°C
20	CH_3	CF_3	F	H	F	38.0-39.0°C
21	CH_3	CF_3	F	F	H	37.0-38.0°C
22	CH_3	CF_3	H	Cl	H	26.6-28.3°C
23	CH_3	CF_2Cl	H	Cl	H	31.0-32.0°C
24	CH_3	CF_3	F	Cl	OCH_3	119.5°C
25	CH_2CH_3	CF_3	F	Cl	OCH_3	84.0°C
26	$CH_2CO_2CH_3$	CF_3	F	Cl	OCH_3	98.5°C
27	CH_3	CF_3	H	OCH_3	NO_2	140.0°C
28	CH_3	CF_3	Cl	Cl	F	nD; 1.5221 (25°C)
29	CH_3	CF_3	F	Cl	H	70.0-72.0°C
30	CH_3	CF_2H	F	H	F	83.0°C
31	*n*-butyl	CF_3	F	Cl	OCH_3	nD; 1.5068 (25°C)
32	*n*-propyl	CF_3	F	Cl	OCH_3	78.0°C
33	benzyl	CF_3	F	Cl	OCH_3	viscous oil
34	allyl	CF_3	F	Cl	OCH_3	58.0°C
35	CH_3	CF_3	F	Cl	CH_3	50.0-52.0°C

TABLE 3

PHYSICAL DATA FOR 1-ALKYL-3-ARYLPYRAZOLES



Compound No.	R_1	R^2	R^5	R^6	R^7	physical data (mp, nD)
36	CH_3	CF_3	Cl	Cl	H	45.0°C
37	CH_3	CF_3	F	OCH_3	H	nD 1.5139 (25°C)
38	CH_3	CF_3	Cl	F	H	clear oil
39	CH_3	CF_3	H	NO_2	H	101.0-103.0°C
40	CH_3	CF_3	F	H	F	nD 1.4925 (25°C)
41	CH_3	CF_3	F	Cl	OCH_3	121.0°C
42	CH_3	CF_3	F	F	H	51°C
43	CH_3	CF_3	H	Cl	H	55.5-57.5°C
44	CH_3	CF_2Cl	H	Cl	H	39.3-40.1°C
45	Et	CF_3	F	Cl	OCH_3	73.5°C
46	CH_3	CF_3	H	OCH_3	NO_2	133.0°C
47	CH_3	CF_3	Cl	Cl	F	35.0-38.0°C
48	CH_3	CF_3	F	Cl	H	45.0-47.0°C
49	CH_3	CF_2H	F	H	F	48.0-49.0°C
50	CH_3	CF_3	F	Cl	CH_3	48.0-49.0°C
51	$CH_2CO_2CH_3$	CF_3	F	Cl	OCH_3	116.5°C
52	*n*-butyl	CF_3	F	Cl	OCH_3	42.0°C
53	n-propyl	CF_3	F	Cl	OCH_3	72.0°C
54	$CH(CH_3)_2$	CF_3	F	Cl	OCH_3	69.5°C
55	CF_2H	CF_3	F	Cl	OCH_3	116.5°C
56	benzyl	CF_3	F	Cl	OCH_3	69.0°C
57	allyl	CF_3	F	Cl	OCH_3	55.0°C
58	CH_3	CF_2H	F	Cl	CH_3	42.0-43.0°C
59	CH_3	CF_2CF_3	F	Cl	OCH_3	84.0-85.0°C
60	CH_3	CF_2Cl	F	Cl	OCH_3	73.0-74.0°C
61	CH_3	CF_3	H	F	H	clear oil

Process II

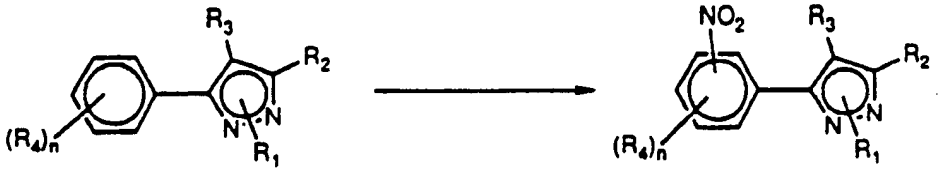
In this process description, one class of products according to Formula I wherein R_3 is halogen is prepared by the halogenation of the corresponding compound of Formula B. In this process, R_1 can be as previously defined and further include hydrogen.

B I

Any inert solvent may be used in this reaction that does not markedly hinder the reaction from proceeding or the reaction may be carried out neat. Such solvents include, but are not limited to, organic acids, inorganic acids, hydrocarbons, halogenated hydrocarbons, aromatic hydrocarbons, ethers and sulfides, sulfoxides or sulfones. Halogenating agents suitable for the above reaction include bromine, chlorine, N-bromosuccinimide, N-chlorosuccinimide, sulfuryl chloride, etc. With some halogenating agents it is preferable to use an organic peroxide or light as a catalyst. The amount of halogenating agent can range from less than one molar equivalent to an excess. Reaction temperature is in the range of -100°C to 200°C, preferably 10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product is isolated by diluting the reaction mixture with water and the product is isolated by a method such as crystallization or solvent extraction. If necessary, the product is purified by standard methods.

Process III

This section describes a process for the preparation of compounds according to Formula D (a Formula I compound in which one of the R_4 residues is a nitro group) starting with compounds according to Formula I.

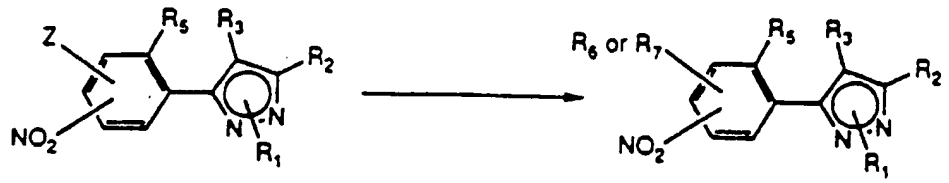


I D

Nitrating agents such as concentrated nitric acid, fuming nitric acid, mixtures of nitric acid with concentrated sulfuric acid, alkyl nitrates and acetyl nitrate are suitable for this reaction. Solvents such as mineral acids, organic acids, organic solvents, such as acetic anhydride or methylene chloride, and water or mixtures of these solvents may be used. The nitrating agent may be used in equimolar amounts or in excess. Reaction temperature is in the range of -100°C to 200°C, preferably -10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several days depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product is isolated by diluting the reaction mixture with water and the product is isolated by methods such as crystallization or solvent extraction. If necessary, the product is purified by standard methods.

Process IV

In this process description, one class of products according to Formula F (one species of Formula II compounds) is prepared by displacement of the Z radical of the corresponding compound of Formula E, wherein Z is any suitable leaving group of the previously defined R_4 members.



E F

Formation of products of Formula F can be carried out by treatment of compounds of Formula E with an alkoxide, thioalkoxide, amine, etc., or an alcohol, mercaptan, amine, etc., in the presence of a base in any suitable solvent or mixture of solvents. The preferred solvents are dimethylsulfoxide, acetone, dimethylformamide, dioxane, water, etc. or mixtures of solvents including two phase mixtures (such as water and methylene chloride or other organic solvent). The base may be an organic base (such as a trialkylamine or another organic amine) or an inorganic base (an alkali carbonate such as potassium carbonate or sodium carbonate or an alkali metal hydroxide such as sodium hydroxide). In the case of two immiscible liquid phases, it may be advantageous to add a phase transfer catalyst such as a benzyl-trialkylammonium halide or other ammonium salt. Reaction temperature is in the range of -100°C to 200°C, preferably -10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Process V

In this process description, compounds of Formula I are prepared from compounds of Formula G (Formula I compounds in which one of the R_4 members is a nitro residue).

G I

A. In the first step of this two step process, compounds according to Formula G are reduced to give a derivative according to Formula I wherein one of the R_4 radicals is an amine group. Reducing agents suitable in an acidic medium include, but are not limited to, metals such as iron, zinc, or tin. The reaction solvent can include either organic or inorganic acids, such as acetic acid or hydrochloric acid, and may be used as concentrated acid solutions or dilute aqueous solutions. Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc.

After completion of the reaction the product is isolated by diluting the reaction mixture with water and the product is isolated by a method such as crystallization or solvent extraction. If necessary, the product is purified by standard methods.

Alternatively, compounds of Formula G may be reduced by catalytic hydrogenation. For catalytic hydrogenation, which may be carried out at atmospheric or elevated pressures, suitable catalysts include Raney nickel, palladium-carbon, palladium black, palladium on any suitable support, palladium oxide, platinum, platinum black, etc. Solvents include any inert solvent which does not markedly hinder the reaction including alcohols, ethers, etc. The product is isolated after completion of the reaction by filtration and concentration of the reaction mixture. If necessary, the

product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

B. The amine radical of the product of step A can be converted to a variety of functional groups, e.g., a halogen (preferred), cyano, hydroxyl, etc. In the case of conversion of the amine radical to a halogen, a solution or slurry of the product of step A is treated with copper salts including cupric halides, cuprous halides, mixtures of cupric and cuprous halides or other copper salts and their mixtures and with an alkyl nitrite or organic nitrite such as t-butylnitrite. In this reaction any suitable solvent may be employed, although, anhydrous solvents such as anhydrous acetonitrile are preferred. Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Alternative process operations for converting the amine radical to various functional groups, including those mentioned in the preceding paragraph include use of various conventional procedures, e.g., the Sandmeyer, Meerwein, etc., reactions which employ diazonium salts as intermediates.

Process VI

In this process description, compounds according to Formula I, wherein one of the R_4 members is YH, are prepared from compounds according to Formula I wherein one of the R_4 members is YR_{15} and R_{15} is not hydrogen.

The reaction can be carried out as a solution or suspension in any suitable solvent or neat. A Lewis acid such as, but not limited to, BBr_3, $AlCl_3$, etc., or inorganic or organic acids such as concentrated or aqueous hydrochloric acid, sulfuric acid, hydrobromic acid, acetic acid, etc., can be employed. Alternatively, nucleophilic reagents for dealkylation may be employed including trimethylsilyl iodide, cyanide salts, mercaptide salts, alkali metal halides, etc. Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Process VII

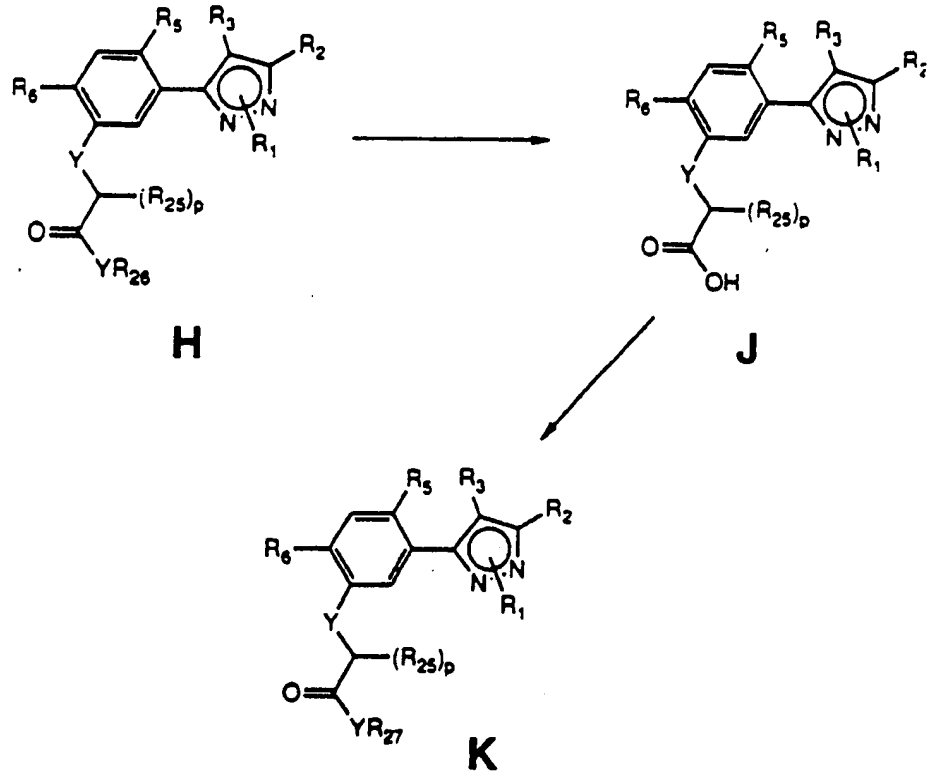
In this process description, compounds according to Formula I (which includes Formulae II and III compounds), wherein one of the R_4 members is YR_{15} and R_{15} is not hydrogen, are prepared from compounds according to Formula I wherein one of the R_4 members is YH or $NR_{16}R_{17}$.

In representative embodiments of this process, formation of products defined above can be carried out by treatment of the starting material with an alkylating agent such as an alkyl halide or alkyl sulfonate, e.g., methyl iodide, allyl bromide, propargyl bromide, methyl phenylsulfonate, etc., or an acylating agent. The reaction may be carried out in any suitable solvent or mixture of solvents, with or without a catalyst, in the presence or absence of a base. The preferred solvents are dimethylsulfoxide, acetone, dimethylformamide, dioxane, etc., or mixtures of solvents including two phase mixtures (such as water and methylene chloride or other organic solvent). In the case of two immiscible

liquid phases, it may be advantageous to add a phase transfer catalyst such as a benzyltrialkylammonium halide or other ammonium salt. The base may be an organic base (such as a trialkylamine or another organic amine) or an inorganic base (an alkali carbonate or metal, such as potassium or sodium carbonate or sodium hydroxide). Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Process VIII

This process describes the preparation of compounds of Formula K (Formula II compounds wherein R_7 is $YCH_{2-p}(R_{25})_pCOYR_{27}$) from the corresponding compounds of Formula H. The radicals R_{25-27} are as previously defined for the said R_4 members; the Y members are independently as previously defined and p is an integer from 0 to 2.



A. In the first step of this two step process, compounds of Formula H are converted to compounds of Formula J by hydrolysis of the YR_{26} radical. The reaction can be carried out in any suitable solvent or mixture of solvents, with or without a catalyst, in the presence of a base or acid. The preferred solvents are water, alcohols, dioxane, dimethylsulfoxide, acetic acid, acetone, dimethylformamide, etc. In the case of base hydrolysis, inorganic bases such as alkali hydroxides are preferred. For acid hydrolysis, inorganic acids such as concentrated hydrochloric acid or sulfuric acid, organic acids or mixtures of such acids may be employed. Reaction temperature is in the range of about 0°C to 200°C, preferably 10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product is isolated by diluting the

reaction mixture with water and/or treating the solution with acid (in the case of base hydrolysis) and the product is isolated by a method such as crystallization or solvent extraction. If necessary, the product is purified by standard methods.

B. The last step of this process is meant to include the transformation of compounds of Formula J to compounds of Formula K by any of the variety of standard techniques for the preparation of derivatives of carboxylic acids. This process step is an esterification or an amide-forming reaction. The esterification can be carried out by using an excess of the alcohol corresponding to the objective ester in the presence of a mineral acid (e.g., sulfuric acid). The amide derivatives can be prepared by treating compounds of Formula J with the desired amine either neat or in a suitable solvent. The esterification or amide-forming reactions can also be carried out in the presence of an inert solvent and a dehydrating agent.

Alternatively, the product of step A can be converted to an acid halide or anhydride and treated with an alcohol or amine. Preparation of the acid halide is carried out in the presence of a halogenating agent such as, but not limited to, thionyl chloride, phosphorus pentachloride, oxalyl chloride, etc., with or without an inert solvent. Any inert solvent which does not interfere with the reaction may be employed. A catalytic amount of an amine base such as triethylamine, pyridine or dimethylformamide or the like may be added for the purpose of promoting this reaction. The reaction temperature is in the range of -20°C to the boiling point of the solvent used. The reaction period ranges from several minutes to 48 hours depending upon the amounts of reactants used and the reaction temperature. After completion of the reaction, the excess halogenating reagent and solvent(s) are removed from the

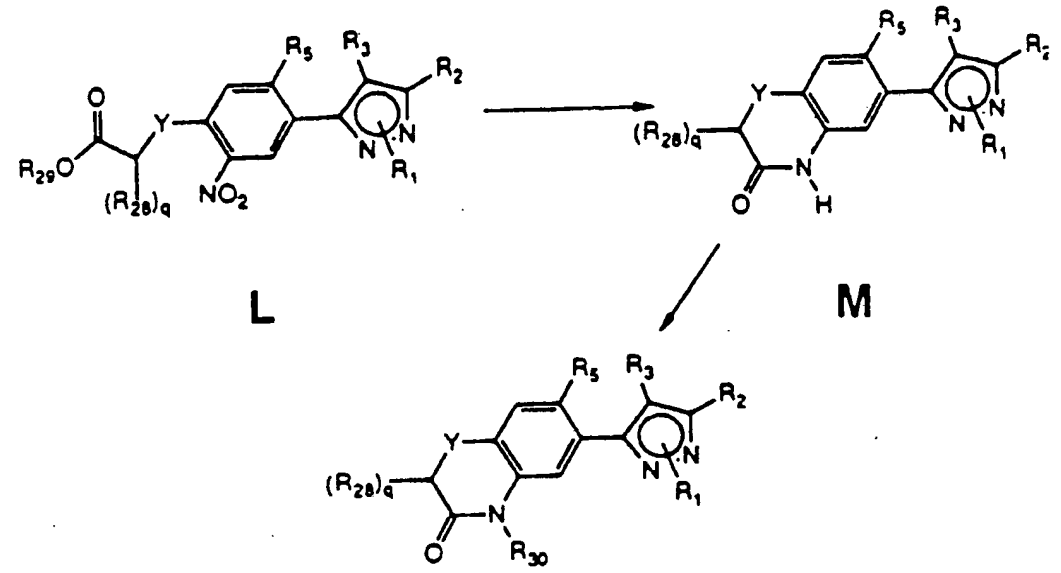
reaction product by evaporation or distillation. The resultant acid halide may be subjected to an amine or alcohol directly or purified by the usual means.

The acid halide is treated with an alcohol or amine to give a compound of Formula K. The reaction can be carried out in the absence of a solvent, in the presence of an inert solvent or with a mixture of solvents including two phase mixtures (such as water and methylene chloride or other organic solvent). A base such as triethylamine, pyridine, alkali metal hydroxide and/or a catalytic amount of a phase transfer catalyst such as a benzyltrialkylammonium halide or other ammonium salt may be added for the purpose of promoting this reaction. The reaction temperature is in the range of -20° C to the boiling point of the solvent used. The reaction period ranges from several minutes to 48 hours depending upon the amounts of reactants used and the reaction temperature. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Compounds required as starting materials for Processes IX through XI are obtained by the above Processes II-VIII.

Process IX

In this process description, compounds according to Formula N are prepared from compounds according to Formula L (Formula II compounds wherein R_6 is $YCH_{2-q}(R_{28})_qCOOR_{29}$, R_7 is a nitro radical, Y is as previously defined, q is an integer from 0 to 2 and radicals R_{28-30} are as previously defined for the said R_4 members), as described below.



L M

N

A. In the first step of this two step process, compounds according to Formula L are converted to compounds of Formula M by reduction of the nitro radical to an amine radical and subsequent cyclization. By choice of the reaction conditions, one can obtain either the uncyclized amine (Formula L compounds wherein the nitro radical is substituted by an amine radical) or the cyclized product. Typically, reaction conditions are chosen such that the cyclized product is obtained directly. Alternatively, the uncyclized amine can be isolated by standard methods and cyclized to give compounds of Formula M in a separate step using standard conditions. Reducing agents suitable in an acidic medium include, but are not limited to, metals such as iron, zinc or tin. The reaction solvent can include either organic or inorganic acids, such as acetic acid

or hydrochloric acid, and may be used as concentrated acid solutions or dilute aqueous solutions. Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc.

After completion of the reaction the product is separated by diluting the reaction mixture with water and isolated by a method such as crystallization or solvent extraction. If necessary, the product is purified by standard methods.

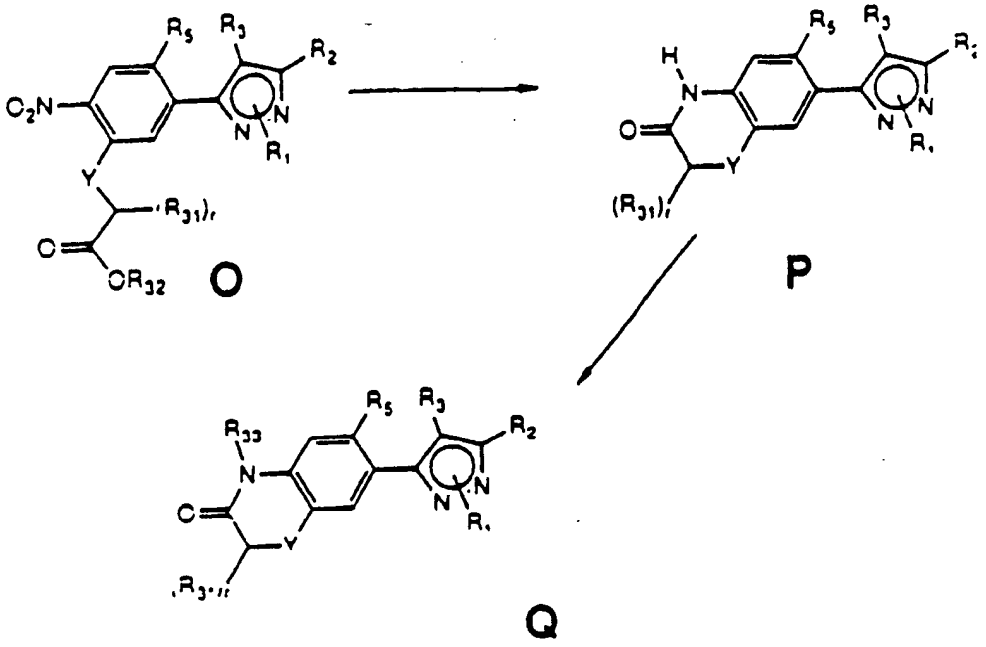
Alternatively, compounds of Formula L may be reduced by catalytic hydrogenation. For catalytic hydrogenation, which may be carried out at normal or elevated pressures, suitable catalysts include Raney nickel, palladium-carbon, palladium black, palladium on any suitable support, palladium oxide, platinum, platinum black, etc. Solvents include any inert solvent which does not markedly hinder the reaction including alcohols, ethers, etc. By choice of the reaction conditions, one can obtain either the uncyclized amine (Formula L compounds wherein the nitro radical is substituted by an amine radical) or the cyclized product. Typically, reaction conditions are chosen such that the cyclized product is obtained directly. Alternatively, the uncyclized amine can be isolated by standard methods and cyclized to give compounds of Formula M in a separate step using standard conditions. The product is isolated after completion of the reaction by filtration and concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

B. In this step the product of step A is converted to compounds of Formula N. Formation of products defined above can be carried out by treatment of compounds of Formula M with an alkylating agent such

as an alkyl halide or alkyl sulfonate, e.g., methyl iodide, allyl bromide, propargyl bromide, methyl phenylsulfonate, etc., or an acylating agent. The reaction may be carried out in any suitable solvent or mixture of solvents, with or without a catalyst, in the presence or absence of a base. The preferred solvents are dimethylsulfoxide, acetone, dimethylformamide, dioxane, etc., or mixtures of solvents including two phase mixtures (such as water and methylene chloride or other organic solvent). In the case of two immiscible liquid phases, it may be advantageous to add a phase transfer catalyst such as a benzyltrialkylammonium halide or other ammonium salt. The base may be an organic base (such as a trialkylamine or another organic amine) or an inorganic base such as potassium or sodium carbonate or hydroxide. Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Process X

In this process description, compounds according to Formula Q wherein R_{33} is not hydrogen are prepared from compounds according to Formula O (Formula II compounds wherein R_6 is a nitro radical, R_7 is $YCH_{2-r}(R_{31})_rCOOR_{32}$, Y is as previously defined, r is an integer from 0 to 2 and radicals R_{31-33} are as previously defined for the said R_4 members.



O P Q

A. In the first step of this two step process, compounds according to Formula O are converted to compounds of Formula P by reduction of the nitro radical to an amine radical and subsequent cyclization. By choice of the reaction conditions, one can obtain either the uncyclized amine (Formula O compounds wherein the nitro radical is substituted by an amine radical) or the cyclized product. Typically, reaction conditions are chosen such that the cyclized product is obtained directly. Alternatively, the uncyclized amine can be isolated by standard methods and cyclized to give compounds of Formula P in a separate step using standard conditions. Reducing agents suitable in an acidic medium include, but are not limited to, metals such as iron, zinc or tin. The reaction solvent can include either organic or inorganic acids, such as acetic acid or hydrochloric acid, and may be used as concentrated acid solutions or dilute aqueous solutions. Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc.

After completion of the reaction the product is separated by diluting the reaction mixture with water and isolated by a method such as crystallization or solvent extraction. If necessary, the product is purified by standard methods.

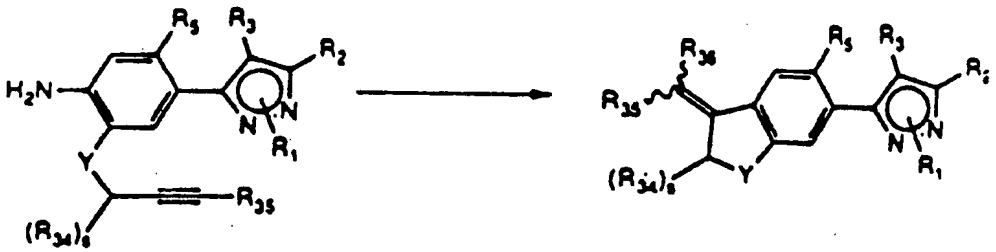
Alternatively, compounds of Formula O may be reduced by catalytic hydrogenation. For catalytic hydrogenation, which may be carried out at normal or elevated pressures, suitable catalysts include Raney nickel, palladium-carbon, palladium black, palladium on any suitable support, palladium oxide, platinum, platinum black, etc. Solvents include any inert solvent which does not markedly hinder the reaction including alcohols, ethers, etc. By choice of the reaction conditions, one can obtain either the uncyclized amine (Formula O compounds wherein the nitro radical is substituted by an amine radical) or the cyclized product. Typically, reaction conditions are chosen such that the cyclized product is obtained directly. Alternatively, the uncyclized amine can be isolated by standard methods and cyclized to give compounds of Formula P in a separate step using standard conditions. The product is isolated after completion of the reaction by filtration and concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

B. In this step the product of step A is converted to compounds of Formula Q wherein R_{33} is not hydrogen. Formation of products defined above can be carried out by treatment of compounds of Formula P with an alkylating agent such as an alkyl halide or alkyl sulfonate, e.g., methyl iodide, allyl bromide, propargyl bromide, methyl phenylsulfonate, etc., or an acylating agent. The reaction may be carried out in any suitable solvent or mixture of solvents, with or without a catalyst, in the presence or absence of a base. The

preferred solvents are dimethylsulfoxide, acetone, dimethylformamide, dioxane, etc. The base may be an organic base (such as a trialkylamine or another organic amine) or an inorganic base such as potassium or sodium carbonate or hydroxide. Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Process XI

This section describes a process for the preparation of compounds according to Formula S from compounds of Formula R (Formula II compounds wherein R_6 is an amino radical, R_7 is $YC(R_{34})_sCCR_{35}$, Y is as previously defined, s is an integer from 0 to 2 and the radicals R_{34-36} are any of the previously defined R_4 members).



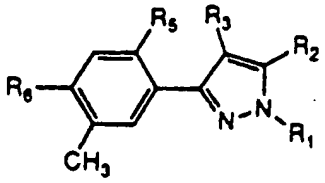
R S

The process for the preparation of compounds of Formula S suitably proceeds from compounds of Formula R. In this reaction any suitable solvent may be employed, although anhydrous solvents such as anhydrous acetonitrile are preferred. A solution or slurry of a compound of Formula R is treated with copper salts including cupric halides, cuprous halides, mixtures of

cupric and cuprous halides or other copper salts and their mixtures and with an alkyl nitrite or organic nitrite such as t-butylnitrite. Reaction temperature is in the range of 0°C to 200°C, preferably 10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

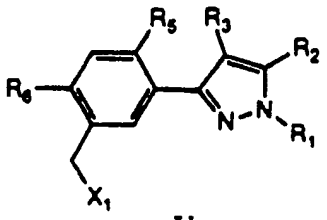
Process XII

This process describes the preparation of compounds of Formulae U, V, W, X, Y or Z (Formula II compounds in which the R_7 substituent is alkyl, substituted alkyl, haloalkyl, carboxaldehyde, carboxylic acid or a carboxylic acid derivative such as the previously defined $CXYR_8$ or CXR_9) from compounds of Formula T. The radicals R_{37} and R_{38} are as previously defined for the R_4 members and X_1 and X_2 are halogens. Process schematics are shown below.



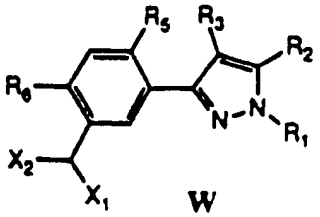
halogenation

T

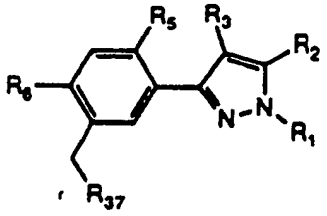


U

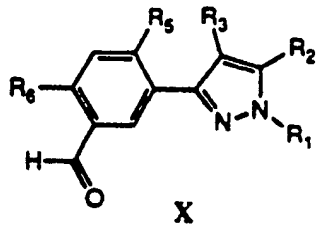
+



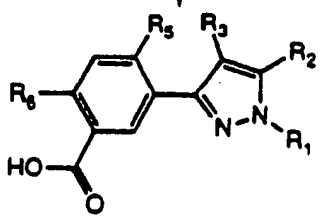
W



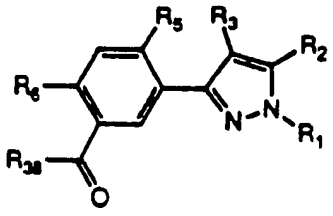
V



X



Y



Z

In the first step of this process, compounds of Formula T are converted to either compounds of Formula U or W or a mixture of these products. Any inert solvent may be used in this reaction that does not markedly hinder the reaction from proceeding. Such solvents include, but are not limited to, organic acids, inorganic acids, hydrocarbons, halogenated hydrocarbons, aromatic hydrocarbons, ethers, sulfoxides or sulfones. Halogenating agents suitable for the above reaction include bromine, chlorine, N-bromosuccinimide, N-chlorosuccinimide, sulfuryl chloride, etc. With some halogenating agents it is preferable to use an organic peroxide or light as a catalyst. The amount of halogenating agent can range from less than one molar amount to an excess. Reaction temperature is in the range of -78°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product or products are isolated by diluting the reaction mixture with water and the product(s) are isolated by a method such as crystallization or solvent extraction. If necessary, the product(s) are purified by standard methods.

Compounds of Formula U can be converted to compounds of Formula V by displacement of the halogen radical X_1 by a suitable nucleophile. Formation of products of Formula V can be carried out by treatment of compounds of Formula U with an alkoxide, thioalkoxide, cyanide, amine, alkyl or aryl anion, etc., or an alcohol, mercaptan, amine, etc., in the presence of a base in any suitable solvent or mixture of solvents. The preferred solvents are dimethyl-sulfoxide, acetone, dimethylformamide, dioxane, water, etc., or mixture of solvents including two-phase mixtures (such as water and methylene chloride or other organic solvent). The base may be an organic base (such as a trialkylamine or another organic amine) or an inorganic base (an alkali

carbonate such as potassium carbonate or sodium carbonate or an alkali metal hydroxide such as sodium hydroxide). In the case of two immiscible liquid phases, it may be advantageous to add a phase transfer caralyst such as a benzyltrialkylammonium halide or other ammonium salt. Reaction temperature is in the range of -78°C to 200°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. The product is isolated after completion of the reaction by filtration and/or concen-tration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Formation of products of Formula X can be carried out by acid hydrolysis of compounds of Formula W. To effect acid hydrolysis, compounds of Formula W are subjected to an excess of a mineral acid such as hydrochloric acid or sulfuric acid, with excess of sulfuric acid being preferred. Reaction temperature is in the range of 0°C to the boiling point of the inert solvent, preferably 10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product or products are separated by diluting the reaction mixture with water and are isolated by a method such as crystallization or solvent extraction. If necessary, the product(s) are purified by standard methods.

Compounds of Formula Y are obtained by oxidation of Formula X compounds. Any suitable inert solvent may be employed in this reaction including hydrocarbons, aromatic hydrocarbons, pyridine and its

derivatives, water, etc. Oxidizing agents employed include, but are not limited to, potassium permanganate or potassium dichromate. Reaction temperature is in the range of- 50°C to the boiling point of the inert solvent, preferably 10°C to 100°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product or products are separated by diluting the reaction mixture with water and isolated by a method such as crystallization or solvent extraction. If necessary, the product(s) are purified by standard methods.

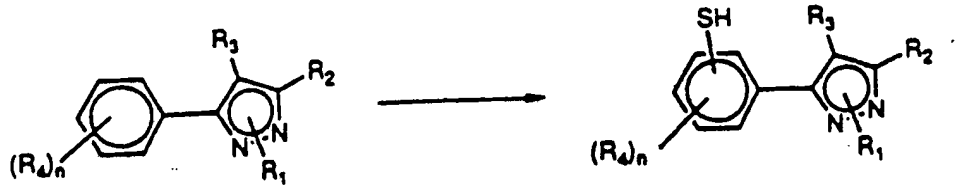
The last step of this process is meant to include the transformation of compounds of Formula Y to compounds of Formula Z by any of the variety of standard techniques for preparation of derivatives of carboxylic acids. This process step is an esterification or an amide-forming reaction. This may be accomplished directly from compound of Formula Y or via an alkali metal salt of compound of Formula Y. The esterification can be carried out by using an excess of the alcohol corresponding to the objective ester in the presence of a mineral acid (e.g., sulfuric acid). The amide derivatives can be prepared by treating compound of Formula Y with the desired amine either neat or in a suitable solvent. The esterification or amide-forming reactions can also be carried out in the presence of an inert solvent and a dehydrating agent.

Alternatively, compounds of Formula Y can be converted to an acid halide or anhydride and treated with an alcohol or amine. Preparation of the acid halide is carried out in the presence of a halogenating agent such as, but not limited to, thionyl chloride, phosphorus pentachloride, oxalyl chloride, etc., with or without an inert solvent. Any inert solvent which does not interfere with the reaction may be employed. A

catalytic amount of an amine base such as triethylamine, pyridine or dimethylformamide or the like may be added for the purpose of promoting this reaction. The reaction temperature is in the range of -20°C to the boiling point of the solvent used. The reaction period ranges from several minutes to 48 hours depending upon the amounts of reactants used and the reaction temperature. After completion of the reaction, the excess halogenating reagent and solvent(s) are removed from the reaction product by evaporation or distillation. The acid halide is treated with an alcohol or amine to give a compound of Formula Z. The reaction can be carried out in the absence of a solvent, in the presence of an inert solvent or with a mixture of solvents including two phase mixtures (such as water and methylene chloride or other organic solvent). A base such as triethylamine, pyridine, alkali metal and/or a catalytic amount of a phase transfer catalyst such as a benzyltrialkylammonium halide or other ammonium salt may be added for the purpose of promoting this reaction. The reaction temperature is in the range of -20°C to the boiling point of the solvent used. The reaction period ranges from several minutes to 48 hours depending upon the amounts of reactants used and the reaction temperature. The product is isolated after completion of the reaction by filtration and/or concdentration of the reaction mixture. If necessary, the product is purified by standard methods such as extraction, crystallization, column chromatography, etc.

Process XIII

This section describes a process for the preparation of compounds according to Formula I in which one of the R_4 residues is a thiol group (Formula AA) starting with compounds according to Formula I.



I AA

In this process, the desired compounds are obtained by preparation of a halosulfonyl intermediate followed by reduction to give compounds of Formula AA. Any solvent may be employed that does not hinder the progress of the reaction such as halogenated hydrocarbons, ethers, alkylnitriles, mineral acids, etc. An excess of chlorosulfonic acid is preferred as both the reagent and solvent for the formation of chlorosulfonyl intermediates. The reaction temperature is in the range of 25°C to the boiling point of the solvent employed. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product or products are isolated by diluting the reaction mixture with water and the product(s) are isolated by a method such as crystallization or solvent extraction. If necessary, the product(s) are purified by standard methods.

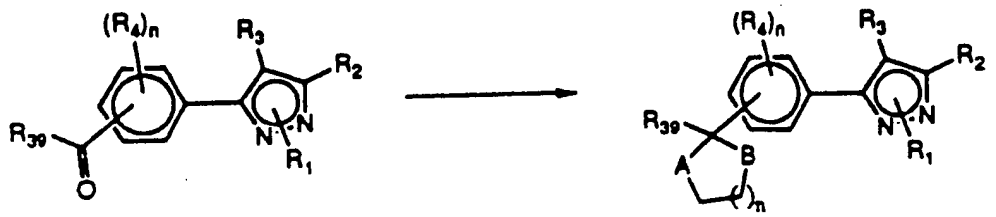
Reduction of the halosulfonyl intermediate can be carried out in organic or inorganic acids, such as acetic acid or hydrochloric acid, or mixtures of these acids in inert solvents. Reducing agents suitable in an acidic medium include, but are not limited to, metals such as iron, zinc or tin. Reaction temperature is in the range of 0°C to 150°C, preferably 10°C to 120°C. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc.

After completion of the reaction the product is isolated by diluting the reaction mixture with water and the product is isolated by a method such as crystal-

lization or solvent extraction. If necessary, the product is purified by standard methods.

Process XIV

This section describes a process for the preparation of compounds according to Formula I in which one of the R_4 residues is a cyclic (thio)ketal or (thio)-acetal radical (Formula CC) starting with compounds according to Formula BB.



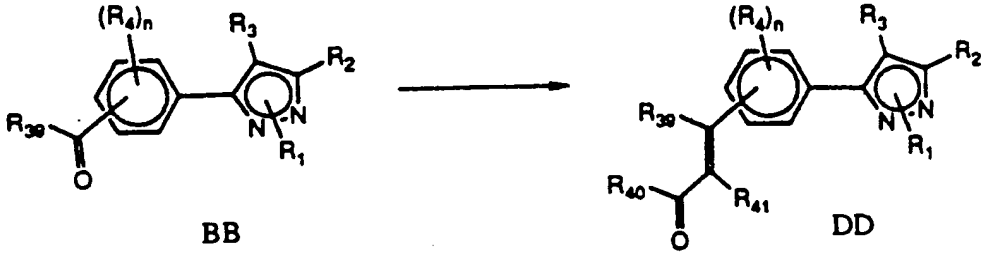
BB CC

R_{39} is hydrogen or a previously-defined R_4 member; A and B are independently O or S and n is an integer from 0 to 2. In this process, the desired compounds of Formula CC are prepared from compounds of Formula BB by conversion of the carbonyl group to a cyclic (thio)acetal or (thio)ketal group. The aldehyde or ketone group of a compound of Formula BB is treated with a diol, dithiol or hydroxythiol. Any solvent may be employed that does not hinder the progress of the reaction such as halogenated hydrocarbons, aromatic hydrocarbons, ethers, alkyl-nitriles, mineral acids, etc. Alternatively, the reaction may be carried out in the absence of a solvent. Typically, the reaction is carried out in the presence of an acid such as mineral acids, organic acids, etc. The reaction temperature is in the range of 25°C to the boiling point of the solvent employed. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product or products are isolated by concentration of the reaction mixture and purified by a

method such as crystallization or solvent extraction. If necessary, the product(s) are further purified by standard methods.

Process XV

This section describes a process for the preparation of compounds according to Formula DD starting with compounds according to Formula BB.



BB DD

R_{39}-R_{41} are hydrogen or previously-defined R_4 members. Compounds of Formula DD are prepared by conversion of the ketone or aldehyde group of compounds of Formula BB to an alkene group. This transformation can be carried out by treatment of a compound of Formula BB with a Wittig type reagent such as an alkylidenephosphorane, ylides derived from phosphonium salts or phosphonate esters, alkylidenesulfuranes, etc. Suitable solvents include, but are not limited to, aromatic hydrocarbons, alcohols, alkanes, ethers, halogenated hydrocarbons, etc. The reaction temperature is in the range of -50°C to the boiling point of the solvent employed. The reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction temperature, etc. After completion of the reaction the product or products are isolated by concentration of the reaction mixture and the product(s) are purified by a method such as crystallization or solvent extraction. If necessary, the product(s) are further purified by standard methods.

Process XVI

This section describes a process for the preparation of compounds according to Formula EE starting with compounds according to Formula BB.

BB EE

R_{39} and R_{42} are as previously defined for the R_4 members. In this process step, compounds of Formula EE which have an oxime substituent as one of the phenyl radicals are prepared from compounds of Formula BB. The ketone or aldehyde substituent of a compound of Formula BB can be converted to an oxime by either of two methods. The starting aldehyde or ketone of Formula BB can be treated with an O-substituted oxime to afford an oxime of Formula EE. This compound may be further derivatized by standard methods known by those skilled in the art. Examples of this approach include, but are not limited to, treatment of the aldehyde or ketone with (aminooxy)acetic acid or other 2-(aminooxy)carboxylic acids and conversion of the resultant carboxylic acid to any of a number of carboxylic acid derivatives such as amides, esters, thioesters, etc. Alternatively, the oxime can be prepared by treatment of compounds of Formula BB with hydroxylamine or hydroxylamine salts. The resultant oxime can be alkylated to afford derivatives by treatment with an alkylating agent such as alkyl halides, alkyl sulfonates, etc. Suitable solvents for the above reactions include, but are not limited to, aromatic hydrocarbons, alkanes, ethers, alcohols, halogenated hydrocarbons, etc. The reaction temperature is in the range of -50°C to the boiling point of the solvent employed. The reaction may be carried out with or without a base. In cases in which a base is employed, sodium acetate, alkali metal carbonates such as sodium carbonate or alkali metal hydroxides such as sodium hydroxide may be used. The

reaction period may be chosen from the range of a few minutes to several weeks depending on the amounts of reagents, reaction tempera-ture, etc. After completion of the reaction the product or products are isolated by concentration of the reaction mixture and the product(s) are purified by a method such as crystallization or solvent extraction. If necessary, the product(s) are further purified by standard methods.

The following Examples 1-42 describe specific working embodiments for the preparation of representative compounds according to this invention.

Examples 1 through 4 describe specific working embodiments of Process I.

Example 1

This example describes the preparation of 3-(2,5-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 40) and of 5-(2,5-difluorophenyl)-1-methyl-3-(trifluoromethyl)-1H-pyrazole (Compound No. 20).

A. 28.5g of 2,5-difluoroacetophenone and 26g of ethyl trifluoroacetate were stirred in 400ml of anhydrous ether and cooled in an ice bath. 42ml of 25 wt % sodium methoxide in methanol was then added over 5 minutes. After stirring 1 hour at room temperature, the reaction mixture was extracted with water, the water acidified and extracted with methylene chloride to give 42g of 1-(2,5-difluorophenyl)-3-(trifluoromethyl)-propane-1,3-dione.

B. 34.5g of 1-(2,5-difluorophenyl)-3-(trifluoromethyl)-propane-1,3-dione was dissolved in 250ml of acetic acid and 9.5 mL of methylhydrazine slowly added. The mixture was heated at 100°C for 5 minutes then cooled and diluted with ether. The ether solution was washed with water and potassium carbonate solution, then dried with magnesium sulfate, filtered

and concentrated. The residue was chromatographed to give 9.5 g of 3-(2,5-difluorophenyl)-1-methyl-5-(trifluoro-methyl)-1H-pyrazole.

Anal. Calc. for $C_{11}H_7N_2F_5$: C,50.39%; H,2.69%; N,10.68%.
Found: C,50.48%; H,2.72%; N,10.64%.

and 21.11g of 5-(2,5-difluorophenyl)-1-methyl-3-(trifluoromethyl)-1H-pyrazole (mp 38-39°C).

Anal. Calc. for $C_{11}H_7N_2F_5$: C,50.39%; H,2.69%; N,10.68%.
Found: C,50.63%; H,2.65%; N,10.40%.

Example 2

This example describes the preparation of 5-(2,4-difluorophenyl)-3-(trifluoromethyl)-1H-pyrazole (Compound No. 6).

A. To a solution of 40.0g (0.256 moles) 2',4'-difluoroacetophenone (commercially available) in 400mL diethyl ether at 0°C was added 40mL (0.405 moles) ethyl trifluoroacetate. At 5°C, 80mL of a 25% wt. sodium methoxide in methanol (0.37 moles) were added over 15 minutes. The reaction mixture was stirred overnight at 25°C. The mixture was poured over 300 mL ice water and 21.3mL (0.37 moles) acetic acid were added. The organic layer was washed two times with water, dried over anhydrous $MgSO_4$, and concentrated *in vacuo* to give 62.85g (97%) 4-(2,4-difluorophenyl)-1,1,1-trifluoro-4-hydroxy-3-buten-2-one as a yellow oil; ^{1}HNMR ($CDCl_3$) ppm: 6.61 (s,1H), 6.87 (m,1H), 6.97 (m,1H), 7.97 (m,1H).

Anal. Calc. for $C_{10}H_5F_5O_2$; C,47.64; H,2.00.
Found: C,47.70; H,1.96.

B. At 24°C, 15.0g (0.06 mole) of the product of step A was dissolved in 50mL glacial acetic acid and treated with 2 mL (0.064 mole) anhydrous hydrazine, added over a period of 5 minutes. The reaction was heated to 95°C for 30 minutes. The reaction was cooled and poured into 300mL ice water. The slurry was filtered and the cake washed with water and air dried to

give 13.86g (94%) of 5-(2,4-difluorophenyl)-3-(trifluoromethyl)-1H-pyrazole as a white solid, mp 157-158°C.

Anal. Calc. for $C_{10}H_5F_5N_2$: C,48.40; H,2.03; N,11.29.
Found: C,48.38; H,2.03; N,11.32.

Example 3

This example describes the preparation of 3-(2,4-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 42) and of 5-(2,4-difluorophenyl)-1-methyl-3-(trifluoromethyl)-1H-pyrazole (Compound No. 21).

A slurry of 13.6g (0.055 mole) of the product of step B, 7.7g (0.056 mole) K_2CO_3, and 3.7mL (0.06 mole) methyl iodide in 150mL acetone was stirred overnight at 25°C. The solution was diluted with 300mL cold water and extracted three times with ethyl acetate. The ethyl acetate extracts were washed with brine, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically using 5% ethyl acetate in hexane as the eluent to give 8.3g (58%) of 3-(2,4-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole as a white solid, mp 51°C.

Anal. Calc. for $C_{11}H_7F_5N_2$: C,50.39; H,2.69; N,10.68.
Found: C,50.36; H,2.70; N,10.70.

The chromatography described in the above preparation gave a second fraction which was collected, concentrated and the residue crystallized to give 4.0g (28% yield) 5-(2,4-difluorophenyl)-1-methyl-3-(trifluoromethyl)-1H-pyrazole as a white solid, mp 37-38°C.

Anal. Calc. for $C_{11}H_7F_5N_2$: C,50.39; H,2.69; N,10.68.
Found: C,50.40; H,2.67; N,10.67.

Example 4

This example describes the preparation of 3-(2,5-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 40).

A solution of 8.5g (34 mmole) of dry 5-(2,5-difluorophenyl)-1H-3-(trifluoromethyl)-1H-pyrazole in 100mL of anhydrous toluene was heated to reflux in an apparatus equipped with a Dean-Stark trap and treated with 3.25mL of dimethylsulfate. The mixture was refluxed for 5 hours, allowed to cool and washed with 10% w/v aqueous NaOH. The organic phase was dried with $MgSO_4$ and concentrated to afford 7.74g (86.2%) of a clear, almost colorless oil nD 1.4925 (25°C).

Anal. Calc. for $C_{11}H_7N_2F_5$: C,50.39%; H,2.69%; N,10.68%.
Found: C,50.48%; H,2.72%; N,10.64%.

Examples 5 through 7 describe specific working embodiments of Process II.

Example 5

This example describes the preparation of 4-chloro-5-(2,5-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 361).

At 25°C, 5.24g (0.02 mole) 3-(2,5-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole was dissolved in 40mL glacial acetic acid and 2.1g (0.03 mole) chlorine gas was bubbled in over a period of 1 hour. The reaction mixture was allowed to stir for 2 hours. The reaction solution was poured into 200mL ice water and extracted with ethyl acetate. The organic layer was washed with water, a saturated $NaHCO_3$ solution, brine and dried over anhydrous $MgSO_4$, and stripped *in vacuo*. The residue was purified chromatographically using 3% ethyl acetate in hexane as the eluent to give 5.87g (99%) of 4-chloro-5-(2,5-difluorophenyl)-1-methyl-5-(trifluoro-methyl)-1H-pyrazole as a light yellow oil n^{25}_D 1.4977.

Anal. Calc. for $C_{11}H_6Cl_1F_5N_2$: C,44.54; H,2.04; N,9.44; Cl,11.95.
Found: C,44.53; H,2.00; N,9.44; Cl,11.94.

Example 6

This example describes the preparation of 4-chloro-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 389).

To 5.00g of 3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole dissolved in 50ml of acetic acid was added 15ml of sulfuryl chloride. The mixture was mildly refluxed with 2ml portions of sulfuryl chloride added every 15 minutes. After 6 hours, the mixture was cooled, then diluted with water and extracted with ether. The ether was washed 3 times with water, dried with anhydrous magnesium sulfate, filtered and concentrated. The residue was chromatographed to give a quantitative yield of 4-chloro-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole.

Anal. Calc. for $C_{11}H_5N_3O_2Cl_1F_5$: C,38.67%; H,1.48%; N,12.30%.

Found: C,38.73%; H,1.48%; N,12.34%.

Example 7

This example describes the preparation of 4-chloro-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-(1-methylethyl)-5-(trifluoromethyl)-1H-pyrazole (Compound No. 489).

To a solution of 1.6g of 3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-(1-methylethyl)-5-(trifluoromethyl)-1H-pyrazole in 20mL of dimethylformamide was added 2.0g of N-chlorosuccinimide. The solution was heated to 80°C for 2 hours, allowed to cool and poured into ice water. The aqueous mixture was extracted three times with methylene chloride, the combined organic extracts washed with water, dried with $MgSO_4$ and concentrated to give a crude oil. The oil was purified by chromatography and distilled bulb-to-bulb to afford 1.54g of 4-chloro-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-(1-methylethyl)-5-(trifluoromethyl)-1H-pyrazole as a yellow oil, nD 1.5192 (24°C).

Anal. Calc. for $C_{14}H_{12}N_2O_1F_4Cl_1$: C,45.31%; H,3.26%; N,7.55%.
Found: C,45.19%; H,3.27%; N,7.49%.

Examples 8 through 10 describe specific working embodiments of Process III.

Example 8

This example describes the preparation of 3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 388).

To an ice cooled solution of 50ml of fuming nitric acid (90%) was added slowly 8.29g of 3-(2,5-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole. After addition, the mixture was allowed to warm to room temperature and then gently heated to 52°C. Heated for 2.5 hours, then cooled and poured onto ice. The resulting mixture was extracted with ether and the ether then washed twice with water, dried with anhydrous magnesium sulfate, filtered and the solvent removed by concentration in vacuo. The residue was purified utilizing a combination of chromatography and crystallization to give 5.62g of 3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, mp 80-81°C.

Anal. Calc. for $C_{11}H_6N_3O_2F_5$: C,43.01%; H,1.97%; N,13.68%.
Found: C,42.99%; H,1.97%; N,13.68%.

Example 9

This example describes the preparation of 4-bromo-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 396).

At 15°C, 9.5g (0.03 mole) 4-bromo-3-(2,5-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole was slowly added to 100mL of fuming nitric acid. The

reaction warmed to 28°C over a period of 20 minutes. The reaction mixture was stirred at 30°C for 4 hours. The mixture was poured into 500mL of ice. After stirring for 1 hour, the slurry was extracted 3 times with methylene chloride. The methylene chloride extracts were washed with water, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chroma-tographically using 10% ethyl acetate in hexane as the eluent to give 5.84g (55%) of 4-bromo-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoro-methyl)-1H-pyrazole as a white solid, mp 45.5°C.

Anal. Calc. for $C_{11}H_5Br_1F_5N_3O_2$: C,34.22; H,1.31; N,10.88.

Found: C,34.25; H,1.38; N,10.76.

Example 10

This example describes the preparation of 4-chloro-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(tri-fluoromethyl)-1H-pyrazole (Compound No. 389).

A solution of 5.9g of 4-chloro-5-(2,5-di-fluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole in 6mL of concentrated H_2SO_4 was cooled to 15°C and treated dropwise with a solution of 1.8g of 70% HNO_3 in 2mL of concentrated H_2SO_4. The reaction mixture was allowed to stir at 30°C for 5 hours and subsequently treated with an additional 1.8g of 70% HNO_3. After stirring overnight at room temperature, the mixture was poured into 250mL of ice water and extracted with methylene chloride. The methylene chloride extract was washed three times with saturated aqueous $NaHCO_3$, twice with water, dried with $MgSO_4$ and concentrated *in vacuo*. The resultant material was chromatographed through silica using 10% ethyl acetate in hexane as the eluant to afford 3.93g (58%) of 4-chloro-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole.

Anal. Calc. for $C_{11}H_5N_3O_2Cl_1F_5$: C,38.67%, H,1.48%; N,12.30%.

Found: C,38.73%; H,1.48%; N,12.34.

Examples 11 through 15 describe specific working embodiments of Process IV.

Example 11

This example describes the preparation of 4-chloro-3-(2-fluoro-5-methoxy-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1-pyrazole (Compound No. 390).

5.04g of 4-chloro-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole was dissolved in anhydrous ether and the solution cooled with an ice bath, then 3.7ml of a 25 wt. % sodium methoxide in methanol was added. After addition, the ice bath was removed and the mixture stirred for 30 minutes at room temperature. The solution was then extracted 4 times with water, dried with anhydrous magnesium sulfate, filtered and concentrated. The residue was chromatographed to give 4.63g of 4-chloro-3-(2-fluoro-5-methoxy-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, mp 115-116°C.

Anal. Calc. for $C_{12}H_8N_3O_3Cl_1F_4$: C,40.75%; H,2.28%; N,11.88%.

Found: C,40.84%; H,2.24%; N,11.83%.

Example 12

This example describes the preparation of 4-chloro-3-(2-fluoro-4-methoxy-5-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 387).

At 35°C, 13.7g (0.04 mole) 4-chloro-3-(2,4-difluoro-5-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, 5.5g (0.04 mole) K_2CO_3, and 100mL methanol were stirred for 1 hour. The reaction was cooled, diluted with 100mL cold water, and extracted four times with ethyl acetate. The ethyl acetate extracts were

washed with brine, dried over anhydrous $MgSO_4$, and stripped *in vacuo*. The residue was purified chromatographically using 25% ethyl acetate in hexane as the eluent to give 13.0g (90%) of 4-chloro-3-(2-fluoro-4-methoxy-5-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole as a white solid, mp 116°C.

Anal. Calc. for $C_{12}H_8Cl_1F_4N_3O_3$: C,40.75; H,2.28; N,11.88.
Found: C,40.74; H,2.34; N,11.90.

Example 13

This example describes the preparation of (5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-2-nitrophenyl)thio-acetic acid, ethyl ester (Compound No. 393).

At 25°C, 1.5g (4.5 mmole) 4-chloro-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, 0.69g (5.0 mmole) K_2CO_3, 0.55mL (5.0 mmole) ethyl mercaptoacetate, and 0.05g (0.5 mmole) CuF_2 were slurried in 15mL 1-methyl-2-pyrrolidinone. The reaction mixture was stirred 28°C for 24 hours. The mixture was cooled, diluted with 100mL cold water, and extracted four times with ethyl acetate. The ethyl acetate extracts were washed with brine, dried over anhydrous $MgSO_4$, and stripped *in vacuo*. The residue was purified chromato-graphically using 10% diethyl ether and 15% methylene chloride in hexane as the eluent to give 0.86g (43%) of (5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-2-nitrophenyl)thio-acetic acid, ethyl ester as a yellow solid, mp 79°C.

Anal. Calc. for $C_{15}H_{12}Cl_1F_4N_3O_4S_1$: C,40.78; H,2.74; N,9.51; S,7.26.
Found: C,40.89; H,2.69; N,9.61; S,7.31.

Example 14

This example describes the preparation of 5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-N-methyl-2-nitro-N-propylbenzeneamine (Compound No. 402).

At 25°C, 6.83g (0.02 mole) 4-chloro-3-(2,5-difluoro-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, 4.1g (0.03 mole) K_2CO_3, 3.1mL (0.03 mole) N-methyl-N-propylamine and a catalytic amount of CuF_2 were slurried in 50mL 1-methyl-2-pyrrolidinone. The reaction mixture was stirred at 35°C for 2 hours. The mixture was cooled, diluted with 100mL cold water, and extracted four times with ethyl acetate. The ethyl acetate extracts were washed with brine, dried over anhydrous $MgSO_4$, and stripped *in vacuo*. The residue was purified chromatographically using 15% ethyl acetate in hexane as the eluent to give 6.8g (86%) of 5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-N-methyl-2-nitro-N-propylbenzeneamine as an orange oil, n_D^{25} 1.5534.

Anal. Calc. for $C_{15}H_{15}Cl_1F_4N_4O_2$: C,45.64; H,3.83; N,14.19.

Found: C,45.52; H,3.87; N,14.32.

Example 15

This example describes the preparation of (4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-nitrophenoxy)acetic acid, butyl ester (Compound No. 498).

A solution of 3.4g (0.01 mole) 4-chloro-3-(2,4-difluoro-5-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole and 1.4mL (0.011 mole) butyl glycolate in 25mL anhydrous THF was chilled to 0°C. Maintaining the temperature below 5°C, 0.33g (0.011 mole) NaH was added in portions. Once the addition was completed, the reaction mixture was allowed to warm to 25°C. After 3 hours the mixture was carefully quenched with water and extracted with ethyl acetate. The ethyl

acetate extracts were washed with brine, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically with 20% ethyl acetate/hexanes to yield 3.25g (72%) (4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-nitrophenoxy)acetic acid, butyl ester as a light yellow solid; mp 65°C.

Anal. Calc. for $C_{17}H_{16}Cl_1F_4N_3O_5$: C,45.00; H,3.55; N,9.26.

Found: C,44.97; H,3.56; N,9.29.

Examples 16 through 19 describe specific working embodiments of Process V.

Example 16

This example describes the preparation of 4-chloro-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 312).

A. To a solution of 4.05g of 4-chloro-3-(2-fluoro-5-methoxy-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole in 50ml of acetic acid was added 1.39g (0.0249mol) of iron powder. The reaction mixture was heated near reflux for 2 hours, treated with 1.39g of iron powder, and heated at near reflux for another hour. After cooling, concentrating and chromatography, 3.54g of 4-chloro-3-(4-amino-2-fluoro-5-methoxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole was isolated.

B. 3.064g of 4-chloro-3-(4-amino-2-fluoro-5-methoxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole was dissolved in 50ml of anhydrous acetonitrile and 1.90g of anhydrous cupric chloride added. 1.93ml of t-butyl nitrite (tech., 90%) dissolved in 10ml of anhydrous acetonitrile was then added dropwise over 10 minutes, stirred an additional 20 minutes and then concentrated. The residue was taken up in ethyl acetate, extracted 3 times with 10% aqueous HCl, dried with anhydrous magnesium sulfate, filtered, concentrated

and chromatographed to give 2.10g of 4-chloro-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, mp. 70-71°C.

Anal. Calc. for $C_{12}H_8N_2O_1Cl_1F_4$: C,42.01%; H,2.35%; N,8.16%.

Found: C,42.15%; H,2.34%; N,8.18%.

Example 17

This example describes the preparation of 2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-N-methyl-N-propylbenzenamine (Compound No. 166).

A. A solution of 5.2g (0.013 mole) 5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-N-methyl-2-nitro-N-propylbenzenamine in 100mL acetic acid was heated to 80°C under a nitrogen atmosphere. The heat and nitrogen were removed and 2.2g (0.039) mole iron powder was added in 3 portions over 5 min. The solution was stirred at 80°C for an additional 30 min. The solution was cooled and filtered through Celite®. The filtrate was diluted with 100mL water and extracted three times with ethyl acetate. The ethyl acetate extracts were washed with a saturated $NaHCO_3$ solution, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically using 30% ethyl acetate in hexane as the eluent to give 3.85g (80%) of 5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-N-methyl-N-propyl-1,2-benzenediamine as a light yellow oil, n_D^{25} 1.5352.

Anal. Calc. for $C_{15}H_{17}Cl_1F_4N_4$: C,49.39; H,4.70; N,15.36.

Found: C,49.40; H,4.64; N,15.16.

B. All equipment was flame dried under nitrogen. A solution of 3.35g (9.2 mmole) of the product of step A in 60mL acetonitrile at 25°C was treated with 0.9g (9.2 mmole) CuCl and 1.8g (13.3 mmole)

$CuCl_2$. A solution of 2.2mL (18.4 mmole) 90% t-butyl nitrite was added over 5 minutes. After 2 hours at 28°C the reaction mixture was stripped *in vacuo*. The reaction residue was taken up in ethyl acetate and washed three times with a 10% HCl solution, two times with brine and dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically using 20% ethyl acetate in hexane as the eluent to give 2.45g (70%) of 2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-N-methyl-N-propylbenzenamine as a clear colorless oil, n_D^{25} 1.5030.

Anal. Calc. for	$C_{15}H_{15}Cl_2F_4N_3$:	C,46.89; H,3.94; N,10.94.
	Found:	C,46.84; H,3.83; N,10.93.

Example 18

This example describes the preparation of 4-bromo-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 313).

A. A solution of 3.16g (7.9 mmole) 4-bromo-3-(2-fluoro-5-methoxy-4-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole in 59mL acetic acid was heated to 80°C under a nitrogen atmosphere. The heat and nitrogen were removed and 1.76g (31.6 mmole) iron powder was added in 3 portions over 5 min. The solution was stirred at 80°C for an additional 30 min. The solution was cooled and filtered through Celite®. The filtrate was diluted with 100mL water and extracted three times with diethyl ether. The ether extracts were washed with brine, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically using 40% ethyl acetate in hexane as the eluent to give 2.4g (83%) of 4-(4-bromo-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-methoxy-benzeneamine as a white solid, mp 85-86°C.

Anal. Calc. for $C_{12}H_{10}Br_1F_4N_3O_1$: C,39.15; H,2.74; N,11.41.
Found: C,39.13; H,2.74; N,11.40.

B. All equipment was flame dried under nitrogen. A solution of 6.6g (0.0179 mole) of the product of step A in 100mL acetonitrile was cooled to 5°C. 1.8g (0.018 mole) CuCl and 3.7g (0.027 mole) $CuCl_2$ were added at 5°C. A solution of 4.8mL (0.036 mole) 90% t-butyl nitrite in 15mL acetonitrile was added over 15 minutes. The reaction mixture was stirred at 5°C for 15 minutes and then allowed to warm to 28°C. After 2 hours at 28°C the reaction mixture was stripped in vacuo. The reaction residue was taken up in diethyl ether and washed three times with a 10% HCl solution, two times with brine and dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically using 20% ethyl acetate in hexane as the eluent to give 6.3g (91%) of 4-bromo-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole as a white solid, mp 85-86°C.

Anal. Calc. for $C_{12}H_8Br_1Cl_1F_4N_2O_1$: C,37.19; H,2.08; N,7.23.
Found: C,37.23; H,2.08; N,7.24.

Example 19

This example describes the preparation of 4-chloro-3-(5-chloro-2,4-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 354).

A. A solution of 3.4g (0.01 mole) 4-chloro-3-(2,4-difluoro-5-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole in 50mL acetic acid was heated to 80°C under a nitrogen atmosphere. The heat and nitrogen were removed and 1.7g (0.03 mole) iron powder was added in 3 portions over 5 min. The solution was stirred at 80°C for an additional 30 min. The solution was cooled and filtered through Celite®. The filtrate was diluted

with 100mL water and extracted three times with ethyl acetate. The ethyl acetate extracts were washed with a saturated $NaHCO_3$ solution, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically using 35% ethyl acetate in hexane as the eluent to give 2.46g (79%) of 5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-2,4-difluoro-benzenamine as a white solid, mp 82°C.

Anal. Calc. for $C_{11}H_7Cl_1F_5N_3$: C,42.40; H,2.26; N,13.48.
Found: C,42.40; H,2.26; N,13.49.

B. All equipment was flame dried under nitrogen. A solution of 2.0g (6.4 mmole) of the product of step A in 50mL acetonitrile at 25°C was treated with 0.63g (6.4 mmole) CuCl and 1.2g (9.4 mmole) of $CuCl_2$. A solution of 1.74mL (5.0 mmole) 90% t-butyl nitrite was added over 5 minutes. After 4 hours at 28°C the reaction mixture was stripped in vacuo. The reaction residue was taken up in ethyl acetate and washed three times with a 10% HCl solution, two times with brine and dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically using 10% ethyl acetate in hexane as the eluent to give 1.63g (78%) of 4-chloro-3-(5-chloro-2,4-difluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole as a white solid, mp 50-51°C.

Anal. Calc. for $C_{11}H_5Cl_2F_5N_2$: C,39.91; H,1.52; N,8.46.
Found: C,39.89; H,1.52; N,8.39.

Examples 20 and 21 describe working embodiments of Process VI.

Example 20

This example describes the preparation of 4-chloro-3-(4-chloro-2-fluoro-5-hydroxyphenyl)-1-methyl-

5-(hydroxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 325).

1.39g of 4-chloro-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole was dissolved in 80ml of anhydrous methylene chloride and then cooled with a dry ice/acetone bath and 0.14ml of boron tribromide added. After allowing to warm to room temperature, the mixture was treated with an additional 0.28 ml of boron tribromide. Added was an additional 1.0ml of boron tribromide and stirred at room temperature for 6 hours. After stirring, 30-50ml of ice cooled water was added and the mixture stirred for 10 minutes. The organic phase was extracted with water, dried with anhydrous magnesium sulfate, filtered and concentrated to give 1.28g of 4-chloro-3-(4-chloro-2-fluoro-5-hydroxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, m.p. 123.0-126.0°C.

Anal. Calc. for $C_{11}H_6N_2O_1Cl_2F_4$: C,40.15; H,1.84; N,8.51.

Found: C,40.08; H,1.87; N,8.48.

Example 21

This example describes the preparation of 4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-nitrophenol (Compound No. 429).

A solution of 1.4g (4 mmole) 4-chloro-3-(2-fluoro-4-methoxy-5-nitrophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole in 20mL methylene chloride was chilled to 0°C. Next 5.0mL of a 1M methylene chloride solution of BBr_3 (4.9 mmole) was added slowly over 10 minutes. The solution was allowed to stir overnight at room temperature. The solution was washed two times with water, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was recrystallized from hexane to give 0.7g (54%) of 4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-nitrophenol as a beige solid, mp 89-90°C.

Anal. Calc. for $C_{11}H_6Cl_1F_4N_3O_3$: C,38.90; H,1.78; N,12.37.
Found: C,38.93; H,1.78; N,12.16.

Examples 22 through 24 describe specific working embodiments of Process VII.

Example 22

This example describes the preparation of 4-chloro-3-(4-chloro-2-fluoro-5-progargyloxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 261).

1.01g of 4-chloro-3-(4-chloro-2-fluoro-5-hydroxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, 0.44g of anhydrous potassium carbonate and 0.5 mL of propargyl bromide (80% by wt. in toluene) were dissolved in 20-30 mL of anhydrous DMF. The mixture was heated at 65°C for 90 minutes. After cooling, the mixture was diluted with water and then extracted three times with ether. The combined ether extracts were extracted twice with water, dried with anhydrous magnesium sulfate, filtered, concentrated and chromatographed to give 1.05g of 4-chloro-3-(4-chloro-2-fluoro-5-progargyloxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, mp. 89.5-91.0°C.

Anal. Calc. for $C_{14}H_8N_2O_1Cl_2F_4$: C,45.80%; H,2.20%; N,7.63%.
Found: C,45.93%; H,2.21%; N,7.61%.

Example 23

This example describes the preparation of (4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-nitrophenoxy)acetic acid, ethyl ester (Compound No. 386).

At 25°C, 6.11g (0.018 mole) 4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-nitrophenol, 2.5g (0.019 mole) K_2CO_3, and 2.0mL (0.019

mole) ethyl bromoacetate were slurried in 100mL acetone. The reaction mixture was stirred at 40°C for 4 hours. The mixture was cooled, diluted with 100mL cold water, and extracted four times with ethyl acetate. The ethyl acetate extracts were washed with brine, dried over anhydrous $MgSO_4$, and stripped *in vacuo*. The residue was recrystallized from methylcyclohexane to give 7.5g (99%) of (4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-nitrophenoxy)acetic acid, ethyl ester as a light yellow solid, mp 95-96°C.

Anal. Calc. for $C_{15}H_{12}Cl_1F_4N_3O_5$: C,42.32; H,2.84; N,9.87.

Found: C,42.30; H,2.83; N,9.85.

Example 24

This example describes the preparation of (2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, ethyl ester (Compound No. 290).

At 25°C, 13.16g (0.04 mole) 4-chloro-3-(4-chloro-2-fluoro-5-hydroxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole, 6.1g (0.044 mole) K_2CO_3, and 4.8mL (0.044 mole) ethyl bromoacetate were slurried in 25 mL acetone. The reaction mixture was stirred at 25°C for 16 hours. The reaction solution was poured into 150mL ice water, filtered, washed with water and air dried. The residue was recrystallized from hexane to give 16.6g (100%) of (2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, ethyl ester as a white solid, mp 130-131°C.

Anal. Calc. for $C_{15}H_{12}Cl_2F_4N_2O_3$: C,43.40; H,2.91; N,6.75.

Found: C,43.54; H,2.91; N,6.77.

Examples 25 and 26 describe specific working embodiments of Process VIII.

Example 25

This example describes the preparation of 2-(2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-N-methyl-propanamide (Compound No. 237).

A. To a slurry of 1.4g (3.3 mmole) 2-(2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-propanoic acid, ethyl ester in 50mL water and 30mL 1,4-dioxane was added 1.3mL (3.3 mmole) of a 10% NaOH solution. After 30 minutes, the solution was cooled and the pH adjusted to 3 with concentrated HCl. The reaction mixture was extracted with diethyl ether. The ether solution was washed with water, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was recrystallized from methylcyclohexane to give 1.3g (100%) of 2-(2-chloro-5-(4-chloro-1-methyl-5-(tri-fluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-propanoic acid as a white solid, mp 150-151°C.

Anal. Calc. for $C_{14}H_{10}Cl_2F_4N_2O_3$: C,41.92; H,2.51; N,6.98.

Found: C,41.96; H,2.48; N,7.00.

B. To a solution of 0.8g (2.0 mmole) of the product of step A in 100mL methylene chloride was added 0.5mL (6.0 mmole) oxalyl chloride over 5 minutes, causing the evolution of gas. When this evolution ceased, one drop of DMF was added and the solution stirred until the gas evolution ceased. The solution was stripped to dryness *in vacuo*. The residue was dissolved in 10mL THF and added to a solution of 5mL 40% aqueous methyl amine and 10mL THF at 0°C over 5 minutes. The reaction mixture was allowed to stir for 30 minutes at room temperature. The solution was diluted with 100mL cold water and extracted with ethyl acetate. The ethyl acetate was washed with brine, dried over anhydrous $MgSO_4$ and concentrated *in vacuo*. The solid was recrystallized from methylcyclohexane to give 0.83g (99%) of 2-(2-chloro-5-(4-chloro-1-methyl-5-(trifluoro-

methyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-N-methyl-propanamide as a white solid, mp 134.5-135.5°C.

Anal. Calc. for $C_{15}H_{13}Cl_2F_4N_3O_2$: C,43.50; H,3.16; N,10.16.

Found: C,43.70; H,3.16; N,10.20.

Example 26

This example describes the preparation of 2-(2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)propanoic acid, 3-methyl-butyl ester (Compound No. 288).

To a solution of 1.9g (5.0 mmole) of 2-(2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-propanoic acid in 50mL methylene chloride was added 1.3mL (15.0 mmole) oxalyl chloride over 5 minutes, causing the evolution of gas. When this evolution ceased, one drop of DMF was added and the solution stirred until the gas evolution ceased. The solution was stripped to dryness *in vacuo*. The acid chloride was dissolved in 40mL of 3-methyl-1-butanol and heated to reflux for one hour. The reaction mixture was cooled, diluted with 100mL cold water and extracted with ethyl acetate. The ethyl acetate was washed with brine, dried over anhydrous $MgSO_4$ and concentrated *in vacuo*. The residue was purified chromatographically using 25% ethyl acetate in hexane as the eluent to give 2.17g (95%) of 2-(2-chloro-5-(4-chloro-1-methyl-5-(trifluoro-methyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-propanoic acid, 3-methylbutyl ester as a white solid; mp 128°C.

Anal. Calc. for $C_{18}H_{18}Cl_2F_4N_2O_3$: C,47.28; H,3.97; N,6.13.

Found: C,47.32; H,3.95; N,6.17.

Example 27

This example describes the preparation of 2H-1,4-benzoxazin-3(4H)-one, 6-(4-chloro-1-methyl-5-(tri-fluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-

2H-1,4-benzoxazin-3(4H)-one (Compound No. 446) and is a specific embodiment of Process IX.

A. A solution of 4.5g (0.0106 mole) (4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-nitrophenoxy)-acetic acid, ethyl ester in 75mL acetic acid was heated to 80°C under a nitrogen atmosphere. The heat and nitrogen were removed and 1.8g (0.033 mole) iron powder was added in 3 portions over 5 min. The solution was stirred at 80°C for an additional 3 hours. The solution was cooled and filtered through Celite®. The filtrate was diluted with 100mL water and extracted three times with ethyl acetate. The ethyl acetate extracts were washed with a saturated $NaHCO_3$ solution, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was recrystallized from methylcyclohexane/ethyl acetate to give 2.95g (80%) of 6-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-2H-1,4-benzoxazin-3(4H)-one as a white solid, mp 207°C.

Anal. Calc. for $C_{13}H_8Cl_1F_4N_3O_2$: C,44.65; H,2.31; N,12.02.

Found: C,44.66; H,2.31; N,11.97.

B. At 25°C, 3.0g (8.6 mmole) of the product of step A, 1.22g (6.0 mmole) K_2CO_3 and 0.79mL (8.8 mmole) 80% propargyl bromide were slurried in 50mL acetone. The reaction was stirred at 40°C for 6 hours. The reaction was cooled, diluted with 100mL cold water, and extracted four times with ethyl acetate. The ethyl acetate extracts were washed with brine, dried over anhydrous $MgSO_4$, and stripped *in vacuo*. The residue was recrystallized from methylcyclohexane to give 2.97g (89%) of 2H-1,4-benzoxazin-3(4H)-one, 6-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3(4H)-one as a beige solid, mp 142-143°C.

Anal. Calc. for $C_{16}H_{10}Cl_1F_4N_3O_2$: C,49.57; H,2.60; N,10.84.

Found: C,49.58; H,2.62; N,10.85.

Example 28

This example describes the preparation of 7-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-6-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3(4H)-one (Compound No. 479) and is a specific embodiment of Process X.

A. A solution of 2.3g (5.4 mmole) (5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-2-nitrophenoxy)acetic acid, ethyl ester in 50mL acetic acid was heated to 80°C under a nitrogen atmosphere. The heat and nitrogen were removed and 0.9g (16.2 mmole) iron powder was added in 3 portions over 5 minutes. The solution was stirred at 80°C for an additional 50 minutes. The solution was cooled and filtered through Celite®. The filtrate was diluted with 100mL water and extracted three times with ethyl acetate. The ethyl acetate extracts were washed with a saturated $NaHCO_3$ solution, dried over anhydrous $MgSO_4$ and concentrated *in vacuo*. The residue was recrystallized from methylcyclohexane/ethyl acetate to give 0.96g (50%) of 7-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-6-fluoro-2H-1,4-benzoxazin-3(4H)-one as a white solid, mp 242°C.

Anal. Calc. for $C_{13}H_8Cl_1F_4N_3O_2$: C,44.65; H,2.31; N,12.02.

Found: C,44.61; H,2.27; N,11.99.

B. At 25°C, 2.7g (7.7 mmole) the product of step A, 1.1g (8.0 mmole) K_2CO_3 and 0.9mL (8.0 mmole) 80% propargyl bromide were slurried in 25 mL DMSO. The mixture was stirred at 45°C for 16 hours. The mixture was cooled, diluted with 100mL cold water and extracted four times with ethyl acetate. The ethyl acetate extracts were washed with brine, dried over anhydrous $MgSO_4$ and stripped *in vacuo*. The residue was purified

chromatographically using methylene chloride as the eluent to give 2.7g (90%) of 7-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-6-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3(4H)-one as a white solid, mp 184°C.

Anal. Calc. for $C_{16}H_{10}Cl_1F_4N_3O_2$: C,49.57; H,2.60; N,10.84.

Found: C,49.48; H,2.56; N,10.95.

Example 29

This example describes the preparation of *cis*- and *trans*-4-chloro-3-(3-(chloromethylene)-5-fluoro-2,3-dihydro-6-benzofuranyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound Nos. 481 and 482) and is a specific embodiment of Process XI.

All equipment was flame dried under nitrogen. A solution of 2.0g (5.75 mmole) 4-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-fluoro-2-(2-propynloxy)-benzeneamine in 100mL acetonitrile at 25°C was treated with 0.6g (5.75 mmole) CuCl and 0.8g (5.75 mmole) $CuCl_2$. A solution of 1.1mL (8.6 mmole) 90% t-butyl nitrite was added over 5 minutes. After 6 hours at 28°C the reaction mixture was stripped in vacuo. The reaction residue was taken up in ethyl acetate and washed three times with a 10% HCl solution, two times with brine and dried over anhydrous $MgSO_4$ and concentrated *in vacuo*. The residue was purified chromatographically using 20% ethyl acetate in hexane as the eluent to give 0.73g (35%) of *cis*-4-chloro-3-(3-(chloromethylene)-5-fluoro-2,3-dihydro-6-benzofuranyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole as a white solid, mp 140.5-142.5°C.

Anal. Calc. for $C_{14}H_8Cl_2F_4N_2O_1$: C,45.80; H,2.20; N,7.63; Cl,19.31.

Found: C,45.64; H,2.22; N,7.60; Cl,19.29.

The chromatography described above gave a second fraction following the main component. This fraction

was collected, stripped and the residue crystallized from hexanes to give 0.68g (32% yield) of *trans*-4-chloro-3-(3-(chloromethylene)-5-fluoro-2,3-dihydro-6-benzo-furanyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole as a beige solid, mp 132-135°C.

Anal. Calc. for $C_{14}H_8Cl_2F_4N_2O_1$: C,45.80; H,2.20; N,7.63; Cl,19.31.

Found: C,45.71; H,2.23; N,7.63; Cl,19.28.

Examples 30 through 37 describe working embodiments of Process XII.

Example 30

This example describes the preparation of 3-[5-(bromomethyl)-4-chloro-2-fluorophenyl]-4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 108).

A slurry of 3-[5-methyl-4-chloro-2-fluoro-phenyl]-4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazole (25g, 76.4mmole) and N-bromosuccinimide (13.6g, 76.4mmole) in 100ml of carbon tetrachloride in a 500ml round bottomed flask equipped with a magnetic stirrer was treated with a catalytic amount of benzoyl peroxide. The temperature was raised to reflux for one hour. The reaction mixture was cooled to room temperature, filtered and concentrated to give 31.5g of white solid. The material was recrystallized twice from hexanes to afford 15.3g (49%) of 3-[5-(bromomethyl)-4-chloro-2-fluorophenyl]-4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazole as a white solid; mp 112-114°C.

Anal. Calc. for $C_{12}H_7N_2F_4Cl_2Br_1$: C,35.50; H,1.74; N,6.90.

Found: C,35.57; H,1.76; N,6.88.

Example 31

This example describes the preparation of (((2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-

pyrazol-3-yl)-4-fluorophenyl)methyl)thio)acetic acid, ethyl ester (Compound No. 123).

A mixture of 1.62g (4.0 mmole) 3-[5-(bromomethyl)-4-chloro-2-fluorophenyl]-4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazole, 0.44mL ethyl mercaptoacetate and 0.55g K_2CO_3 was slurried in 25mL of acetone. The reaction mixture was allowed to stir at room temperature overnight. After dilution with 100mL of cold water, the mixture was extracted with ethyl acetate, the organic extracts washed with water, dried with $MgSO_4$ and concentrated *in vacuo*. The residue was purified by chromatography to afford 1.7g (96%) of (((2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenyl)methyl)thio)acetic acid, ethyl ester as a white solid; mp 63°C.

Anal. Calc. for $C_{16}H_{14}Cl_2F_4N_2O_2S_1$: C,43.16; H,3.17; N,6.29.
Found: C,43.16; H,3.16; N,6.27.

Example 32

This example describes the preparation of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzenemethanol (Compound No. 122).

To a solution of 7.1g (0.0175 mole) 3-[5-(bromomethyl)-4-chloro-2-fluorophenyl]-4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazole in 20mL DMF was added 1.5g (0.018 mole) sodium acetate. The mixture was stirred for 12 hours at 25°C. The mixture was poured into 100mL cold water and the solid filtered and dried. The product was recrystallized from ethanol/-water to give 6.0g (90%) of 2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-

benzenemethanol, acetate (ester), mp 90°C. The acetate was dissolved in 10mL 1,4-dioxane and 10mL water and 6.3mL (0.0158 mole) 10% NaOH solution was added. After 30 minutes the solution was neutralized with concentrated HCl, filtered and the solid dried. The solid was recrystallized from ethanol/water to give 5.4g (99%) of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzenemethanol as a white solid; mp 103°C.

Anal. Calc. for $C_{12}H_8N_2O_1F_4Cl_2$: C,42.01; H,2.35; N,8.16.
Found: C,41.88; H,2.34; N,8.09.

Example 33

This example describes the preparation of ((2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenyl)methoxy)acetic acid, 1-methylethylester (Compound No. 119).

At 25°C, 1.7g (5.0 mmole) 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzenemethanol, 0.8g (5.5 mmole) K_2CO_3 and 0.7mL (5.5 mmole) isopropyl bromoacetate were slurried in 15mL DMSO. The mixture was stirred overnight at 45°C. The mixture was cooled, diluted with 100mL cold water and extracted four times with ethyl acetate. The ethyl acetate extracts were washed with brine, dried over anhydrous $MgSO_4$ and stripped *in vacuo*. The residue was purified chromatographically using 10% ethyl acetate in hexane as the eluent to give 0.9g (41%) of ((2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenyl)methoxy)acetic acid, 1-methylethyl ester as a white solid; mp 55°C.

Anal. Calc. for $C_{17}H_{16}Cl_2F_4N_2O_3$: C,46.07; H,3.64; N,6.32.
Found: C,46.21; H,3.69; N,6.11.

Example 34

This example describes the preparation of 4-chloro-3-[4-chloro-5-(dibromomethyl)-2-fluorophenyl]-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 132).

In a 250ml round bottomed flask equipped with a magnetic stirrer, a slurry of 3-[5-methyl-4-chloro-2-fluorophenyl]-4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazole (8.18g, 25mmole) and N-bromosuccinimide (8.9g, 50.0mmole) was prepared in 50ml of carbon tetrachloride. A catalytic amount of benzoyl peroxide was added and the temperature was raised to reflux and held for 3.5 hours. The reaction mixture was cooled to room temperature, filtered and concentrated. The residue was purified by chroma-tography to afford 10.36g (85%) of 4-chloro-3-[4-chloro-5-(dibromomethyl)-2-fluorophenyl]-1-methyl-5-(tri-fluoromethyl)-1H-pyrazole as a white solid; mp 89-92°C.

Anal. Calc. for	$C_{12}H_6N_2F_4Cl_2Br_2$;	C,29.72; H,1.25; N,5.78.
	Found:	C,29.72; H,1.25; N,5.78.

Example 35

This example describes the preparation of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzaldehyde (Compound No. 133).

In a 100ml round bottomed flask equipped with a magnetic stirrer, 4-chloro-3-[4-chloro-5-(dibromo-methyl)-2-fluorophenyl]-1-methyl-5-(trifluoromethyl)-1H-pyrazole (5.0g, 10.3mmole) was stirred for 30 minutes in 20ml of sulfuric acid. The resulting clear yellow solution was allowed to stand at room temperature for 10 days, stirred briefly to remove color, and poured onto 200ml of ice/water. The aqueous mixture was extracted with ether and the organic layer was dried with $MgSO_4$, filtered and concentrated to give 3.15g of white solid

which was recrystallized from cold hexanes to afford 2.5g (71%) of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzaldehyde as a white solid; mp 70-72°C.

Anal. Calc. for $C_{12}H_6N_2O_1F_4Cl_2$: C,42.26; H,1.77; N,8.21.
Found: C,42.22; H,1.78; N,8.24.

Example 36

This example describes the preparation of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzoic acid (Compound No. 149).

To a solution of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzaldehyde (4.5g, 13.2mmole) in 40ml of acetone was added 13ml (26mmole) of Jones' reagent. The solution was stirred at ambient temperature for 2 hours and poured into 400ml of water. The resulting solid was filtered and air dried overnight to afford 4.5g (96%) of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluoro-benzoic acid as a white solid. An analytical sample was recrystallized from ether/hexanes; mp 179-181°C.

Anal. Calc. for $C_{13}H_6N_2O_2F_4Cl_2$: C,40.36; H,1.69; N,7.84.
Found: C,40.49; H,1.74; N,7.77.

Example 37

This example describes the preparation of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzoic acid, 1-methylethyl ester (Compound No. 135).

To a solution of 4.3g (0.012 mole) 2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid in 50mL methylene chloride was

added 3.1mL (0.036 mole) oxalyl chloride causing the evolution of gas. When this evolution ceased, one drop of DMF was added and the solution stirred until the gas evolution ceased. The solution was concentrated *in vacuo* and the resultant residue dissolved in 25mL isopropanol and heated to 60°C for 1 hour. The solution was cooled, poured into 200mL cold water and the solid filtered and dried. The product was recrystallized from ethanol/water to yield 1.69g (70%) of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzoic acid, 1-methylethyl ester as a white solid; mp 69°C.

Anal. Calc. for $C_{15}H_{12}Cl_2F_4N_2O_2$: C,45.13; H,3.03; N,7.02.

Found: C,45.14; H,3.04; N,7.03.

Examples 38 and 39 describe working embodiments of Process XIII.

Example 38

This example describes the preparation of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzenesulfonyl chloride (Compound No. 346).

A solution of 4-chloro-3-(4-chloro-2-fluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole in 20mL of chlorosulfonic acid was heated in a 120°C oil bath for four hours and allowed to cool to room temperature. Methylene chloride was added and the solution added dropwise to a stirring mixture of ice and water (caution, extremely reactive). The layers were separated and the aqueous layer was washed with methylene chloride. The combined organic layers were dried with $MgSO_4$, filtered and concentrated and the resultant solid residue washed with a very small amount of ether and recrystallized from hexanes to afford 1.65g

(63%) of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzenesulfonyl chloride as a white solid; mp 116-117°C.

Anal. Calc. for $C_{11}H_5N_2O_2S_1F_4Cl_3$: C,32.10; H,1.22; N,6.81; Cl,25.84.

Found: C,32.15; H,1.17; N,6.76; Cl,25.77.

Example 39

This example describes the preparation of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzenethiol (Compound No. 343).

To a solution of 12.8g (0.031 mole) 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzenesulfonyl chloride in 100mL acetic acid was added 40.7g (0.62 mole) zinc powder. The slurry was stirred at 80°C for 4 hours, allowed to cool and filtered through Celite®. The filtrate was poured into 1.0 L water, the solid filtered and dried. The solid was recrystallized from ethanol/water to give 10.2g (95%) of 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzenethiol as a yellow solid; mp 56-58°C.

Anal. Calc. for $C_{11}H_6N_2S_1F_4Cl_2$: C,38.28; H,1.75; N,8.12.

Found: C,38.29; H,2.02; N,8.12.

Example 40

This example describes the preparation of 4-chloro-3-(4-chloro-5-(1,3-dioxolan-2-yl)-2-fluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole (Compound No. 100) and is a specific embodiment of Process XIV.

In an apparatus equipped with a Dean-Stark trap for azeotropic removal of water, 2.4g (7.0 mmoles) 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzaldehyde, 0.4mL (7.7 mmoles)

ethylene glycol and a catalytic amount of p-toluene-sulfonic acid in 50mL toluene was heated to reflux for 24 hours. The resultant mixture was concentrated and the residue purified by chromatography to give 1.65g (61%) of 4-chloro-3-(4-chloro-5-(1,3-dioxolan-2-yl)-2-fluorophenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole as a clear colorless oil; n_D^{25} 1.5348.

Anal. Calc. for	$C_{14}H_{10}Cl_2F_4N_2O_2$:	C,43.66; H,262; N,7.27.
	Found:	C,43.67; H,2.59; N,7.24.

Example 41

This example describes the preparation of 3-(2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenyl)propenoic acid, methyl ester (Compound No. 128) and is a specific embodiment of Process XV.

To a solution of 2.3g (6.8 mmole) 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzaldehyde in 25mL methanol was added 2.27g (6.8mmole) methyl(triphenylphosphoranylidene)-acetate, keeping the temperature below 35°C. The reaction mixture was allowed to stir for 15 minutes and diluted with ethyl acetate, washed with brine, dried over anhydrous $MgSO_4$, and concentrated *in vacuo*. The residue was purified chromatographically using 20% ethyl acetate in hexane as the eluent to give 2.0g (74%) of 3-(2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenyl)propenoic acid, methyl ester as a white solid; mp 117°C.

Anal. Calc. for	$C_{15}H_{10}Cl_2F_4N_2O_2$:	C,45.36; H,2.54; N,7.05.
	Found:	C,45.41; H,2.59; N,7.03.

Example 42

This example describes the preparation of ((((2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenyl)methylene)amino)oxy)acetic acid (Compound No. 130) and is a specific embodiment of Process XVI.

A mixture of 3.4g (0.01 mole) 2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorobenzaldehyde, 2.73g (0.0125 mole) carboxymethoxylamine hemihydrochloride and 1.03g (0.0125 mole) sodium acetate in 50mL ethanol was heated to reflux for 2 hours. The reaction mixture was allowed to cool, treated with 150mL of water and the resultant precipitate collected and dried. The product was recrystallized from methylcyclohexane with a minimum amount of ethyl acetate to yield 3.35g (81%) of ((((2-chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenyl)methylene)amino)oxy)acetic acid as a white solid; mp 170°C.

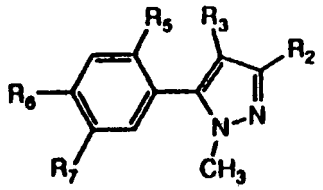
Anal. Calc. for $C_{14}H_{19}Cl_2F_4N_3O_3$: C,40.60; H,2.19; N,10.15.

Found: C,40.54; H,2.28; N,10.17.

Tables 4-6 show examples of compounds prepared according to Processes II-XVI. In Table 4 are listed examples of 1-methyl-5-arylpyrazole compounds. In Table 5 are examples of 1-methyl-3-arylpyrazoles. Table 6 lists a variety of compounds, most of which include compounds wherein R_6 and R_7 are cyclized to form fused-ring heterocyclic structures.

TABLE 4

PHYSICAL DATA FOR 1-METHYL-5-ARYLPYRAZOLES



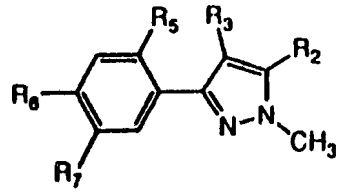
Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
62	CF_2H	Cl	F	H	F	nD, 1.5162 (25°C)
63	CF_3	Cl	F	Cl	CH_3	71.0-72.0°C
64	CF_2H	Cl	F	Cl	CH_3	91.0°C
65	CF_3	Cl	H	NO_2	H	122.5-123.5°C
66	CF_3	Cl	H	Cl	H	68.9-69.6°C
67	CF_2Cl	Cl	H	Cl	H	65.1-66.0°C
68	CF_3	Br	F	H	F	53.0°C
69	CF_3	Cl	F	H	F	69.0°C
70	CF_3	Cl	F	NO_2	F	88.0°C
71	CF_3	Cl	F	OCH_3	F	nD 1.5062 (25°C)
72	CF_3	Cl	F	NO_2	OCH_3	123.0-124.0°C
73	CF_3	Cl	F	NH_2	OCH_3	120.0-120.5°C
74	CF_3	Cl	F	Cl	OCH_3	100.0°C
75	CF_3	Cl	F	F	H	43.0-44.0°C

Table 4. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
76	CF_3	Cl	F	Cl	$OCH_2C{\equiv}CH$	116.5-117.0°C
77	CF_3	Cl	F	F	NO_2	57.0-58.5°C
78	CF_3	Cl	F	OCH_3	NO_2	108.0°C
79	CF_3	Cl	F	Cl	H	73.0-74.0°C
80	CF_3	Cl	Cl	Cl	F	71.5-72.5°C

TABLE 5

PHYSICAL DATA FOR 1-METHYL-3-ARYLPYRAZOLES



Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
81	CF_3	Cl	H	NO_2	H	93.0-95.0°C
82	CF_3	Cl	H	Cl	H	68.2-69.2°C
83	CF_2Cl	Cl	H	Cl	H	37.0-38.4°C
84	CF_3	Cl	Cl	Cl	F	64.0°C
85	CF_3	Cl	Cl	Cl	H	78.5-79.5°C
86	CF_3	Cl	Cl	Cl	NO_2	118.0-120.0°C
87	CF_3	Cl	Cl	Cl	$N(SO_2CH_3)_2$	137.0°C
88	CF_3	Cl	Cl	Cl	$NHCOCF_3$	125.0°C
89	CF_3	Cl	Cl	Cl	SO_2Cl	127.0-128.0°C
90	CF_3	Cl	Cl	Cl	$N(SO_2CH_2CH_3)_2$	185.0°C
91	CF_3	Cl	Cl	Cl	$NHSO_2CH_3$	160.0°C
92	CF_3	Cl	Cl	Cl	$NHSO_2CH_2CH_3$	125.0°C
93	CF_3	Cl	Cl	Cl	SH	100.0°C
94	CF_3	Cl	F	Br	OCH_3	76.0-77.0°C
95	CF_3	Cl	F	Br	OH	83.0-84.0°C

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
96	CF_3	Cl	F	Br	$OCH_2C{\equiv}CH$	112.0-113.5°C
97	CF_3	Cl	F	Br	$OCH(CH_3)CO_2Et$	nD, 1.5217 (25°C)
98	CF_3	Cl	F	Cl	2-(4,5-DIHYDROOXAZOLYL)	110.0°C
99	CF_3	Cl	F	Cl	4-MORPHOLINYL	98.0-99.0°C
100	CF_3	Cl	F	Cl	2-(1,3-DIOXOLANYL)	nD 1.5348 (25°C)
101	CF_3	Cl	F	Cl	2-(1,3-DITHIOLANYL)	clear colorless oil
102	CF_3	Cl	F	Cl	2-(1,3-OXATHIOLANYL)	nD 1.5614 (25°C)
103	CF_3	Cl	F	Cl	$C(CH_3)_2C{\equiv}N$	nD, 1.5274 (25°C)
104	CF_3	Cl	F	Cl	$C(CH_3){=}NOCH_2CO_2Et$	nD, 1.5203 (25°C)
105	CF_3	Cl	F	Cl	$C(CH_3){=}NOCH_2CONH_2$	96.0°C
106	CF_3	Cl	F	Cl	$CH(CH_3)C{\equiv}N$	nD, 1.5280 (25°C)
107	CF_3	Cl	F	Cl	$CH(CH_3)OH$	85.0-87.0°C
108	CF_3	Cl	F	Cl	CH_2Br	112.0-114.0°C
109	CF_3	Cl	F	Cl	CH_2Cl	100.0-102.0°C
110	CF_3	Cl	F	Cl	$CH_2CO_2CH_3$	64.0-65.0°C
111	CF_3	Cl	F	Cl	CH_2CO_2H	139.0-141.0°C
112	CF_3	Cl	F	Cl	CH_2CONH_2	185.0-189.0°C
113	CF_3	Cl	F	Cl	$CH_2CONHCH_2CH_2Cl$	187.0°C
114	CF_3	Cl	F	Cl	$CH_2CONHCH_3$	212.0°C
115	CF_3	Cl	F	Cl	$CH_2C{\equiv}N$	89.0-91.0°C
116	CF_3	Cl	F	Cl	$CH_2OCH_2CH_2F$	57.0°C
117	CF_3	Cl	F	Cl	$CH_2OCH_2CH_2OCH_3$	nD, 1.5155 (25°C)

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
118	CF_3	Cl	F	Cl	$CH_2OCH_2CH_3$	34.0-37.0°C
119	CF_3	Cl	F	Cl	$CH_2OCH_2CO_2CH(CH_3)_2$	55.0°C
120	CF_3	Cl	F	Cl	$CH_2OCH_2C{\equiv}CH$	44.0°C
121	CF_3	Cl	F	Cl	CH_2OCOCH_3	90.0°C
122	CF_3	Cl	F	Cl	CH_2OH	103.0-104.0°C
123	CF_3	Cl	F	Cl	$CH_2SCH_2CO_2Et$	63.0°C
124	CF_3	Cl	F	Cl	CH_3	72.0-74.0°C
125	CF_3	Br	F	Cl	CH_3	93.0-95.0°C
126	CF_2H	Cl	F	Cl	CH_3	115.0°C
127	CF_3	Cl	F	Cl	$CH{=}C(CH_3)CO_2Et$	54.0°C
128	CF_3	Cl	F	Cl	$CH{=}CHCO_2CH_3$	117.0°C
129	CF_3	Cl	F	Cl	$CH{=}NOCH_2CO_2Et$	nD, 1.5330 (25°C)
130	CF_3	Cl	F	Cl	$CH{=}NOCH_2CO_2H$	170.0°C
131	CF_3	Cl	F	Cl	$CH{=}NOCH_2CONH_2$	169.0°C
132	CF_3	Cl	F	Cl	$CHBr_2$	89.0-92.0°C
133	CF_3	Cl	F	Cl	CHO	70.0-72.0°C
134	CF_3	Cl	F	Cl	CO_2-cyclohexyl	nD, 1.5287 (25°C)
135	CF_3	Cl	F	Cl	$CO_2CH(CH_3)_2$	69.0°C
136	CF_3	Cl	F	Cl	$CO_2CH(CH_3)CH_2CH_3$	nD, 1.5150 (25°C)
137	CF_3	Cl	F	Cl	$CO_2CH(CH_3)CO_2CH_3$	nD, 1.5190 (25°C)
138	CF_3	Cl	F	Cl	$CO_2CH(CH_3)CO_2Et$	nD, 1.5119 (25°C)
139	CF_3	Cl	F	Cl	$CO_2CH_2CH(CH_3)_2$	nD, 1.5158 (25°C)

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
140	CF_3	Cl	F	Cl	$CO_2CH_2CH(CH_3)CH_2CH_3$	nD, 1.5145 (25°C)
141	CF_3	Cl	F	Cl	$CO_2CH_2CH_2CH(CH_3)_2$	nD, 1.5132 (25°C)
142	CF_3	Cl	F	Cl	$CO_2CH_2CH_2OCH_3$	64.0°C
143	CF_3	Cl	F	Cl	$CO_2CH_2CO_2Et$	83.0°C
144	CF_3	Cl	F	Cl	$CO_2CH_2C{\equiv}CH$	92.0°C
145	CF_3	Cl	F	Cl	$CO_2CH_2OCH_3$	77.0°C
146	CF_3	Cl	F	Cl	CO_2CH_3	78.0°C
147	CF_3	Cl	F	Cl	CO_2CHFCO_2Et	nD, 1.5112 (25°C)
148	CF_3	Cl	F	Cl	CO_2Et	88.0°C
149	CF_3	Cl	F	Cl	CO_2H	179.0-180.0°C
150	CF_3	Cl	F	Cl	CO_2 *n*-butyl	clear oil
151	CF_3	Cl	F	Cl	CO_2 *t*-butyl	nD, 1.5130 (25°C)
152	CF_3	Cl	F	Cl	$COCH_3$	134.0-135.0°C
153	CF_3	Cl	F	Cl	$CON(CH_3)_2$	clear oil
154	CF_3	Cl	F	Cl	$CONHC(CH_3)_2CH_2OH$	115.0°C
155	CF_3	Cl	F	Cl	$CONHCH_2CH_2Cl$	129.0°C
156	CF_3	Cl	F	Cl	$CONHCH_2CH_2OH$	143.0°C
157	CF_3	Cl	F	Cl	$CONHCH_3$	172.0°C
158	CF_3	Cl	F	Cl	$CONHN(CH_3)_2$	172.0°C
159	CF_3	Cl	F	Cl	$CONHOCH_2CO_2CH_3$	95.0°C
160	CF_3	Cl	F	Cl	$COSCH(CH_3)_2$	nD, 1.5475 (25°C)
161	CF_3	Cl	F	Cl	$COSCH(CH_3)CO_2Et$	nD, 1.4723 (25°C)

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
162	CF_3	Cl	F	Cl	F	45.5-46.5°C
163	CF_3	Cl	F	Cl	H	34.0-35.0°C
164	CCl_3	Cl	F	Cl	H	62.0-64.0°C
165	CF_2H	Cl	F	Cl	H	61.0°C
166	CF_3	Cl	F	Cl	$N(CH_3)CH_2CH_2CH_3$	nD, 1.5030 (25°C)
167	CF_3	Cl	F	Cl	$N(COCF_3)CH_2CH=CH_2$	75.0°C
168	CF_3	Cl	F	Cl	$N(COCF_3)CH_2CO_2Et$	76.0-79.0°C
169	CF_3	Cl	F	Cl	$N(COCF_3)CH_2C\equiv CH$	nD, 1.5061 (25°C)
170	CF_3	Cl	F	Cl	$N(COCF_3)CH_3$	nD, 1.5004 (25°C)
171	CF_3	Cl	F	Cl	$N(COCH_3)CH(CH_3)_2COCH_3$	140.0°C
172	CF_3	Cl	F	Cl	$N(SO_2CH_2CH_2CH_3)_2$	138.0°C
173	CF_3	Cl	F	Cl	$N(SO_2CH_2CH_3)_2$	135.0°C
174	CF_3	Cl	F	Cl	$N(SO_2CH_3)_2$	205.0°C
175	CF_3	Cl	F	Cl	$N(SO_2N(CH_3)_2)_2$	149.0-153.0°C
176	CF_3	Cl	F	Cl	NEt_2	nD, 1.5262 (25°C)
177	CF_3	Cl	F	Cl	NH_2	96.0-98.0°C
178	CF_2H	Cl	F	Cl	NH_2	110.0-111.5°C
179	CF_3	Cl	F	Cl	$NHCH(CH_3)_2$	nD, 1.5361 (25°C)
180	CF_3	Cl	F	Cl	$NHCH(CH_3)CO_2Et$	55.0-57.0°C
181	CF_3	Cl	F	Cl	$NHCH(CH_3)CO_2H$	167.0-169.0°C
182	CF_3	Cl	F	Cl	$NHCH(CH_3)CONHCH_3$	134.0-135.0°C
183	CF_3	Cl	F	Cl	$NHCH_2CH=CH_2$	nD, 1.5483 (25°C)

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
184	CF_3	Cl	F	Cl	$NHCH_2CO_2Et$	114.0-116.0°C
185	CF_3	Cl	F	Cl	$NHCH_2CO_2H$	176.0-182.0°C
186	CF_3	Cl	F	Cl	$NHCH_2C{\equiv}CH$	73.0°C
187	CF_3	Cl	F	Cl	$NHCH_3$	nD, 1.5509 (25°C)
188	CF_3	Cl	F	Cl	$NHCO_2Et$	74.0-76.0°C
189	CF_3	Cl	F	Cl	$NHCOCF_3$	137.0-138.0°C
190	CF_3	Cl	F	Cl	$NHCOCH_2CO_2CH_3$	155.0°C
191	CF_3	Cl	F	Cl	$NHCOCH_2OCH_3$	163.0-165.0°C
192	CF_3	Cl	F	Cl	$NHPO(OEt)_2$	84.0-87.0°C
193	CF_3	Cl	F	Cl	$NHSO_2CF_3$	300.0°C
194	CF_3	Cl	F	Cl	$NHSO_2CH_2CH_2CH_3$	81.0°C
195	CF_3	Cl	F	Cl	$NHSO_2CH_2CH_3$	112.0°C
196	CF_3	Cl	F	Cl	$NHSO_2CH_3$	108.0°C
197	CF_3	Cl	F	Cl	NO_2	102.0-104.0°C
198	CF_2H	Cl	F	Cl	NO_2	91-92.5°C
199	CF_3	Cl	F	Cl	$O(CH_2)_5CO_2Et$	nD, 1.5077 (25°C)
200	CF_3	Cl	F	Cl	$O(CH_2)_5CO_2H$	nD, 1.5174 (25°C)
201	CF_3	Cl	F	Cl	$O(CH_2)_5CONHCH_2CH_2OH$	62.0-64.0°C
202	CF_3	Cl	F	Cl	$O(CH_2)_5CONHCH_3$	118.0-120.0°C
203	CF_3	Cl	F	Cl	O-(2-chloro-4-trifluoromethyl)phenyl	nD, 1.5356 (25°C)
204	CF_3	Cl	F	Cl	O-(2-nitro-4-trifluoromethylphenyl)	119.0°C
205	CF_3	Cl	F	Cl	O-(4-trifluoromethyl)phenyl	nD, 1.5275 (25°C)

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
206	CF_3	Cl	F	Cl	O-(p-nitrophenyl)	nD, 1.5796 (25°C)
207	CF_3	Cl	F	Cl	O-*n*-dodecyl	nD, 1.4985 (25°C)
208	CF_3	Cl	F	Cl	O-*n*-hexyl	nD, 1.5104 (25°C)
209	CF_2H	Cl	F	Cl	$OC(CH_3)_2CH_2Cl$	nD, 1.5210 (25°C)
210	CF_3	Cl	F	Cl	$OC(CH_3)_3$	nD, 1.5128 (25°C)
211	CF_3	Cl	F	Cl	OCF_2H	45.0°C
212	CF_3	Cl	F	Cl	$OCH(CH_2CH_3)CO_2Et$	nD, 1.4309 (25°C)
213	CF_3	Cl	F	Cl	$OCH(CH_2CH_3)CO_2H$	139.0-140.0°C
214	CF_3	Cl	F	Cl	$OCH(CH_2CH_3)CONHCH_3$	152.0°C
215	CF_3	Cl	F	Cl	$OCH(CH_3)$(2-(4,5-dihydooxazolyl))	nD, 1.5336 (25°C)
216	CF_3	Cl	F	Cl	$OCH(CH_3)_2$	nD, 1.5169 (25°C)
217	CF_3	Cl	F	Cl	$OCH(CH_3)C{\equiv}CH$	59.5-61.5°C
218	CF_3	Cl	F	Cl	$OCH(CH_3)CH_2OCH_3$	clear oil
219	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2(CH_2)_2Cl$	nD, 1.5168 (25°C)
220	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2(CH_2)_3CH_3$	nD, 1.5005 (25°C)
221	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2(CH_2)_4Cl$	nD, 1.5155 (25°C)
222	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2^-Na^+$	51.0-60.0°C
223	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2CH(CH_3)_2$	clear, colorless oil
224	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2CH(CH_3)CH_2CH_3$	nD, 1.5031 (25°C)
225	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2CH_2CH(CH_3)_2$	nD, 1.5037 (25°C)
226	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2CH_2CO_2Et$	nD, 1.5061 (25°C)
227	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2CH_2OCH_3$	nD, 1.5120 (25°C)

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
228	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2CH_3$	nD, 1.5175 (25°C)
229	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2Et$	nD, 1.5106 (25°C)
230	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2H$	150.0-151.0°C
231	CF_3	Cl	F	Cl	$OCH(CH_3)CO_2t$-butyl	nD, 1.4999 (25°C)
232	CF_3	Cl	F	Cl	$OCH(CH_3)CON(CH_3)_2$	lt. yellow oil
233	CF_3	Cl	F	Cl	$OCH(CH_3)CONH_2$	152.0°C
234	CF_3	Cl	F	Cl	$OCH(CH_3)CONHCH_2CH_2Cl$	104.0°C
235	CF_3	Cl	F	Cl	$OCH(CH_3)CONHCH_2CH_2OH$	131.0°C
236	CF_3	Cl	F	Cl	$OCH(CH_3)CONHCH_2CO_2CH_3$	111.0-112.0°C
237	CF_3	Cl	F	Cl	$OCH(CH_3)CONHCH_3$	134.5-135.5°C
238	CF_3	Cl	F	Cl	$OCH(CH_3)CONHSO_2CH_3$	159.0°C
239	CF_3	Cl	F	Cl	$OCH(CH_3)COOCH_2CH_2OCH_2CH_2OMe$	nD, 1.5047 (25°C)
240	CF_3	Cl	F	Cl	$OCH(CH_3)C{\equiv}N$	nD, 1.5223 (25°C)
241	CF_3	Cl	F	Cl	$OCH(Et)CO_2CH(CH_3)_2$	nD, 1.5026 (25°C)
242	CF_3	Cl	F	Cl	$OCH(Et)CO_2CH_3$	nD, 1.5127 (25°C)
243	CF_3	Cl	F	Cl	$OCH(Et)CO_2n$-butyl	nD, 1.4983 (25°C)
244	CF_3	Cl	F	Cl	$OCH(Et)CO_2t$-butyl	63.0-65.0°C
245	CF_3	Cl	F	Cl	$OCH(Et)CONH_2$	153.0°C
246	CF_3	Cl	F	Cl	$OCH(Et)C{\equiv}N$	nD, 1.5167 (25°C)
247	CF_3	Cl	F	Cl	$OCH(OCH_3)CO_2CH_3$	nD, 1.5174 (25°C)
248	CF_3	Cl	F	Cl	$OCH(OCH_3)CO_2H$	lt. yellow oil
249	CF_3	Cl	F	Cl	$OCH(OCH_3)CONHCH_3$	96.0°C

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
250	CF_3	Cl	F	Cl	OCH_2(1,3-DIOXOLAN-2-YL)	102.5-104.5°C
251	CF_3	Cl	F	Cl	OCH_2(2-pyridyl)	122-123°C (dec)
252	CF_3	Cl	F	Cl	OCH_2(5-(2-chloro)thiophene)	78-80°C
253	CF_3	Cl	F	Cl	OCH_2(OXIRANYL)	85.5-86.5°C
254	CF_3	Cl	F	Cl	OCH_2(TETRAHYDRO-2H-PYRAN-2-YL)	88.5-90.0°C
255	CF_3	Cl	F	Cl	$OCH_2C(CH_3)_2CO_2CH_3$	nD, 1.5087 (25°C)
256	CF_3	Cl	F	Cl	$OCH_2C(CH_3)_2CONHCH_3$	viscous oil
257	CF_3	Cl	F	Cl	$OCH_2C(Et)=NOCH_2CO_2Et$	nD, 1.5147 (25°C)
258	CF_3	Cl	F	Cl	$OCH_2C(Et)=NOCH_2CO_2H$	128.0°C
259	CF_3	Cl	F	Cl	$OCH_2C(Et)=NOCH_2CONH_2$	173.0°C
260	CF_3	Cl	F	Cl	$OCH_2C(Et)=NOCH_3$	nD, 1.5216 (25°C)
261	CF_3	Cl	F	Cl	$OCH_2C\equiv CH$	89.5-91.0°C
262	CF_3	Br	F	Cl	$OCH_2C\equiv CH$	107.0°C
263	CF_3	Cl	F	Cl	OCH_2CF_3	68.0°C
264	CF_3	Cl	F	Cl	$OCH_2CH(OCH_3)_2$	62.0°C
265	CF_3	Cl	F	Cl	OCH_2CH_2(1,3-DIOXAN-2-YL)	74.0-75.0°C
266	CF_3	Cl	F	Cl	OCH_2CH_2Br	nD, 1.5470 (25°C)
267	CF_3	Cl	F	Cl	$OCH_2CH_2CH_2CH_3$	nD, 1.5153 (25°C)
268	CF_3	Cl	F	Cl	$OCH_2CH_2CH_2OCH_3$	nD, 1.5175 (25°C)
269	CF_3	Cl	F	Cl	OCH_2CH_2F	103.0°C
270	CF_3	Cl	F	Cl	$OCH_2CH_2OCH_3$	93.0-94.0°C

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
271	CF_3	Cl	F	Cl	$OCH_2CH_2SCH(CH_3)CO_2Et$	nD, 1.5275 (25°C)
272	CF_3	Cl	F	Cl	$OCH_2CH_2SCH_2CO_2Et$	nD, 1.5321 (25°C)
273	CF_3	Cl	F	Cl	$OCH_2CH_2SCH_3$	nD, 1.5464 (25°C)
274	CF_3	Cl	F	Cl	$OCH_2CH_2SO_2CH_3$	clear oil
275	CF_3	Cl	F	Cl	$OCH_2CH_2SOCH_3$	87.0°C
276	CF_3	Cl	F	Cl	OCH_2CH_3	80.0°C
277	CF_3	Br	F	Cl	$OCH_2CH=CH_2$	52.5°C
278	CF_3	Cl	F	Cl	$OCH_2CH=CH_2$	76.0°C
279	CF_3	Cl	F	Cl	$OCH_2CO_2^- (CH_3)_2CHNH_3^+$	123.0-125.0°C
280	CF_3	Cl	F	Cl	$OCH_2CO_2^- Na^+$	250.0°C
281	CF_3	Cl	F	Cl	OCH_2CO_2-cyclohexyl	150.0°C
282	CF_3	Cl	F	Cl	$OCH_2CO_2CH(CH_3)_2$	134.0-135.0°C
283	CF_2H	Cl	F	Cl	$OCH_2CO_2CH(CH_3)_2$	129.0-130.0°C
284	C_2F_5	H	F	Cl	$OCH_2CO_2CH(CH_3)_2$	91-92°C
285	CF_2Cl	Cl	F	Cl	$OCH_2CO_2CH(CH_3)_2$	98°C
286	CF_3	Cl	F	Cl	$OCH_2CO_2CH(CH_3)CH_2CH_3$	101.0-103.0°C
287	CF_3	Cl	F	Cl	$OCH_2CO_2CH_2CH(CH_3)CH_2CH_3$	96.0°C
288	CF_3	Cl	F	Cl	$OCH_2CO_2CH_2CH_2CH(CH_3)_2$	128°C
289	CF_3	Cl	F	Cl	$OCH_2CO_2CH_3$	108.0-110.0°C
290	CF_3	Cl	F	Cl	OCH_2CO_2Et	130.0-131.0°C
291	CF_3	Cl	F	Cl	OCH_2CO_2H	174.0°C
292	CF_3	Cl	F	Cl	OCH_2CO_2n-butyl	96.0-98.0°C

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
293	CF_3	Cl	F	Cl	OCH_2CO_2n-pentyl	91.0-93.0°C
294	CF_3	Cl	F	Cl	OCH_2CO_2t-butyl	127.0°C
295	CF_3	Cl	F	Cl	$OCH_2COCH_2CH_3$	93.0°C
296	CF_3	Cl	F	Cl	OCH_2CONH_2	191.0°C
297	CF_3	Cl	F	Cl	$OCH_2CONHCH(CH_3)_2$	130.0°C
298	CF_3	Cl	F	Cl	$OCH_2CONHCH_3$	144.0-145.0°C
299	CF_3	Cl	F	Cl	$OCH_2CONHN(CH_3)_2$	146.0-148.0°C
300	CF_3	Cl	F	Cl	$OCH_2COSCH(CH_3)_2$	96.0-97.0°C
301	CF_3	H	F	Cl	$OCH_2C\equiv CH$	113.0°C
302	CF_2H	Cl	F	Cl	$OCH_2C\equiv CH$	68.0-69.0°C
303	CF_2Cl	Cl	F	Cl	$OCH_2C\equiv CH$	nD, 1.5544 (24°C)
304	CF_3	Cl	F	Cl	$OCH_2C\equiv N$	98.0°C
305	CF_3	Cl	F	Cl	$OCH_2OCH_2CH_2F$	nD, 1.5150 (25°C)
306	CF_3	Cl	F	Cl	$OCH_2OCH_2CH_2OCH_3$	nD, 1.5134 (25°C)
307	CF_3	Cl	F	Cl	$OCH_2OCH_2C\equiv CH$	nD, 1.5275 (25°C)
308	CF_3	Cl	F	Cl	OCH_2OCH_3	54.5-55.0°C
309	CF_3	Cl	F	Cl	OCH_2SCH_3	78.0-79.0°C
310	CF_3	Cl	F	Cl	$OCH_2SO_2CH_3$	137.0°C
311	CF_3	Cl	F	Cl	OCH_2SOCH_3	109.0-111.0°C
312	CF_3	Cl	F	Cl	OCH_3	70.0-71.0°C
313	CF_3	Br	F	Cl	OCH_3	85.0-86.0°C
314	CF_2H	Cl	F	Cl	OCH_3	128.0-130.0°C

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
315	CF_2Cl	Cl	F	Cl	OCH_3	nD, 1.6399 (26°C)
316	CF_3	Cl	F	Cl	$OCH{=}CH_2$	57.0°C
317	CF_3	Cl	F	Cl	$OCHFCO_2CH(CH_3)_2$	96.0°C
318	CF_3	Cl	F	Cl	$OCHFCO_2Et$	60.0°C
319	CF_3	Cl	F	Cl	$OCHFCO_2H$	116.0°C
320	CF_3	Cl	F	Cl	$OCHFCOSCH(CH_3)_2$	65.0°C
321	CF_3	Cl	F	Cl	$OCOCH_2Cl$	nD, 1.5299 (25°C)
322	CF_3	Cl	F	Cl	$OCOCH_2OCH_3$	76.0-78.0°C
323	CF_3	Cl	F	Cl	$OCOCH_3$	53.0-55.0°C
324	CF_3	Cl	F	Cl	$OCH_2CH_2OCH_2CH_2OCH_2CH_2OMe$	nD, 1.5111 (25°C)
325	CF_3	Cl	F	Cl	OH	123.0-126.0°C
326	CF_3	Br	F	Cl	OH	83.0°C
327	CF_3	H	F	Cl	OH	131.0°C
328	CF_2H	Cl	F	Cl	OH	113.0-114.0°C
329	CF_2Cl	Cl	F	Cl	OH	107-109°C
330	CF_3	Cl	F	Cl	OSO_2CH_3	64.0-65.5°C
331	CF_3	Cl	F	Cl	OSO_2*n*-propyl	nD, 1.5213 (25°C)
332	CF_2H	Cl	F	Cl	O*t*-butyl	nD, 1.5276 (25°C)
333	CF_3	Cl	F	Cl	SCF_2H	nD, 1.5321 (25°C)
334	CF_3	Cl	F	Cl	$SCH(CH_3)_2$	clear oil
335	CF_3	Cl	F	Cl	$SCH(CH_3)CO_2Et$	nD, 1.5345 (25°C)
336	CF_3	Cl	F	Cl	$SCH_2CH_2OCH_3$	57.0°C

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
337	CF_3	Cl	F	Cl	$SCH_2CO_2CH(CH_3)_2$	nD, 1.5358 (25°C)
338	CF_3	Cl	F	Cl	SCH_2CO_2Et	63.0-64.0°C
339	CF_3	Cl	F	Cl	SCH_2CO_2H	128.0°C
340	CF_3	Cl	F	Cl	SCH_2CONH_2	167.0°C
341	CF_3	Cl	F	Cl	$SCH_2C{\equiv}CH$	98.0°C
342	CF_3	Cl	F	Cl	SCH_3	89.0-90.0°C
343	CF_3	Cl	F	Cl	SH	56.0-58.0°C
344	CF_3	Cl	F	Cl	SO_2(1-pyrazolyl)	155.0°C
345	CF_3	Cl	F	Cl	$SO_2CH(CH_3)_2$	132.0°C
346	CF_3	Cl	F	Cl	SO_2Cl	116.0-117.0°C
347	CF_3	Cl	F	Cl	$SO_2N(CH_3)_2$	118.0°C
348	CF_3	Cl	F	Cl	SO_2NHCH_3	113.0°C
349	CF_3	Cl	F	Cl	$SOCH(CH_3)_2$	119.0°C
350	CF_3	Cl	F	Cl	*trans*-$CH{=}C(CH_3)CO_2H$	213°C
351	CF_3	Cl	F	Cl	*trans*-$CH{=}CHCO_2H$	209°C
352	CF_3	Cl	F	F	H	nD, 1.6284 (25°C)
353	CF_3	Cl	F	F	NH_2	82.0°C
354	CF_3	Cl	F	F	Cl	50.0-51.0°C
355	CF_3	Cl	F	F	NO_2	90.5-91.5°C
356	CF_3	Cl	F	F	$NHCOCH_3$	115.0-116.0°C
357	CF_3	Cl	F	F	$N(SO_2CH_3)_2$	176.5°C
358	CF_3	Cl	F	F	$NHSO_2CH_3$	163.0-164.0°C

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
359	CF_3	Cl	F	F	$NHCOCH_2OCH_3$	152.0-154.0°C
360	CF_3	Cl	H	OCH_3	NO_2	114.0-115.0°C
361	CF_3	Cl	F	H	F	nD, 1.4977 (25°C)
362	CF_3	Br	F	H	F	nD, 1.6267 (25°C)
363	CF_3	Cl	F	H	$OC(CH_3)_2CH_2Cl$	nD, 1.5145 (25°C)
364	CF_2H	Cl	F	H	F	nD, 1.5218 (25°C)
365	CF_2H	Br	F	H	F	61.5°C
366	CF_3	Cl	F	NH_2	OCH_3	62.5-63.5°C
367	CF_3	Cl	F	NH_2	OCH_2CH_2F	135.0°C
368	CF_3	Cl	F	NH_2	OEt	136.0°C
369	CF_3	Cl	F	NH_2	$OCH_2C{\equiv}CH$	72.0°C
370	CF_3	Cl	F	NH_2	$OCH(CH_3)CCH$	nD, 1.5450 (25°C)
371	CF_3	H	F	NH_2	OCH_3	121.5-123.0°C
372	CF_3	Br	F	NH_2	OCH_3	85.0-86.0°C
373	CF_3	Cl	F	NH_2	$OCH_2CH_2OCH_2CH_2OCH_2CH_2OMe$	nD, 1.5254 (25°C)
374	CF_3	Cl	F	NH_2	F	84.0-86.0°C
375	CF_3	Cl	F	NH_2	$OC(CH_3)_3$	light yellow oil
376	CF_3	Cl	F	NH_2	$N(CH_3)CH_2CH_2CH_3$	nD, 1.5352 (25°C)
377	CF_3	Cl	F	NH_2	NEt_2	nD, 1.5321 (25°C)
378	CF_3	Cl	F	NH_2	4-MORPHOLINYL	165.0-166.0°C
379	CF_3	Cl	F	NH_2	$N(COCH_3)CH(CH_3)_2$	178.0°C
380	CF_3	Cl	F	NH_2	$OCH_2CH_2SCH_3$	nD, 1.5591 (25°C)

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
381	CF_2H	Cl	F	NH_2	Or-butyl	nD, 1.5443 (25°C)
382	CF_3	Cl	F	NH_2	OCH_2CF_3	66.0°C
383	CF_3	Cl	F	NH_2	$NHCH_2CH=CH_2$	112.0°C
384	CF_3	Cl	F	$OCH_2C\equiv CH$	NO_2	142.0°C
385	CF_3	Cl	F	$OCH_2C\equiv CH$	NH_2	94.0-96.0°C
386	CF_3	Cl	F	OCH_2CO_2Et	NO_2	95.0-96.0°C
387	CF_3	Cl	F	OCH_3	NO_2	116.0°C
388	CF_3	H	F	NO_2	F	80.0-81.0°C
389	CF_3	Cl	F	NO_2	F	nD, 1.5276 (25°C)
390	CF_3	Cl	F	NO_2	OCH_3	115.0-116.0°C
391	CF_3	Cl	F	NO_2	OCH_2CH_2F	134°C
392	CF_3	Cl	F	NO_2	OCH_2CH_3	99.0°C
393	CF_3	Cl	F	NO_2	SCH_2CO_2Et	79.0°C
394	CF_3	Cl	F	NO_2	$OCH_2C\equiv CH$	105.0°C
395	CF_3	Cl	F	NO_2	$OCH(CH_3)C\equiv CH$	107.5-108.0°C
396	CF_3	Br	F	NO_2	F	45.5°C
397	CF_3	Br	F	NO_2	OCH_3	144.5-145.5°C
398	CF_3	H	F	NO_2	OCH_3	140.0-141.5°C
399	CF_3	Cl	F	NO_2	$OCH_2CH_2OCH_2CH_2OCH_2CH_2OMe$	nD, 1.5188 (25°C)
400	CF_3	Cl	F	NO_2	OCH_2CO_2Et	104.0°C
401	CF_3	Cl	F	NO_2	$OC(CH_3)_3$	80.0°C
402	CF_3	Cl	F	NO_2	$N(CH_3)CH_2CH_2CH_3$	nD, 1.5534 (25°C)

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
403	CF_3	Cl	F	NO_2	$NHCH(CH_3)_2$	100.0°C
404	CF_3	Cl	F	NO_2	NEt_2	nD, 1.5387 (25°C)
405	CF_3	Cl	F	NO_2	4-MORPHOLINYL	136.0-137.0°C
406	CF_3	Cl	F	NO_2	$N(COCH_3)CH(CH_3)_2$	123.0°C
407	CF_3	Cl	F	NO_2	$SCH(CH_3)CO_2Et$	nD, 1.5543 (25°C)
408	CF_3	Cl	F	NO_2	OH	86.0°C
409	CF_3	Cl	F	NO_2	$NHCH_2CH_2CH_2OCH_3$	109.0°C
410	CF_3	Cl	F	NO_2	$OCH_2COCH_2CH_3$	103.0°C
411	CF_3	Cl	F	NO_2	$OCH(CH_3)CH_2OCH_3$	nD, 1.5263 (25°C)
412	CF_3	Cl	F	NO_2	$OCH_2CH_2CH_2OCH_3$	67.0°C
413	CF_3	Cl	F	NO_2	$N(COCF_3)CH_2CH_2CH_2OCH_3$	105.0°C
414	CF_2H	Cl	F	NO_2	F	80.0°C
415	CF_2H	Cl	F	NO_2	OCH_3	161.0°C
416	CF_3	Cl	F	NO_2	$OCH_2CH_2SCH_3$	nD, 1.5587 (25°C)
417	CF_2H	Br	F	NO_2	F	83.0-85.0°C
418	CF_2H	Br	F	NO_2	OCH_3	154.0-156.0°C
419	CF_2H	Cl	F	NO_2	Or-butyl	71.0-73.0°C
420	CF_3	Cl	F	NO_2	OCH_2CF_3	108.0-109.0°C
421	CF_3	Cl	F	NO_2	$NHCH_2CH=CH_2$	54.0-56.0°C
422	CF_3	Cl	F	NO_2	$N(COCF_3)CH_2CH=CH_2$	91.0°C
423	CF_3	Cl	F	OCH_3	NH_2	light yellow oil
424	CF_3	Cl	F	OCH_3	Cl	88.0°C

Table 5. Physical Data (con't).

Compound No.	R_2	R_3	R_5	R_6	R_7	physical data (mp, bp, nD)
425	CF_3	Cl	F	OCH_3	$NHCOCH_2CO_2CH_3$	111.0°C
426	CF_3	Cl	F	OCH_3	$NHCOCH(CH_3)_2$	134.0°C
427	CF_3	Cl	F	OCH_3	H	97.0°C
428	CF_3	Cl	F	$OCHFCO_2Et$	NO_2	84.5-85.5°C
429	CF_3	Cl	F	OH	NO_2	m.p. 89.0-90.0°C
430	CF_3	Cl	F	SCH_2CO_2Et	NO_2	90.0°C
431	CF_3	Cl	H	OCH_2CO_2Et	NO_2	88.0°C
432	CF_3	Cl	H	H	OCH_3	$b.p._{0.8}$ 120.0°C
433	CF_3	Cl	H	H	CF_3	$b.p._{3.0}$ 80.0-120.0°C
434	CF_3	Cl	H	F	H	35.5-36.5°C

In Table 6 are listed various other compounds according to Formula I whose structures do not conveniently fit into Tables 4 and 5.

Table 6

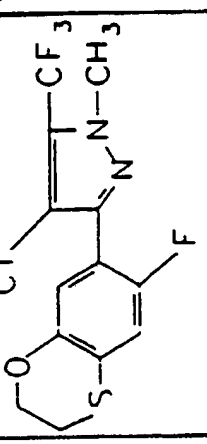
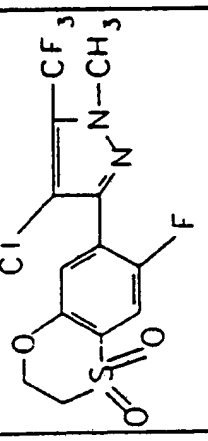
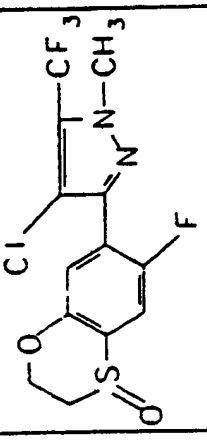
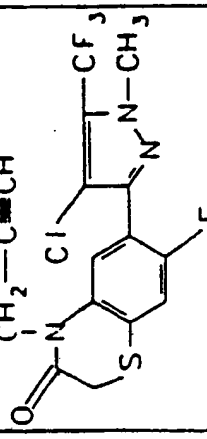
Compound #	Name	Structure	Analysis (%) Calc'd	Found
435	1H-pyrazole,- 4-chloro-3-(6-fluoro-2,3-dihydro-1,4-benzoxathiin-7-yl)-1-methyl-5-(trifluoromethyl)- MP: 93.0		C 44.27 H 2.57 Cl 10.05 F 21.55 N 7.94 S 9.09	44.34 2.57 7.98
436	1H-pyrazole,- 4-chloro-3-(6-fluoro-2,3-dihydro-1,4-benzoxathiin-7-yl)-1-methyl-5-(trifluoromethyl)-, S,S-dioxide MP: 200.0		C 40.58 H 2.36 Cl 9.21 F 19.75 N 7.28 S 8.33	40.70 2.35 7.26
437	1H-pyrazole,- 4-chloro-3-(6-fluoro-2,3-dihydro-1,4-benzoxathiin-7-yl)-1-methyl-5-(trifluoromethyl)-, S-oxide MP: 159.0		C 42.34 H 2.46 Cl 9.61 F 20.61 N 7.60 S 8.70	42.54 2.43 7.58
438	2H-1,4-benzothiazin-3(4H)-one,- 6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-(2-propynyl)- MP: 174.0		C 47.59 H 2.50 Cl 8.78 F 18.82 N 10.41 S 7.94	47.69 2.51 10.36

Table 6 (continued)

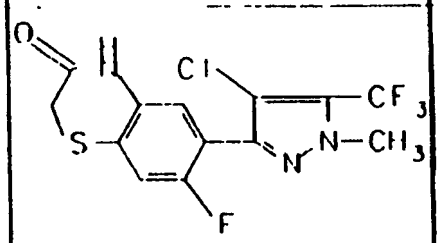
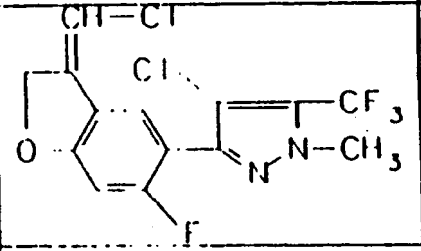
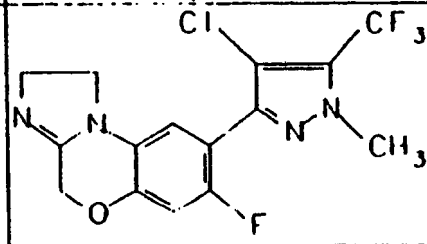
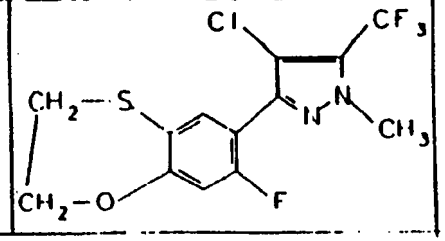
Compound #	Name	Structure	Analysis (%) Calc'd	Found
439	2H-1,-4-benzothiazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro- MP: 220.0		C 42.69 H 2.20 Cl 9.69 F 20.78 N 11.49 S 8.77	42.73 2.19 11.40
440	1H-pyrazole,-4-chloro-3-[3-(chloromethylene)-6-fluoro-2,-3-dihydro-5-benzofuranyl]-1-methyl-5-(trifluoromethyl)- MP: 121.0		C 45.80 H 2.20 Cl 19.31 F 20.70 N 7.63	45.80 2.36 7.62
441	1H-imidazo[2,-1-c]-[1,-4]-benzoxazine,-8-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2,-4-dihydro- MP: 118.0-120.0		C 48.08 H 2.96 Cl 9.46 F 20.28 N 14.95	48.54 3.10
442	1H-pyrazole,- 4-chloro-3-(7-fluoro-2,-3-dihydro-1,-4-benzoxathiin-6-yl)-1-methyl-5-(trifluoromethyl)- MP: 125.0		C 44.27 H 2.57 Cl 10.05 F 21.55 N 7.94 S 9.09	44.30 2.52 7.93

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Table 6 (continued)

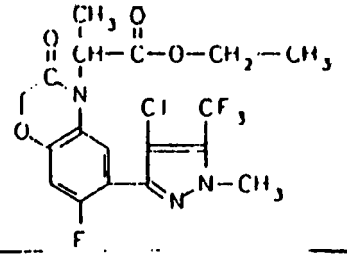
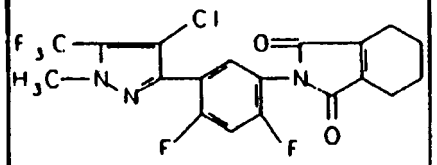
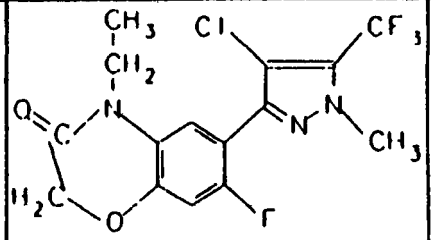
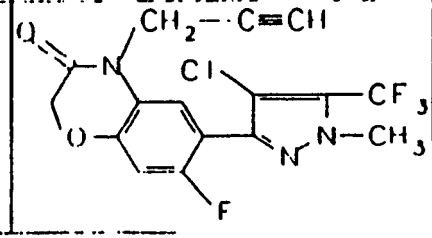
Compound #	Name	Structure	Analysis (%) Calc'd	Found
443	4H-1,-4-benzoxazine-4-acetic acid,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-alpha-methyl-3-oxo-,- ethyl ester MP: viscous oil		C 48 07 H 3 59 Cl 7 88 F 16 90 N 9 34	48 04 3 32 9 62
444	1H-isoindole-1,-3(2H)-dione,- 2-[5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-2,-4-difluorophenyl]-4,-5,-6,-7-tetrahydro- MP: 76.0- 78.0		C 51 19 H 2 94 Cl 7 95 F 21 31 N 9 43	52 29 3 30 9 14
445	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-ethyl-7-fluoro- MP: 95 0- 97 0		C 47 70 H 3 20 Cl 9.39 F 20 12 N 11 12	47 51 3 22
446	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-(2-propynyl)- MP: 142 0-143 0		C 49 56 H 2 60 Cl 9 14 F 19 60 N 10 84	49 58 2 62 10 85

Table 6 (continued)

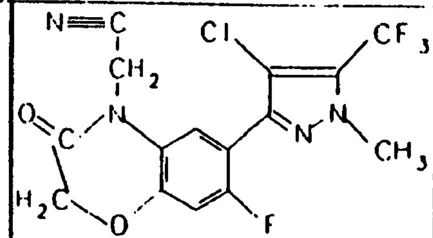
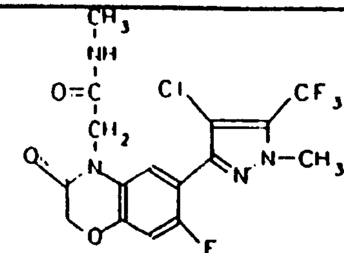
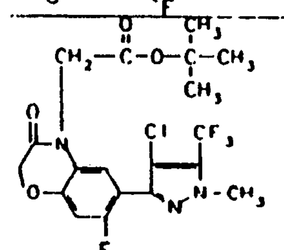
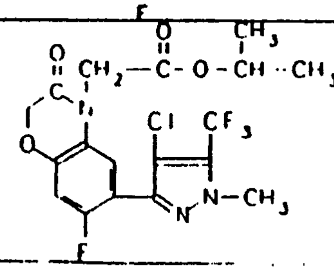
Compound #	Name	Structure	Analysis (%) Calc'd Found
447	4H-1,-4-benzoxazine-4-acetonitrile,- 6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2,-3-dihydro-3-oxo- MP: 102 0-103 0		C 46 35 46 03 H 2 33 2 34 Cl 9 12 F 19 55 N 14 41
448	4H-1,-4-benzoxazine-4-acetamide,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2,-3-dihydro-N-methyl-3-oxo- MP: 223 0-225 0		C 45 67 45 75 H 3 11 3 10 Cl 8 43 F 18 06 N 13 32
449	4H-1,-4-benzoxazine-4-acetic acid,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2,-3-dihydro-3-oxo-,- 1,-1-dimethylethyl ester MP: 161 0-162 0		C 49 20 49 45 H 3 91 4 06 Cl 7 04 F 16 39 N 9.06
450	4H-1,-4-benzoxazine-4-acetic acid,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-3-oxo-,-1-methylethyl ester MP: 176 0-177 0		C 48 07 48 25 H 3 59 3 70 Cl 7 88 F 16 90 N 9.34 9 30

Table 6 (continued)

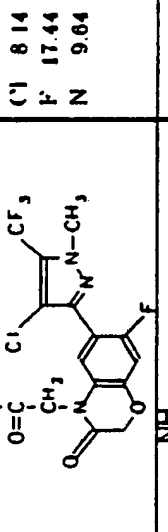
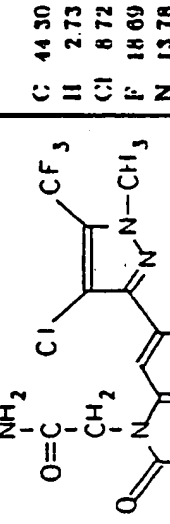
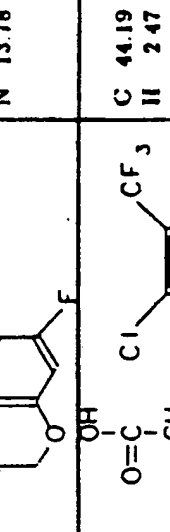
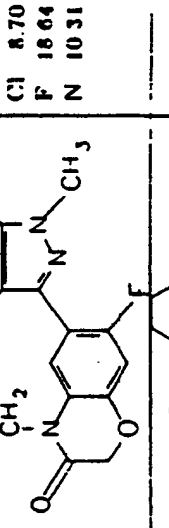
Compound #	Name	Structure	Analysis (%) Calc'd Found
451	4H-1,-4-benzoxazine-4-acetic acid,- 6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2,-3-dihydro-3-oxo-,- ethyl ester MP: 131.0-133.0		C 46 86 47 00 H 3 24 3 24 Cl 8 14 F 17.44 N 9.64
452	4H-1,-4-benzoxazine-4-acetamide,- 6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2,-3-dihydro-3-oxo- MP: 215.0-217.0		C 44 30 44 34 H 2.73 2 73 Cl 8 72 F 18 69 N 13.78
453	2H-1,-4-benzoxazine-4-acetic acid,- 6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-3,-4-dihydro-3-oxo- MP: 194.0-196.0		C 44.19 44.13 H 2 47 2 33 Cl 8.70 F 18 64 N 10 31
454	2H-1,-4-benzoxazin-3(4H)-one,- 6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-[(tetrahydro-2H-pyran-2-yl)-methyl]- MP: 133 0-135 0		C 50 96 51 23 H 4 05 4 18 Cl 7 92 F 16 97 N 9 38

Table 6 (continued)

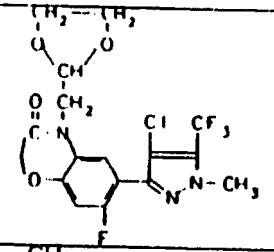
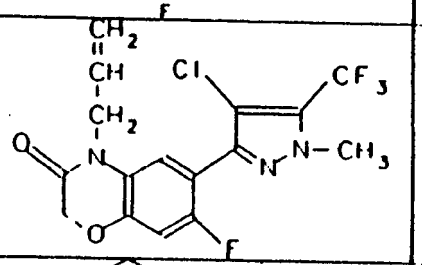
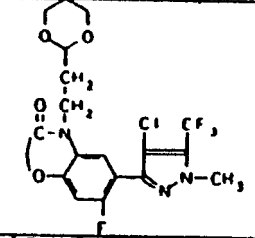
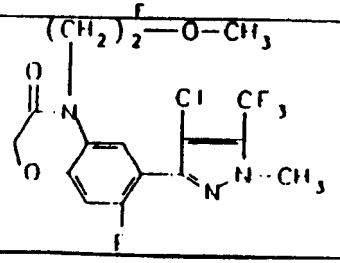
Compound #	Name	Structure	Analysis (%) Calc'd	Found
455	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-(1,-3-dioxolan-2-ylmethyl)- MP. 150.5-151.5		C 46.86 H 3.24 Cl 8.14 F 17.44 N 9.64	46.81 3.24 9.56
456	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-(2-propenyl)- MP. 84.0-86.0		C 49.31 H 3.10 Cl 9.10 F 19.50 N 10.78	49.27 3.08
457	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-[2-(1,-3-dioxan-2-yl)-ethyl]-7-fluoro- MP: 125.5-127.5		C 49.20 H 3.91 Cl 7.64 F 16.39 N 9.06	49.44 3.97 8.80
458	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-(2-methoxyethyl)- MP 91.5-92.5		C 47.13 H 3.46 Cl 8.69 F 18.64 N 10.31	47.27 3.51

Table 6 (continued)

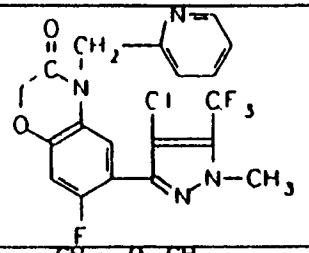
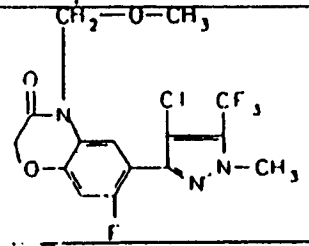
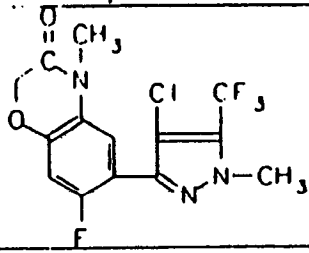
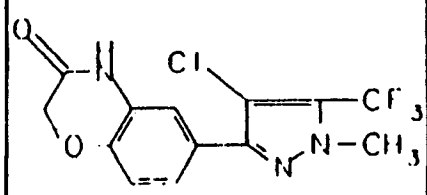
Compound #	Name	Structure	Analysis (%) Calc'd	Found
459	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-(2-pyridinylmethyl)- MP: 137.0-139.0		C 51.77 H 2.97 Cl 8.04 F 17.24 N 12.71	51.50 2.99 12.57
460	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-(methoxymethyl)- MP: 156.5-157.5		C 45.76 H 3.07 Cl 9.00 F 19.30 N 10.67	45.93 3.21
461	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-4-methyl- MP: 140.5-141.5		C 46.23 H 2.77 Cl 9.75 F 20.90 N 11.55	46.24 2.71 11.68
462	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- MP: 216.0		C 47.08 H 2.74 Cl 10.69 F 17.18 N 12.67	47.04 2.75 12.66

Table 6 (continued)

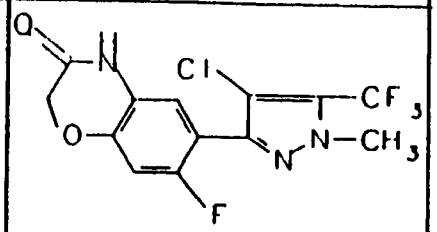
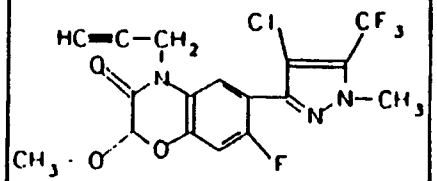
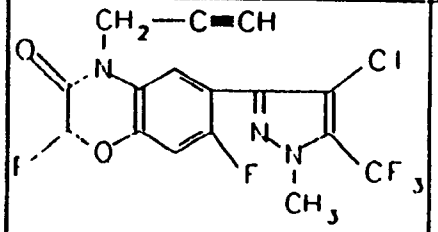
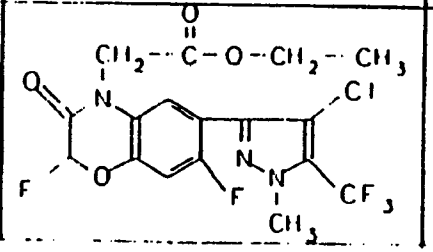
Compound #	Name	Structure	Analysis (%) Calc'd	Found
403	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro- MP: 207.0		C 44.65 H 2.31 Cl 10.14 F 21.73 N 12.02	44.66 2.31 11.97
404	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2-methoxy-4-(2-propynyl)- MP: 101.0-103.0		C 48.88 H 2.90 Cl 8.49 F 18.19 N 10.06	48.95 3.00
405	2H-1,-4-benzoxazin-3(4H)-one,- 6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-2,-7-difluoro-4-(2-propynyl)- MP: 111.0-116.0		C 47.37 H 2.24 Cl 8.74 F 23.42 N 10.36	47.55 2.45
466	1H-1,-4-benzoxazine-4-acetic acid,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-2,-7-difluoro-3-oxo-,-ethyl ester MP: 114.5-116.0		C 45.00 H 2.89 Cl 7.81 F 20.94 N 9.26	45.00 2.81

Table 6 (continued)

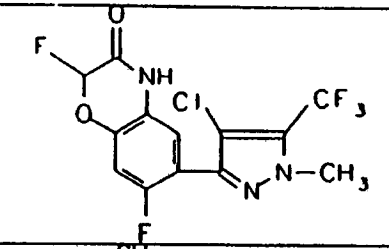
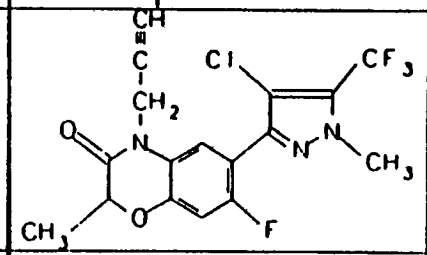
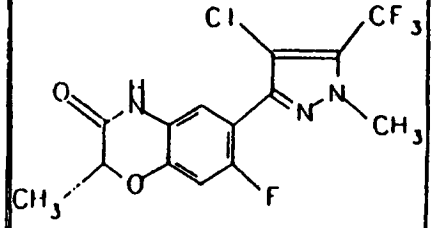
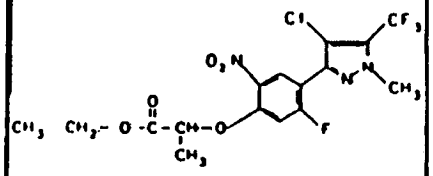
Compound #	Name	Structure	Analysis (%) Calc'd	Found
467	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-2,-7-difluoro- MP: 186.5-187.5		C 42.47 H 1.92 Cl 9.64 F 25.84 N 11.43	42.61 2.13
468	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2-methyl-4-(2-propynyl)- MP: 150.0-151.0		C 50.82 H 3.01 Cl 8.82 F 18.92 N 10.46	50.76 3.02
469	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2-methyl- MP: 187.0-189.0		C 46.23 H 2.77 Cl 9.75 F 20.90 N 11.55	46.43 2.80
470	propanoic acid,- 2-[4-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-5-fluoro-2-nitrophenoxy]-,- ethyl ester MP: 136.0-138.0		C 43.70 H 3.21 Cl 8.06 F 17.28 N 9.56	43.81 3.22

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Table 6 (continued)

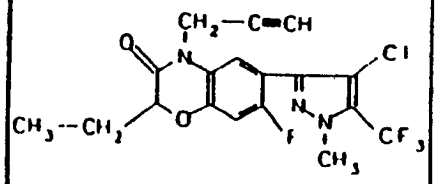
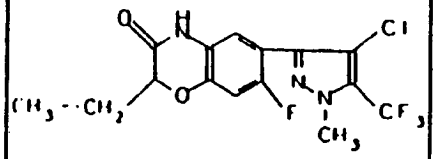
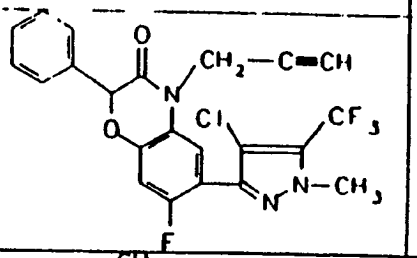
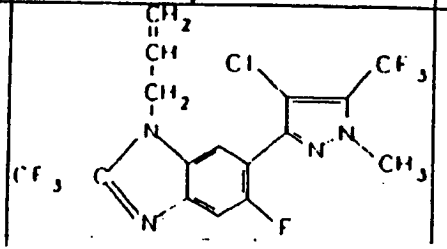
Compound #	Name	Structure	Analysis (%) Calc'd	Found
471	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-2-ethyl-7-fluoro-4-(2-propynyl)- MP. 126.5-127.5		C 52.00 H 3.39 Cl 8.53 F 18.28 N 10.11	52.00 3.38
472	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-2-ethyl-7-fluoro- MP 191.0-192.0		C 47.70 H 3.20 Cl 9.39 F 20.12 N 11.12	47.75 3.18
473	2H-1,-4-benzoxazin-3(4H)-one,-6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-7-fluoro-2-phenyl-4-(2-propynyl)- MP: 146.0-147.0		C 56.97 H 3.04 Cl 7.64 F 16.39 N 9.06	56.77 3.07
474	1H-benzimidazole,- 6-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-5-fluoro-1-(2-propenyl)-2-(trifluoromethyl)- MP: 96.0		C 45.03 H 2.36 Cl 8.31 F 31.17 N 13.13	44.99 2.27 13.18

Table 6 (continued)

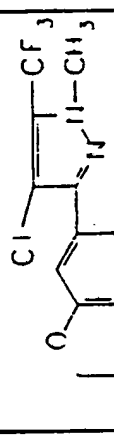
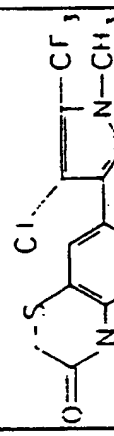
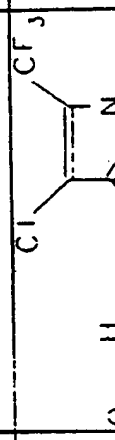
Compound #	Name	Structure	Analysis (%) Calc'd Found
475	2(1H)-quinoxalinone,-7-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-6-fluoro- MP: 250 D		C 45 04 45 10 H 2 04 2 04 Cl 10 23 F 21 92 N 10 10 10.16
476	2H-1,4-benzoxazin-3(4H)-one,-7-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-6-fluoro- MP: 242 D		C 44 65 44 61 H 2 31 2 27 Cl 10 14 F 21 73 N 12 02 11 99
477	2H-1,4-benzothiazin-3(4H)-one,-7-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-6-fluoro- MP: 225 D		C 42 69 42 73 H 2 20 2 23 Cl 9 69 F 20.78 N 11 49 11 40 S 8.77 8 79
478	2(1H)-quinoxalinone,-7-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-6-fluoro-3,4-dihydro- MP: 210 D		C 44 78 44 76 H 2 60 2 59 Cl 10 17 F 21 80 N 10 07 10 06

Table 6 (continued)

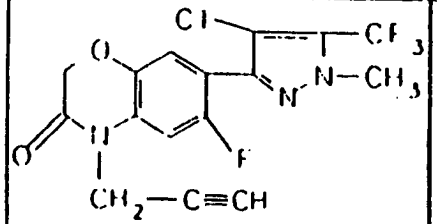
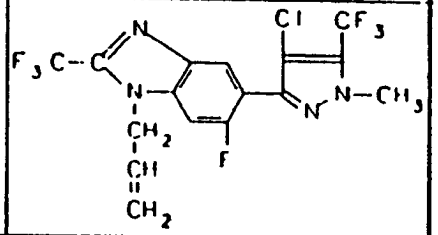
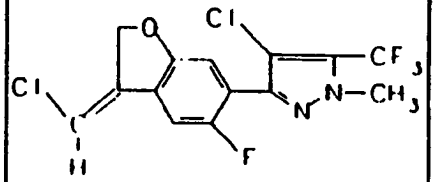
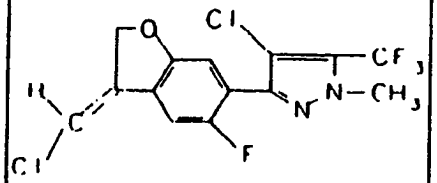
Compound #	Name	Structure	Analysis (%) Calc'd	Found
479	2H 1,-1-benzoxazin-3(4H)-one,-7-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-6-fluoro-4-(2-propynyl)- MP. 181 0		C 49 56 H 2 60 Cl 9 14 F 19 60 N 10 84	49 48 2 56 10 95
480	1H-benzimidazole,- 5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-6-fluoro-1-(2 propenyl) 2 (trifluoromethyl)- MP nD 1.5186 (25°C)		C 45 03 H 2 36 Cl 8 31 F 31 17 N 13 13	45 08 2 25 13 20
481	1H-pyrazole,-4-chloro-3-[3-(chloromethylene)-5-fluoro-2,-3-dihydro-6-benzofuranyl]-1-methyl-5-(trifluoromethyl)- (Z)- MP. 140 5-142 5		C 45 80 H 2 20 Cl 19 31 F 20 70 N 7 63	45 64 2 22 7 60
482	1H-pyrazole,-4-chloro-3-[3-(chloromethylene)-5-fluoro-2,-3-dihydro-6-benzofuranyl]-1-methyl-5-(trifluoromethyl)- (E)- MP: 132 0-135 0		C 45 80 H 2 20 Cl 19 31 F 20 70 N 7 63	45 71 2 23 7 63

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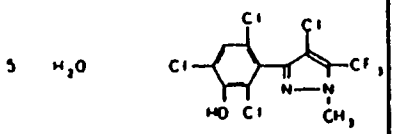
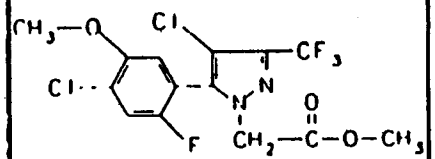
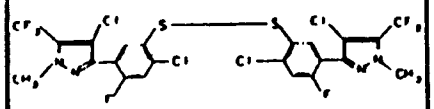
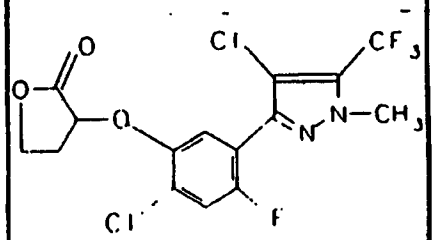
Table 6 (continued)

Compound #	Name	Structure	Analysis (%) Calc'd	Found
483	phenol,- 2,-4,-6-trichloro-3-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-,- hemihydrate MP: 122.5		C 34.77 H 1.33 Cl 37.32 F 15.00 N 7.37	33.87 1.46 7.14
484	1H-pyrazole-1-acetic acid,- 4-chloro-5-(4-chloro-2-fluoro-5-methoxyphenyl)-3-(trifluoromethyl)-,- methyl ester MP: clear glass ($bp_{0.065}$ 130-150°C)*		C 41.92 H 2.51 Cl 17.68 F 18.95 N 6.98	42.01 2.50 6.98
485	1H-pyrazole,- 3,-3'-[dithiobis(4-chloro-6-fluoro-1,-3-phenylene)]-[bis[4-chloro-1-methyl-5-(trifluoromethyl)- MP: 169.0		C 38.39 H 1.46 Cl 20.60 F 22.08 N 8.14 S 9.32	38.61 1.55 8.06 9.24
486	2(5H)-furanone,- 3-[2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorophenoxy]-dihydro- MP: nD 1.5352 (25°C)		C 43.61 H 2.44 Cl 17.16 F 18.39 N 6.78	43.58 2.48 6.68

* Bulb-to-bulb distillation

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Table 6 (continued)

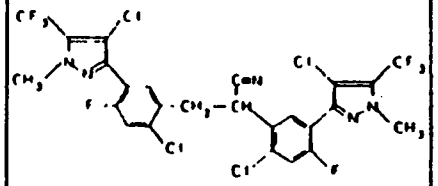
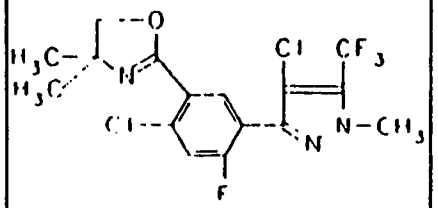
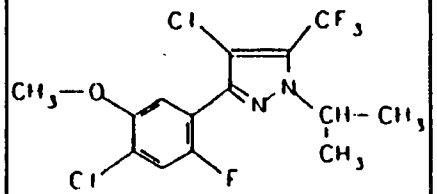
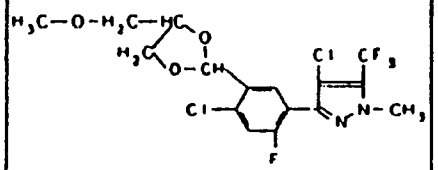
Compound #	Name	Structure	Analysis (%) Calc'd	Found
487	benzenepropanenitrile,- 2-chloro-alpha-[2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl) 1H pyrazol 3-yl]-4-fluorophenyl]-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluoro- MP 130 0-131 0		C 44 34 H 1 93 Cl 20 94 F 22 44 N 10 34	44 41 2 01 10 36
488	oxazolidine,- 2-[2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl) 1H-pyrazol-3-yl]-4-fluorophenyl]-4,-4-dimethyl- MP 106 0		C 46 85 H 3 19 Cl 17 29 F 18 53 N 10 24	46 71 3 24 10 23
489	1H-pyrazole,- 4-chloro-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-(1-methylethyl)-5-(trifluoromethyl)- MP: nD 1.5192 (24°C)		C 45 30 H 3 26 Cl 19 10 F 20 48 N 7.55	45 19 3 27 7 49
490	1H-pyrazole,- 4-chloro-3-[4-chloro-2-fluoro-5-[4-(methoxymethyl)-1,-3-dioxolan-2-yl]-phenyl]-1-methyl-5-(trifluoromethyl)- MP nD 1.5218 (25°C)		C 44 77 H 3 29 Cl 16.52 F 17 71 N 6 53	44 75 3 32 6 56

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Table 6 (continued)

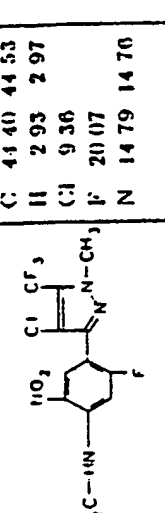
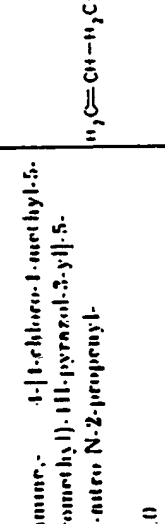
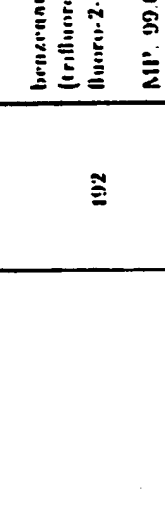
Compound #	Name	Structure	Analysis (%) Calc'd Found
491	acetamide,- N- 4-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-5-fluoro-2-nitrophenyl]-2,2,2-trifluoro-N-2-propenyl- MP: nD 1.5143 (25°C)		C 40.48 40.71 H 2.12 2.13 Cl 7.47 F 28.02 N 11.80 11.70
492	benzenamine,- 4-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-5-fluoro-2-nitro-N-2-propenyl- MP: 99.0		C 44.40 44.53 H 2.93 2.97 Cl 9.36 F 20.07 N 14.79 14.76
493	glycine,- N-[4-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-5-fluoro-2-nitrophenyl]-,- methyl ester MP: 176.0		C 40.94 40.96 H 2.70 2.75 Cl 8.03 F 18.50 N 13.04 13.74
494	butanoic acid,- 2-[4-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-5-fluoro-2-nitrophenoxy]-,- ethyl ester MP: 117.0-118.0		C 45.00 44.96 H 3.55 3.48 Cl 7.81 F 16.75 N 9.28

Table 6 (continued)

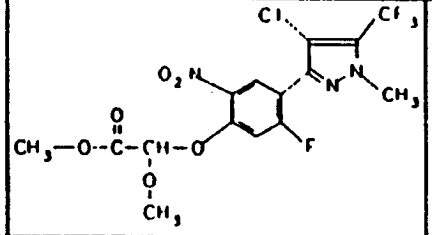
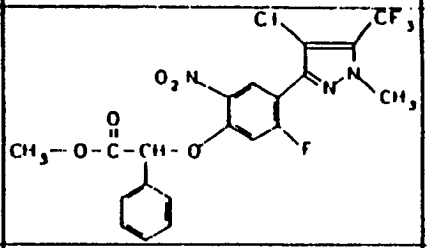
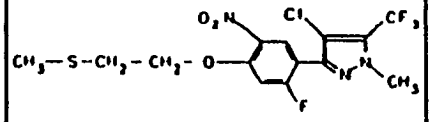
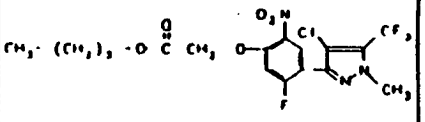
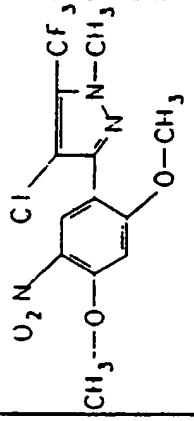
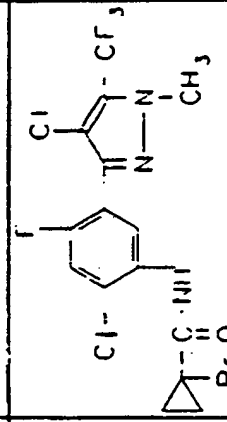
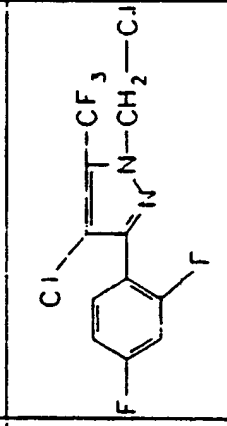
Compound #	Name	Structure	Analysis (%) Calc'd	Found
495	acetic acid,- |1-|4-chloro-1-methyl-5-(trifluoromethyl) 1H-pyrazol-3-yl|-5-fluoro-2-nitrophenoxy|-methoxy-,- methyl ester MP: 113.5-114.5		C 40.79 H 2.74 Cl 8.03 F 17.21 N 9.51	40.93 2.73
496	benzeneacetic acid,- alpha-|4-|4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl|-5-fluoro-2-nitrophenoxy|-,- methyl ester MP 160.0-161.0		C 49.25 H 2.89 Cl 7.27 F 15.58 N 8.61	49.16 2.88
497	1H-pyrazole,- 4-chloro-3-|2-fluoro-4-|2-(methylthio)-ethoxy|-5-nitrophenyl|-1-methyl-5-(trifluoromethyl)- MP: 69.0		C 40.64 H 2.92 Cl 8.57 F 18.37 N 10.16 S 7.75	40.45 2.87 10.16
498	acetic acid,- |4-|4-chloro-1-methyl-5-(trifluoromethyl)-1H pyrazol-3-yl|-5-fluoro-2-nitrophenoxy|-,- butyl ester MP: 65.0		C 45.00 H 3.55 Cl 7.81 F 16.75 N 9.26	44.97 3.56 9.29

Table 6 (continued)

Compound #	Name	Structure	Analysis (%) Calc'd Found
499	1H-pyrazole,-4-chloro-3-(2,4-dimethoxy-5-nitrophenyl)-1-methyl-5-(trifluoromethyl)- MP: 158.0		C 42.70 42.77 H 3.03 3.04 Cl 9.69 F 15.59 N 11.49 11.50
500	cyclopropanecarboxamide,-1-bromo-N-[2-chloro-5-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-4-fluorophenyl]- MP: 80.0-91.0		C 37.92 38.41 H 2.12 2.26 Br 16.82 Cl 14.93 F 16.00 N 8.85 8.80
501	1H-pyrazole,-4-chloro-1-(chloromethyl)-3-(2,4-difluorophenyl)-5-(trifluoromethyl)- MP: nD 1.5096 (25°C)		C 39.91 40.03 H 1.52 1.50 Cl 21.42 F 28.89 N 8.46 8.49

PRE-EMERGENCE HERBICIDE TESTS

As noted above, the compounds of this invention have been found to be surprisingly effective as herbicides.

The tests for pre-emergence herbicide activity are conducted as follows:

Topsoil is placed in an aluminum pan and compacted to a depth of 0.95 to 1.27 cm from the top of the pan. On the top of the soil is placed a predetermined number of seeds of each of several monocotyledonous and dicotyledonous annual plant species and/or vegetative propagules of various perennial plant species. A known amount of the active ingredient dissolved or suspended in an organic solvent, e.g., acetone, or water as carrier is then applied directly to the seed bed, which is then covered with a layer of untreated topsoil to level fill the pan. After treatment, the pans are moved to a greenhouse bench where they are watered from below as needed to give adequate moisture for germination and growth.

Approximately 10-14 days (usually 11 days) after seeding and treating, the pans are observed and the results (% inhibition) are recorded.

Tables 7 and 7A below summarize the results of the pre-emergence herbicidal activity tests of compounds of this invention in weeds. The herbicidal rating shown in these tables is the percent inhibition of each plant species. The plant species usually regarded as weeds which are utilized in one set of tests, the data for which are shown in the tables, are identified by letter headings above the columns in accordance with the following legend:

Yens - Yellow nutsedge
Anbg - Annual bluegrass
Sejg - Seedling johnsongrass
Dobr - Downy Brome
Bygr - Barnyardgrass
Mogl - Morningglory
Cobu - Cocklebur
Vele - Velvetleaf
Inmu - Indian Mustard
Wibw - Wild buckwheat

Where noted in the tables below, the symbol "C" represents 100% control and the symbol "N" indicates that the species was planted, but no data obtained for one reason or another. Compound Nos. 1-61 are intermediate compounds and do not appear in Tables 7 and 8 below.

Table 7
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yenus	Abug	Sesj	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wiw
62		11.21	0	0	30	0	20	30	0	60	20	20
63		11.21	0	C	C	C	C	C	60	C	C	C
64		11.21	10	90	80	90	80	80	10	C	C	C
65	)	11.21	0	70	70	0	30	20	0	90	N	80
66		11.21	0	50	90	60	10	C	20	90	50	90
67		11.21	0	40	40	40	0	90	0	80	50	90
68	*	11.21	30	0	10	0	10	30	0	40	0	N
69		11.21	0	0	0	0	0	30	0	50	0	0
70		11.21	0	40	80	20	40	80	20	90	80	80
71		11.21	0	90	40	10	0	30	0	60	30	70
72		11.21	0	20	60	20	60	40	20	90	90	90
73		11.21	0	0	0	0	0	0	0	50	20	0
74		1.12	0	10	60	20	20	50	0	90	90	C
75		11.21	20	0	50	20	20	90	10	80	30	50
76		1.12	0	10	0	10	0	40	20	50	60	C
77		11.21	0	80	40	10	30	0	0	30	30	20
78		11.21	0	0	0	0	0	0	0	0	0	0
79		11.21	20	90	80	80	80	C	30	C	C	C
80		11.21	0	90	80	70	70	60	60	C	80	90
81	)	11.21	0	60	90	80	60	30	20	90	20	C
82		11.21	30	60	C	C	C	C	40	C	80	C
83		11.21	20	40	C	90	60	30	40	90	60	90
84		11.21	30	C	C	C	C	90	80	C	C	C
85		11.21	0	C	C	C	C	C	40	C	C	C
86		11.21	0	0	0	0	0	20	10	90	30	C
87		11.21	10	10	30	20	40	70	10	90	70	90
88		11.21	10	20	30	10	10	10	20	80	20	C
90		11.21	0	10	50	10	10	10	0	20	10	30

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yeasn	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wib
91	1.12	0	0	0	0	0	90	20	C	90	70
92	1.12	0	0	0	0	0	80	20	90	20	80
94	1.12	20	C	C	C	C	90	60	C	C	C
95	1.12	20	30	50	20	50	40	20	90	80	C
96	1.12	20	C	90	C	80	C	60	C	C	C
97	1.12	20	80	50	80	50	40	90	C	90	C
99	11.21	30	80	90	C	90	90	80	C	C	C
100	1.12	0	90	90	C	70	80	20	C	C	C
101	1.12	0	70	80	80	40	80	30	C	C	90
102	1.12	20	60	90	80	80	C	30	C	C	C
103	1.12	0	20	40	70	20	60	0	50	40	C
104	1.12	0	20	80	80	10	80	20	90	80	70
105	1.12	20	0	60	40	70	80	10	90	80	80
106	1.12	0	30	80	80	80	60	20	80	90	C
107	1.12	0	20	40	30	80	C	20	90	80	80
108	11.21	20	50	90	C	C	90	80	C	C	C
109	1.12	0	20	80	20	90	70	30	90	90	C
110	1.12	0	0	0	0	20	70	50	C	80	70
111	11.21	60	80	80	70	40	C	90	C	C	C
112	11.21	80	C	90	20	C	C	40	C	C	90
113	11.21	0	10	30	0	80	30	0	80	80	40
114	11.21	90	C	90	60	C	C	70	C	C	C
115	1.12	0	40	70	70	80	80	20	C	C	90
116	1.12	0	90	90	80	90	90	50	C	90	C
117	1.12	0	C	70	90	C	90	70	C	C	C
118	1.12	0	C	90	C	90	C	80	90	C	C
119	11.21	70	10	80	80	C	C	C	C	C	C
120	1.12	10	70	80	70	80	80	30	C	90	C

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yellow nutsedge	Annual bluegrass	Sesbania	Downy brome	Barnyardgrass	Morningglory	Cocklebur	Velvetleaf	Indian mustard	Wild buckwheat
121	11.21	70	C	80	70	C	C	60	C	C	C
122	1.12	0	20	40	20	80	80	40	C	C	70
123	1.12	30	0	40	70	30	C	50	C	80	90
124	11.21	20	C	C	C	C	C	C	C	C	C
125	1.12	0	C	C	90	C	90	30	C	C	C
126	1.12	0	C	C	C	C	C	50	C	C	C
127	1.12	0	0	20	0	30	70	20	C	90	C
128	1.12	0	0	30	0	0	80	70	C	70	C
129	1.12	0	0	60	40	20	C	90	C	90	C
130	1.12	20	0	70	30	30	C	90	C	C	90
131	1.12	20	0	70	30	40	C	80	C	90	C
132	11.21	30	60	70	80	90	C	70	C	90	C
133	1.12	20	20	80	0	80	70	40	C	C	70
134	1.12	0	50	40	70	10	20	30	70	60	90
135	1.12	0	C	C	C	C	90	90	C	C	C
137	1.12	20	70	50	80	70	C	C	C	C	90
138	1.12	20	40	30	90	50	C	C	C	C	C
142	1.12	0	10	20	70	40	C	60	C	90	50
143	1.12	10	10	40	20	20	90	80	C	C	C
144	1.12	10	10	20	10	30	80	C	C	80	70
145	1.12	60	0	30	20	30	C	70	C	C	70
146	1.12	20	C	C	C	C	C	90	C	C	C
147	1.12	30	0	40	10	40	80	20	C	80	70
148	1.12	0	70	50	80	90	C	90	C	C	C
149	1.12	20	0	20	0	20	90	30	C	70	60
150	1.12	0	70	90	80	60	40	60	90	80	C
151	1.12	0	C	C	C	90	70	90	90	90	C
152	1.12	0	70	20	70	60	90	20	C	90	90

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yeans	Abug	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inu	Wib
153		1.12	30	C	C	50	C	90	10	C	C	90
154		1.12	30	10	C	10	90	C	C	C	C	C
155		1.12	0	90	90	30	80	90	70	C	C	C
156		1.12	20	20	C	20	90	C	80	C	C	90
157		1.12	50	C	90	80	C	C	80	C	C	C
158		1.12	10	30	80	70	80	C	50	C	C	90
159	(	11.21	C	90	C	90	C	C	C	C	C	C
160		1.12	0	20	80	50	30	30	40	40	20	90
161		11.21	60	40	90	80	C	C	C	C	C	C
162		1.12	20	80	C	90	70	80	70	90	80	C
163		11.21	50	C	C	C	C	C	C	C	C	C
164	+	11.21	10	70	80	C	20	C	40	C	C	C
165		11.21	40	C	C	C	C	C	C	C	C	C
166		1.12	30	70	80	80	40	50	50	90	90	C
167	@	11.21	0	50	80	C	70	50	40	90	C	C
168		11.21	0	0	0	0	0	30	30	80	30	C
169		1.12	0	20	80	C	50	40	30	70	80	90
170	@	11.21	0	30	90	C	80	C	40	C	C	C
171		11.21	20	40	80	50	90	60	40	C	70	80
172		1.12	20	30	0	0	20	0	0	30	80	80
173		1.12	20	C	60	90	70	60	30	80	80	80
174		1.12	0	30	80	60	50	70	30	90	90	90
175		11.21	0	80	20	40	70	80	10	80	10	90
176		11.21	20	C	C	C	90	C	80	C	C	C
177		11.21	20	C	90	90	C	C	90	C	C	C
179		1.12	0	70	90	90	70	80	80	90	C	C
180		1.12	0	0	0	40	30	90	80	C	90	C
181		11.21	30	C	80	C	90	C	C	C	C	C

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yeans	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
182		11.21	90	C	C	C	C	C	C	C	C	C
183	+	1.12	0	50	80	90	20	70	70	90	90	C
184		11.21	0	20	0	20	30	C	60	C	C	C
185		11.21	20	C	80	C	C	C	C	C	C	C
186		1.12	0	40	60	C	20	80	70	C	80	C
187	+	1.12	0	30	70	50	20	40	40	80	C	C
188		11.21	20	60	80	70	80	C	80	C	80	C
189		1.12	0	0	0	10	0	50	30	80	80	80
190		1.12	0	20	20	10	10	C	30	C	90	C
191		11.21	0	0	20	30	70	70	40	C	80	C
192		11.21	0	C	90	C	90	90	90	C	C	C
193		11.21	50	C	50	60	40	C	C	C	C	C
194		1.12	20	0	30	20	0	80	30	90	60	80
195		1.12	0	0	20	20	50	C	90	C	80	30
		1.12	0	N	0	N	0	0	0	N	N	N
196		1.12	50	0	0	20	60	80	50	C	C	70
197		11.21	0	0	30	30	80	60	80	C	C	C
199		1.12	0	0	20	20	20	70	40	C	80	60
200		1.12	0	0	0	0	30	C	80	C	90	60
201		1.12	0	0	20	0	30	70	70	C	80	30
202		1.12	0	0	20	20	20	60	50	60	70	80
204		1.12	0	0	0	0	0	0	0	0	0	0
206		11.21	0	0	20	0	10	20	20	0	20	40
207	@	1.12	0	0	0	0	0	0	0	0	0	0
208		1.12	30	50	80	C	60	60	80	80	70	C
209		1.12	0	0	0	0	20	20	0	20	0	0
210		1.12	20	20	80	70	40	80	30	80	80	C
211		1.12	10	80	C	C	C	C	80	C	C	C

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yeans	Abgj	Sebj	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
212	1.12	20	30	50	80	70	80	70	C	C	90
213	1.12	20	90	50	90	C	60	50	C	C	80
214	1.12	30	80	70	70	90	80	50	C	C	C
215	1.12	0	60	40	20	80	80	30	C	C	90
216	1.12	30	C	C	C	90	C	80	C	C	C
217	1.12	20	C	C	C	C	C	80	C	C	C
218	1.12	0	50	40	70	80	C	70	C	C	C
219	1.12	30	60	50	60	70	90	90	C	C	70
220	1.12	50	70	60	70	70	80	90	90	90	60
221	1.12	30	30	50	50	20	80	80	80	C	30
222	1.12	40	80	30	60	80	70	80	C	C	C
223	1.12	40	60	50	60	60	80	90	C	90	40
224	1.12	0	40	70	80	70	60	C	C	50	C
	1.12	0	N	N	N	0	0	0	N	N	N
225	1.12	30	90	60	80	60	50	80	C	C	60
226	1.12	30	20	40	50	50	80	90	90	C	50
227	1.12	30	50	50	40	70	80	90	C	C	60
228	1.12	20	60	50	80	50	80	C	90	C	60
229	1.12	60	C	C	C	90	C	90	C	C	C
230	1.12	20	60	80	60	90	90	60	C	C	C
231	1.12	0	20	40	50	30	0	40	40	20	20
232	1.12	40	C	80	70	90	C	30	C	C	C
233	1.12	30	80	70	50	90	90	30	C	C	C
234	1.12	20	40	50	30	40	70	30	C	C	90
235	1.12	30	90	70	30	C	C	30	C	C	C
236	1.12	30	90	90	C	50	C	C	C	C	C
237	1.12	60	90	90	80	C	C	40	C	C	C

Table 1 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yenes	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
238	1.12	50	10	20	20	20	70	C	C	C	80
	1.12	40	10	10	0	0	80	C	C	C	70
239	1.12	30	30	50	50	30	80	90	C	C	30
240	1.12	0	80	90	C	80	C	90	C	C	C
241	1.12	20	C	60	90	60	50	50	C	70	80
242	1.12	30	90	30	90	40	80	60	C	C	80
243	1.12	20	80	30	60	30	60	70	C	90	60
244	1.12	30	0	10	50	0	0	0	20	0	10
245	1.12	0	60	60	30	80	80	30	90	C	C
246	1.12	0	90	80	C	70	80	90	90	C	C
247	1.12	30	20	50	20	50	C	70	C	90	80
248	1.12	30	30	20	0	40	90	50	C	90	90
249	1.12	60	C	90	80	C	C	50	C	C	C
250	1.12	0	30	60	90	80	90	10	C	70	C
253	1.12	20	30	60	40	80	90	20	90	90	90
254	1.12	0	80	70	80	70	20	30	C	70	C
255	1.12	0	0	30	40	0	90	60	90	90	80
256	1.12	0	60	60	40	80	80	40	C	C	C
257	1.12	0	10	20	20	0	70	30	90	80	80
258	1.12	0	20	40	10	20	80	C	80	C	70
259	1.12	0	0	0	0	0	60	N	30	20	0
260	1.12	0	20	30	C	20	30	30	40	50	80
261	11.21	30	C	C	C	C	C	C	C	C	C
262	1.12	40	C	C	C	C	C	80	C	C	C
263	1.12	0	C	C	C	C	C	C	C	C	C
264	1.12	30	60	70	C	80	C	70	C	90	C
265	1.12	0	90	80	90	20	20	20	70	60	C
266	1.12	30	70	50	C	90	70	40	40	80	C

Table 1 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yenus	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wib w
267	1.12	30	60	90	90	70	70	70	90	80	C
268	1.12	0	40	60	C	60	70	60	90	80	C
269	1.12	20	C	C	C	90	90	60	C	C	C
270	1.12	30	70	70	C	90	C	60	C	C	C
271	1.12	30	50	80	80	80	80	70	80	80	C
272	1.12	40	20	80	70	90	C	70	C	C	C
273	1.12	20	80	70	60	C	C	40	C	C	C
274	1.12	0	20	40	20	80	80	0	C	C	60
275	1.12	20	20	40	20	80	C	70	C	C	C
276	1.12	20	C	C	C	C	C	80	C	C	C
277	1.12	20	C	C	C	C	90	50	C	C	C
278	1.12	20	C	90	C	90	C	70	C	C	C
279	11.21	C	90	C	C	90	C	C	C	C	C
280	11.21	90	50	80	40	80	C	C	C	90	C
281	1.12	0	0	0	0	0	40	80	70	20	50
282	1.12	40	20	30	20	20	90	30	C	30	90
283	1.12	40	20	20	0	0	C	C	C	70	70
286	1.12	0	0	20	20	50	C	C	C	0	80
289	1.12	70	30	70	20	20	90	50	C	40	90
290	1.12	80	30	30	20	20	C	C	C	40	90
291	1.12	30	30	40	20	20	C	C	C	40	C
292	1.12	40	20	40	20	20	C	40	80	30	80
293	1.12	20	0	20	0	20	C	80	C	0	70
294	1.12	0	0	20	20	20	70	80	70	0	90
295	1.12	0	40	60	50	50	60	30	70	60	C
296	1.12	30	0	0	0	30	90	90	C	C	C
297	1.12	0	20	40	60	80	20	10	90	70	90
298	1.12	50	30	30	20	80	C	40	C	C	C

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yeans	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wib w
299		1.12	0	0	20	20	70	C	80	C	C	70
300		1.12	20	0	0	0	0	C	80	C	40	50
301		11.21	0	0	0	90	20	30	0	40	40	90
302		1.12	0	C	90	C	C	C	90	C	C	C
304		1.12	10	80	80	C	80	C	90	C	C	C
305		1.12	0	90	90	C	90	70	30	C	90	C
306		1.12	0	60	40	C	80	80	70	C	C	C
307	@	1.12	30	80	80	C	70	C	90	90	90	C
308		1.12	40	C	C	C	C	C	80	C	C	C
309		1.12	40	C	90	C	C	C	80	C	C	C
310		1.12	20	90	70	20	80	C	30	C	C	C
311		1.12	60	C	90	90	C	C	60	C	C	C
312		11.21	60	C	C	C	C	C	C	C	C	C
313		1.12	30	C	C	C	C	C	90	C	C	C
314		1.12	20	80	90	C	90	C	80	C	C	C
316		1.12	0	C	90	C	90	90	50	C	C	C
317		1.12	10	90	70	60	60	90	C	C	80	C
318		11.21	70	C	90	C	C	C	C	C	C	C
319		1.12	20	80	40	70	30	90	50	C	80	C
320		1.12	0	60	40	40	30	C	90	C	80	C
321		1.12	20	20	30	80	60	60	20	C	50	C
322		1.12	0	20	30	80	30	C	30	C	60	C
323		1.12	0	60	70	90	70	80	20	C	50	C
324		1.12	20	70	50	70	80	C	30	90	90	C
325		11.21	0	90	C	C	C	90	90	C	C	C
326		11.21	20	C	90	C	90	C	80	C	C	C
327		11.21	0	0	0	0	0	0	0	20	0	0
328		1.12	0	10	40	90	0	70	20	C	0	C

Table 7 (continued)

PREEMERGENCE TESTS

% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yens	Aneb	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wib
330		1.12	20	60	80	80	70	80	20	C	C	C
331		1.12	0	30	40	70	20	70	50	80	70	80
332		1.12	0	60	80	70	60	80	0	80	70	90
333		1.12	0	70	90	C	70	90	40	C	C	90
334		1.12	0	60	70	80	80	40	40	70	80	C
335		1.12	0	0	0	0	0	C	C	C	90	80
336		1.12	0	30	60	80	40	90	60	80	80	90
337		1.12	10	0	30	20	70	C	70	C	80	80
338		1.12	20	20	20	20	70	C	50	80	80	70
339		1.12	30	10	0	0	10	80	90	C	90	90
340		1.12	20	80	70	40	80	C	C	C	C	90
341	@	1.12	20	C	90	90	70	90	90	C	C	C
342		1.12	30	C	C	C	90	C	80	C	C	C
343		11.21	0	0	0	20	0	20	0	50	30	80
344		1.12	0	0	0	0	0	0	0	60	0	0
345		1.12	0	0	20	20	30	20	0	70	50	70
346		11.21	30	0	0	0	0	80	30	C	C	60
347		11.21	0	80	70	70	80	80	30	C	90	80
348		1.12	40	60	40	20	80	C	C	C	C	70
349		1.12	0	20	60	30	70	30	20	80	70	80
352		11.21	20	30	50	40	30	80	60	90	60	80
353		11.21	0	80	70	20	50	80	80	C	C	C
354		1.12	0	20	70	30	60	20	20	90	30	C
355		11.21	0	0	30	0	20	0	30	80	30	90
356		11.21	30	C	C	80	90	C	40	C	C	C
357		11.21	20	30	80	40	70	80	20	60	90	70
358		11.21	70	60	50	30	80	C	80	C	C	70
359		1.12	20	0	20	0	40	40	30	90	90	C

Table 7 (continued)

PREEMERGENCE TESTS

% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yellow nutsedge	Annual bluegrass	Sesbania	Downy brome	Barnyardgrass	Morningglory	Cocklebur	Velvetleaf	Indian mustard	Wild buckwheat
360	11.21	0	0	0	0	0	0	0	0	0	0
361	11.21	0	0	40	60	80	50	0	90	50	80
362	11.21	0	50	80	60	50	80	20	90	60	C
363	11.21	0	0	40	20	0	0	0	50	0	60
364	11.21	0	30	80	80	80	70	20	C	60	90
365	11.21	30	70	C	C	C	90	30	C	70	90
366	11.21	20	C	C	C	C	50	50	C	70	C
367	11.21	0	0	0	0	0	0	0	0	0	0
368	11.21	0	0	0	0	0	0	0	30	0	0
369	11.21	0	0	0	0	0	30	0	80	30	80
370	11.21	0	0	20	0	0	20	0	30	30	80
371	11.21	0	0	0	0	0	0	0	0	0	0
372	11.21	0	0	0	0	0	0	0	40	10	0
373	11.21	0	0	0	0	0	0	0	0	0	20
374	11.21	0	0	30	0	0	0	0	80	30	90
375	11.21	0	0	0	0	0	0	0	0	0	0
376	11.21	0	0	20	0	0	20	0	70	80	30
377	11.21	0	0	60	20	40	20	0	90	80	20
378	11.21	0	20	50	0	80	70	0	50	80	30
379	11.21	0	0	0	0	0	0	0	30	0	50
380	11.21	0	0	0	0	0	20	0	30	0	0
381	1.12	0	0	20	0	0	0	0	0	0	0
382	11.21	0	0	0	0	0	40	0	70	0	80
383	11.21	10	10	0	20	10	50	10	70	70	40
	11.21	0	0	0	0	0	40	0	60	60	20
384	11.21	0	0	0	0	20	0	0	0	30	0
385	11.21	0	0	0	0	30	0	0	20	0	0
386	11.21	0	0	0	0	40	20	0	80	80	40

Table 7 (continued)

PREEMERGENCE TESTS

% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yens	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
387		11.21	0	0	0	0	0	0	0	0	0	0
388		11.21	0	30	0	0	0	30	10	80	50	80
389		11.21	30	C	C	C	C	C	70	C	C	C
390		11.21	20	C	C	C	C	C	80	C	C	C
391		1.12	20	C	C	80	C	70	50	C	C	C
392		1.12	30	C	C	C	C	C	60	C	C	C
393		1.12	0	0	20	0	20	80	0	80	20	40
394		1.12	10	30	80	90	60	50	40	90	C	C
395		1.12	10	30	80	C	50	30	40	70	80	C
396		11.21	30	C	C	90	90	C	70	C	C	C
397		1.12	20	20	80	90	90	50	20	80	80	90
398		1.12	0	0	0	0	0	0	0	0	0	0
399		1.12	0	0	30	0	80	40	20	70	C	90
400		11.21	80	30	30	20	60	0	0	90	80	90
401		1.12	30	60	80	40	30	40	20	80	80	C
402		1.12	0	30	60	80	30	0	0	40	50	80
403		11.21	20	40	90	90	80	70	80	80	70	C
404		11.21	30	60	90	C	70	80	30	90	90	C
405		11.21	30	50	90	50	80	70	60	C	80	C
406		11.21	20	30	90	40	C	80	60	C	C	90
407		11.21	40	20	20	20	40	C	C	C	80	80
408	@	11.21	20	80	70	20	30	70	40	C	80	C
409	+	11.21	0	0	40	20	20	40	20	50	N	N
410	+	11.21	0	30	60	40	60	40	30	60	70	30
411		1.12	0	90	C	C	80	80	40	90	C	C
412		1.12	0	20	40	30	50	70	40	60	50	80
413		11.21	0	20	60	50	40	40	20	70	40	90
414		11.21	20	C	90	60	60	C	20	C	C	C

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yeans	Aneb	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wib
415		1.12	0	30	80	30	80	40	20	90	90	70
416		1.12	10	70	80	20	80	30	60	80	C	30
417		1.12	20	0	30	0	0	20	0	60	40	30
418		1.12	20	20	70	40	70	30	70	80	60	50
419		1.12	0	20	30	30	10	70	20	80	60	80
420		1.12	0	20	80	80	70	20	20	60	50	C
421		11.21	0	80	90	C	40	C	80	C	90	C
422		11.21	0	70	90	C	70	70	40	C	70	C
423		11.21	0	0	0	0	0	0	0	50	20	20
424		11.21	0	0	40	20	0	0	0	40	0	30
425		11.21	0	0	70	20	20	C	40	C	C	30
426		11.21	0	0	50	10	50	40	0	70	60	70
427	(	11.21	0	0	0	0	0	0	0	0	10	0
428		11.21	0	0	0	0	20	30	0	90	70	70
429		11.21	0	30	30	10	20	0	0	30	50	10
430		11.21	20	0	30	0	0	70	40	60	30	20
431		11.21	0	0	0	0	0	0	0	60	20	0
432		11.21	0	20	50	70	10	20	10	C	10	90
433		11.21	0	0	0	0	0	0	0	0	0	0
435		11.21	0	40	60	30	70	C	20	C	90	90
	+	11.21	N	N	N	0	0	0	0	0	0	0
436	+	11.21	N	N	N	0	0	0	0	0	0	0
		11.21	20	0	0	0	0	30	0	40	20	10
437	+	11.21	0	0	0	0	40	80	30	80	80	60
438		1.12	0	30	60	40	30	70	50	80	80	40
439		1.12	0	0	0	0	0	80	50	50	60	40
440		11.21	0	30	50	70	40	50	20	60	40	C
441		1.12	0	40	40	20	80	90	20	C	C	70

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yenus	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
442		11.21	10	60	80	70	C	80	20	C	C	C
443		1.12	0	80	10	50	40	90	80	C	90	C
444		1.12	0	30	80	20	30	0	0	0	N	N
445		1.12	10	C	80	C	80	C	C	C	C	C
446		1.12	60	C	80	90	80	C	C	90	C	C
447		1.12	30	C	40	80	90	C	C	C	C	C
448		1.12	80	C	90	80	C	C	C	C	C	C
449	(	1.12	0	60	80	50	60	30	30	70	10	30
450		1.12	0	0	10	0	20	80	20	90	10	40
451		1.12	20	0	20	20	30	C	70	80	50	70
452		1.12	80	C	90	70	C	C	C	C	C	C
453		1.12	0	0	0	0	0	90	20	C	30	80
454	(	1.12	0	C	80	80	80	90	80	C	C	C
455		1.12	40	C	90	C	90	C	C	C	C	C
456		1.12	40	C	80	C	80	C	C	C	C	C
457		1.12	0	C	70	40	60	C	40	90	90	C
458	(	1.12	50	C	90	C	90	C	C	C	C	C
459		1.12	10	C	60	70	90	C	70	C	C	C
460	(	1.12	20	C	90	C	90	C	70	C	C	C
461		1.12	60	C	90	C	90	C	30	C	C	C
462		11.21	0	0	0	0	60	80	50	90	C	C
463		1.12	50	30	70	50	80	C	80	C	C	C
464		1.12	0	C	70	80	70	90	30	C	C	C
465		11.21	20	C	C	C	C	C	C	C	C	C
466		11.21	70	0	0	10	0	90	50	C	80	C
467		11.21	10	20	10	10	80	0	10	C	C	80
468		1.12	0	90	50	90	60	80	70	90	C	C

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yeasn	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
469		1.12	20	20	20	10	80	70	20	C	C	C
		1.12	20	40	0	0	40	80	10	C	C	C
470		1.12	0	0	0	0	0	20	40	20	0	0
471		11.21	20	90	80	80	20	30	30	90	80	C
472		11.21	0	0	0	0	20	20	10	90	70	50
473		11.21	0	0	0	0	0	0	0	10	N	30
474		11.21	0	80	C	C	90	C	70	C	90	C
475		11.21	20	70	60	30	80	C	40	C	C	C
476		11.21	0	0	0	0	0	0	0	0	0	0
477		11.21	0	30	0	0	0	0	0	0	0	0
478		11.21	30	80	70	40	C	C	C	C	C	C
479		11.21	0	0	0	0	0	0	0	0	0	0
480		11.21	0	0	0	0	0	0	0	0	0	0
481		11.21	0	40	30	60	20	30	0	70	60	90
482		11.21	30	80	60	C	80	C	80	C	C	C
484	@	5.61	0	0	20	0	0	20	0	60	20	20
485		11.21	0	20	30	30	0	0	0	30	30	70
486		1.12	0	70	60	80	80	90	20	80	C	80
487		11.21	0	0	0	0	0	50	0	40	20	0
489		11.21	0	90	80	C	30	10	0	10	0	10
		1.12	0	0	0	0	0	0	0	0	0	0
490		1.12	0	60	50	60	60	70	40	C	80	90
491		11.21	0	0	0	0	0	0	0	0	0	0
492		11.21	0	0	0	0	0	0	0	0	0	0
493		11.21	20	0	0	0	0	0	0	10	20	0
494		11.21	0	0	0	0	0	0	0	10	20	10
495		1.12	0	0	0	0	0	10	0	10	0	0
496		1.12	0	0	0	0	0	0	0	0	0	0

Table 7 (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yesn	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
497	11.21	0	0	0	0	0	20	0	0	0	0
498	11.21	0	0	0	0	80	50	10	C	70	90
499	11.21	0	0	0	0	0	0	0	0	0	0
501	11.21	20	90	60	90	90	80	20	C	90	40
	1.12	0	0	0	0	0	0	0	30	20	20

* Poor germination- Wibw.

@ Cocklebur germination eratic

\+ Excessive damping off

(Sejg germination was thin.

) FREQUENT DAMPING OFF-Inmu, Wibw

TABLE 7A

PREEMERGENCE TESTS

% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yens	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
89	11.21	10	0	0	0	0	20	0	90	70	30
93	1.12	0	0	0	0	0	30	20	20	10	20
98	1.12	0	0	70	60	30	80	20	90	70	60
136	1.12	0	90	90	C	70	80	80	90	90	C
139	1.12	20	20	40	80	30	70	70	C	90	90
140	1.12	0	30	70	60	0	70	80	90	70	70
141	1.12	0	20	50	70	20	80	70	70	80	90
178	1.12	0	20	10	30	10	50	20	C	90	C
198	1.12	0	0	0	0	0	0	0	80	20	70
203	11.21	0	0	20	10	0	10	20	0	0	0
205	11.21	0	0	20	0	0	0	0	10	30	10
251	1.12	0	50	70	80	0	40	30	60	20	90
252	1.12	0	30	60	60	20	40	30	20	10	10
285	1.12	0	0	0	0	50	C	80	C	10	80
287	1.12	30	0	0	0	0	70	90	C	60	80
288	1.12	0	0	0	0	0	C	30	C	20	90
303	11.21	0	C	C	C	C	C	C	C	C	C
315	11.21	20	C	C	C	C	C	C	C	C	C
329	1.12	0	0	0	0	0	70	0	C	90	C
350	1.12	0	0	0	0	0	80	10	C	C	50
351	1.12	0	0	0	0	0	80	80	C	C	C

TABLE 7A (continued)
PREEMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yenbsg	Aneggr	Sejgrl	Dobrlu	Byrrle	Mogllm	Cobuu	Vele	Inmu	Wibw
488	1.12	0	30	40	80	70	70	0	C	60	C
500	11.21	0	10	70	80	30	60	40	70	30	90

POST-EMERGENCE HERBICIDE TESTS

The post-emergence herbicidal activity of some of the various compounds of this invention was demonstrated by greenhouse testing in the following manner. Topsoil is placed in aluminum pans having holes in the bottom and compacted to a depth of 0.95 to 1.27 cm from the top of the pan. A predetermined number of seeds of each of several dicotyledonous and monocotyledonous annual plant species and/or vegetative propagules for the perennial plant species are placed on the soil and pressed into the soil surface. The seeds and/or vegetative propagules are covered with soil and leveled. The pans are then placed on a bench in the greenhouse and watered from below as needed. After the plants reach the desired age (two to three weeks), each pan, is removed individually to a spraying chamber and sprayed by means of an atomizer, operating at a spray pressure of 170.3 kPa (10 psig) at the application rates noted. In the spray solution is an amount of an emulsifying agent mixture to give a spray solution or suspension which contains about 0.4% by volume of the emulsifier. The spray solution or suspension contains a sufficient amount of the candidate chemical in order to give application rates of the active ingredient corresponding to those shown in Tables 8 and 8A, while applying a total amount of solution or suspension equivalent to 1870 L/Ha (200 gallons/acre). The pans were returned to the greenhouse and watered as before and the injury to the plants as compared to the control is observed at approximately 10-14 days (usually 11 days) and in some instances observed again at 24-28 days (usually 25 days) after spraying. The post-emergent herbicidal activity shown in these tables is the percent inhibition of each plant species.

TABLE 8
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yellow nutsedge	Annual bluegrass	Sesbania	Downy brome	Barnyardgrass	Morningglory	Cocklebur	Velvetleaf	Indian mustard	Wild buckwheat
62	11.21	0	0	0	0	0	0	0	10	0	0
63	11.21	0	20	70	20	20	C	0	C	40	C
64	11.21	0	20	20	0	30	60	20	60	20	80
65	11.21	0	0	50	10	70	50	40	70	30	70
66	11.21	0	0	80	30	20	70	0	50	30	C
67	11.21	20	20	80	40	40	70	20	80	40	C
68	11.21	0	0	0	0	0	0	0	0	0	0
69	11.21	0	0	0	0	0	10	0	10	0	0
70	11.21	0	10	10	10	40	40	30	50	20	N
71	11.21	0	0	0	0	0	20	30	20	20	40
72	11.21	10	10	10	20	50	30	60	90	30	90
73	11.21	0	0	0	0	0	0	10	20	20	20
74	1.12	10	0	10	10	10	20	20	40	40	90
75	11.21	0	0	0	0	0	10	0	0	0	60
76	1.12	10	40	40	30	50	50	40	90	70	C
77	11.21	0	20	20	0	20	10	20	30	60	60
78	11.21	0	0	10	10	0	10	10	40	40	30
79	11.21	10	60	30	20	20	60	20	C	90	C
80	11.21	0	0	0	0	0	10	10	60	0	N
81	11.21	50	0	70	10	70	60	40	80	10	90
82	11.21	10	0	40	20	30	90	0	20	30	60
83	11.21	20	0	60	40	40	80	70	C	90	C
84	11.21	10	10	40	0	10	50	20	C	0	N
85	11.21	20	60	90	50	70	C	40	C	20	C
86	11.21	10	30	80	0	80	C	70	C	60	C
87	11.21	10	10	40	0	20	70	50	C	30	40
88	11.21	0	10	70	10	50	C	C	C	60	C

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yenus	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wib w
90		11.21	0	10	0	10	0	60	30	C	50	50
91		1.12	10	50	40	40	30	60	C	40	50	80
92		1.12	20	30	40	50	30	C	80	C	80	80
94		1.12	10	90	90	C	C	90	80	C	80	C
95		1.12	10	60	50	40	80	80	C	C	70	C
96		1.12	40	C	C	C	C	C	90	C	C	C
97		1.12	50	70	60	90	C	90	C	C	C	C
99		11.21	10	C	C	90	C	C	90	C	C	C
100		1.12	20	C	C	C	C	C	80	C	80	C
101		1.12	30	90	70	C	C	C	C	C	C	C
102		1.12	20	90	C	C	90	C	60	C	C	C
103		1.12	10	40	70	30	80	80	60	C	70	C
104		1.12	20	30	80	40	50	C	70	C	40	C
105		1.12	20	30	20	0	20	40	20	80	30	C
106		1.12	10	30	40	20	60	C	60	C	60	90
107		1.12	10	0	20	0	30	50	50	90	40	90
108		11.21	0	90	90	C	C	C	C	C	C	C
109		1.12	10	40	20	0	30	60	40	C	40	90
110		1.12	20	30	40	20	70	80	60	C	30	C
111	=	11.21	30	0	20	20	60	C	C	C	80	C
112		11.21	40	30	30	0	60	80	60	C	40	70
113		11.21	0	0	0	0	0	30	20	50	0	20
114		11.21	10	10	0	0	0	50	20	50	10	60
115		1.12	20	40	40	20	80	60	60	C	60	C
116		1.12	20	80	70	70	80	C	C	C	80	80
117		1.12	20	90	C	C	C	C	90	C	90	C
118		1.12	30	30	30	20	30	60	80	90	30	C

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yeans	Abg	Sej	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wib w
119	11.21	20	C	C	C	C	C	C	C	C	C
120	1.12	10	40	80	50	80	C	90	C	70	C
121	11.21	20	40	90	90	C	C	90	C	90	C
122	1.12	20	20	70	20	70	80	60	C	50	90
123	1.12	20	0	50	60	50	C	70	C	30	90
124	11.21	20	70	C	50	90	C	70	C	90	C
125	1.12	0	20	0	0	0	30	40	C	30	C
126	1.12	10	40	30	0	50	60	30	90	40	80
127	1.12	20	20	C	80	60	C	C	C	C	C
128	1.12	20	30	C	C	50	C	C	C	90	C
129	1.12	30	40	90	80	80	90	C	C	90	C
130	1.12	20	20	70	30	40	C	C	C	40	C
131	1.12	30	20	50	20	0	C	C	C	40	C
132	11.21	30	60	C	80	C	C	C	C	C	C
133	1.12	40	0	50	20	50	C	C	C	40	80
134	1.12	20	C	C	C	C	C	C	C	C	C
135	1.12	20	C	C	C	C	C	C	C	C	C
137	1.12	20	C	C	C	C	C	C	C	C	C
138	1.12	20	C	C	C	C	C	C	C	C	C
142	1.12	30	C	C	C	C	C	C	C	C	C
143	1.12	20	C	0	C	C	C	C	C	C	C
144	1.12	20	60	90	C	70	C	C	C	C	C
145	1.12	20	80	80	C	70	80	C	C	C	C
146	1.12	30	80	80	40	90	C	C	C	90	C
147	1.12	30	0	70	0	80	C	C	C	40	C
148	1.12	20	C	C	C	C	C	C	C	C	C
149	1.12	20	0	40	0	30	C	70	C	50	C

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yenbsg	Angbgg	Sejgrr	Dobrl	Bygru	Mogle	Cobue	Vellu	Inmeu	Wibew
150	1.12	20	C	C	C	C	C	C	C	90	C
151	1.12	20	C	C	C	C	C	C	C	80	C
152	1.12	20	30	20	40	0	50	40	60	50	90
153	1.12	10	40	90	40	30	C	40	C	50	90
154	1.12	20	20	70	40	80	C	80	C	40	80
155	1.12	20	30	80	90	80	C	40	C	50	80
156	1.12	10	30	70	60	30	C	80	C	30	80
157	1.12	20	50	80	40	60	80	50	C	70	C
158	1.12	20	50	80	60	50	C	80	C	20	90
159	11.21	50	50	C	C	C	C	C	C	80	C
160	1.12	30	70	80	60	80	C	C	C	80	C
161	11.21	20	C	C	C	C	C	C	C	C	C
162	1.12	10	10	40	30	20	50	20	30	10	90
163	11.21	30	60	50	30	60	C	30	C	30	C
164	11.21	0	0	0	0	0	20	40	20	40	30
	11.21	0	30	30	0	20	20	40	30	60	20
165	11.21	10	80	80	80	80	C	40	C	20	C
166	1.12	0	20	30	0	0	20	20	60	30	90
167	11.21	0	60	C	60	90	90	90	C	C	C
	11.21	20	60	80	50	80	80	70	C	90	C
168	11.21	40	20	80	20	70	80	C	C	60	C
169	1.12	30	30	80	30	50	90	70	90	50	C
170	11.21	20	50	80	40	70	90	70	90	70	C
	11.21	0	40	80	20	80	90	80	C	90	C
171	11.21	0	10	50	30	50	20	40	C	30	C
172	1.12	20	0	40	20	30	50	60	80	30	30
173	1.12	20	0	40	20	20	70	80	70	30	60

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yenus	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
174	1.12	20	0	40	20	30	60	70	80	30	80
175	11.21	20	40	90	90	90	C	60	C	60	C
176	11.21	20	90	90	80	90	C	90	C	90	C
177	11.21	10	60	C	C	C	C	C	C	C	C
179	1.12	30	80	90	60	80	C	70	C	80	C
180	1.12	30	40	90	70	C	90	80	C	C	C
181	11.21	40	50	C	80	C	90	C	C	C	C
182	11.21	20	C	C	C	C	C	C	C	C	C
183	1.12	0	40	80	50	60	80	80	90	50	C
184	11.21	30	20	60	20	70	C	C	C	60	C
185	11.21	20	30	80	30	70	90	90	C	80	C
186	1.12	30	80	80	90	80	C	80	C	80	C
187	1.12	0	40	70	30	40	40	70	80	30	90
188	11.21	30	80	C	C	90	90	C	C	80	C
189	1.12	30	20	60	20	40	50	40	80	40	80
190	1.12	20	0	50	20	30	C	90	C	50	50
191	11.21	10	30	C	20	60	C	40	90	90	C
192	11.21	30	C	C	C	C	C	C	C	C	C
193	11.21	0	20	70	60	80	C	C	C	80	90
194	1.12	20	50	70	30	80	90	90	C	60	90
195	1.12	30	30	30	40	80	90	C	C	50	80
196	1.12	30	30	60	40	70	90	90	C	60	80
197	11.21	10	50	80	30	C	80	90	C	90	C
199	1.12	30	30	80	30	60	C	50	C	50	30
200	1.12	20	20	20	30	30	C	80	C	60	50
201	1.12	0	0	20	20	0	C	70	C	40	50
202	1.12	20	0	30	20	20	C	60	80	30	50

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yenus	Anbg	Sejg	Dobr	Bygr	Mogl	Coobu	Velel	Inmu	Wibw
204	1.12	0	0	20	0	0	0	10	20	0	30
206	11.21	0	30	90	50	80	70	40	C	60	C
207	1.12	0	0	20	0	0	30	30	40	30	20
208	1.12	10	60	90	60	90	C	90	C	C	C
209	1.12	10	0	0	0	0	20	20	40	0	40
210	1.12	10	10	10	10	10	90	50	40	20	90
211	1.12	0	20	70	50	70	80	60	C	30	C
212	1.12	40	90	90	80	C	90	90	C	90	90
213	1.12	30	20	10	30	40	60	C	90	90	90
214	1.12	30	60	60	80	40	60	90	60	90	90
215	1.12	10	30	50	40	80	C	C	C	C	90
216	1.12	10	60	C	80	80	90	60	C	60	C
217	1.12	20	90	90	C	90	90	90	C	90	C
218	1.12	30	C	C	C	C	C	90	C	90	C
219	1.12	60	50	C	C	C	C	C	C	90	90
220	1.12	40	C	90	90	C	C	C	C	C	C
221	1.12	50	90	90	C	C	C	90	C	C	C
222	1.12	80	0	20	90	90	90	80	C	C	90
223	1.12	30	90	90	C	C	90	C	C	C	C
224	1.12	40	50	80	60	90	90	C	C	80	C
225	1.12	30	90	90	60	C	C	C	C	90	C
226	1.12	30	60	70	C	C	90	C	C	C	90
227	1.12	60	90	90	C	C	90	C	C	90	90
228	1.12	80	90	C	C	C	C	C	C	C	C
229	1.12	40	70	C	90	C	C	C	C	C	C
230	1.12	40	30	50	30	90	C	C	C	60	90
231	1.12	20	0	20	20	70	50	50	90	40	80

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yenus	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
232	1.12	10	C	C	C	90	90	C	C	90	C
233	1.12	10	60	40	50	90	90	C	90	90	90
234	1.12	10	0	10	0	0	50	90	C	20	C
235	1.12	0	0	0	0	0	50	90	C	10	90
236	1.12	10	50	90	C	50	C	90	C	C	90
237	1.12	20	40	60	60	90	90	90	C	70	90
238	1.12	30	20	60	20	40	80	80	90	40	C
239	1.12	80	90	90	C	C	C	C	C	C	90
240	1.12	30	C	C	C	C	C	C	C	C	C
241	1.12	20	C	50	90	C	60	80	C	70	90
242	1.12	30	60	50	90	C	90	90	C	C	C
243	1.12	30	60	50	C	C	90	90	C	90	C
244	1.12	20	20	20	0	30	50	40	50	50	50
245	1.12	20	30	30	90	50	90	90	C	90	90
246	1.12	30	C	C	C	C	C	C	C	C	C
247	1.12	60	40	80	C	C	90	90	C	C	C
248	1.12	60	40	60	50	C	90	90	90	90	C
249	1.12	30	90	90	C	C	80	90	C	C	C
250	1.12	10	C	C	C	C	C	90	C	C	90
253	1.12	10	90	90	90	80	80	80	C	90	C
254	1.12	10	C	C	C	C	C	C	C	C	C
255	1.12	40	C	C	C	C	C	C	C	C	C
256	1.12	40	80	C	90	90	80	90	C	90	C
257	1.12	20	50	80	60	70	C	70	C	60	90
258	1.12	30	40	60	30	50	C	80	C	80	90
259	1.12	20	0	0	0	0	30	70	30	40	40
260	1.12	20	30	50	60	80	60	40	C	60	90

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yenns	Anbg	Sejg	Dobr	Byrr	Mogl	Cobu	Vele	Inmu	Wibw
261	11.21	20	C	C	C	C	C	C	C	C	C
262	1.12	20	C	C	C	C	C	C	C	C	C
263	1.12	30	30	70	70	70	80	60	C	60	90
264	1.12	40	C	C	C	C	C	C	C	C	C
265	1.12	20	C	C	C	C	C	90	C	90	C
266	1.12	20	C	C	90	C	C	C	C	90	C
267	1.12	10	C	C	C	90	90	90	C	90	C
268	1.12	20	80	90	C	C	90	C	C	50	90
269	1.12	30	90	C	70	90	C	90	C	90	N
270	1.12	60	90	90	C	C	C	90	C	90	C
271	1.12	20	70	40	60	50	C	C	C	50	C
272	1.12	30	60	40	50	60	C	C	C	60	C
273	1.12	20	70	40	80	50	C	60	90	60	C
274	1.12	0	40	50	50	30	C	60	70	40	80
275	1.12	20	40	80	70	50	C	80	90	40	C
276	1.12	20	50	50	30	80	90	30	90	50	N
277	1.12	20	90	C	90	C	C	80	C	60	C
278	1.12	40	C	C	C	C	C	C	C	90	C
279	11.21	50	10	C	80	C	C	C	C	C	C
280	11.21	60	0	C	40	80	C	C	C	C	C
281	1.12	0	0	0	0	0	30	30	30	30	30
	1.12	0	0	0	0	0	50	40	20	0	60
282	1.12	10	0	20	0	30	70	C	C	10	C
283	1.12	0	10	50	30	40	90	C	C	50	90
286	1.12	20	0	30	0	50	C	C	C	30	90
289	1.12	20	10	50	20	60	90	C	C	40	C
290	1.12	20	0	40	10	50	C	C	C	60	C

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yeans	Aneb	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wib
291		1.12	30	0	30	20	20	C	C	C	40	90
292		1.12	10	0	0	0	40	60	80	C	20	C
293		1.12	20	0	20	0	60	C	C	C	10	C
294		1.12	0	20	30	20	20	80	50	50	20	30
		1.12	0	0	0	0	0	90	80	80	0	0
295		1.12	30	60	80	30	50	90	70	90	80	C
296		1.12	20	0	10	10	10	90	90	C	30	90
297		1.12	10	20	20	20	0	60	60	80	20	C
298		1.12	20	30	30	30	20	90	C	C	60	C
299		1.12	20	20	30	30	30	C	C	C	30	90
300		1.12	40	0	60	20	80	C	C	C	30	90
301		11.21	0	10	60	40	60	60	20	30	20	90
302		1.12	10	C	C	C	C	C	C	C	C	C
304		1.12	30	90	C	80	C	90	90	C	C	C
305		1.12	10	80	70	90	C	C	C	C	C	C
306		1.12	40	C	C	C	C	C	C	C	C	C
307		1.12	20	90	C	C	C	C	90	C	90	C
308		1.12	20	C	C	C	C	90	90	C	90	C
309		1.12	60	C	C	C	C	C	C	C	90	C
310		1.12	30	40	40	30	60	C	80	90	60	C
311		1.12	40	C	80	C	90	C	C	C	80	C
312	-	11.21	10	C	C	C	C	C	C	C	90	C
313		1.12	20	C	90	C	C	C	70	C	60	C
314		1.12	30	90	90	C	C	C	90	C	90	C
316		1.12	20	30	50	40	40	50	50	60	30	C
317	=	1.12	20	20	70	0	70	C	C	C	80	C
318	=	11.21	40	40	C	80	90	C	C	C	90	C

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yenus	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
319	=	1.12	20	0	0	0	0	C	C	C	60	C
320	=	1.12	20	20	80	30	70	C	C	C	80	C
321		1.12	0	0	20	20	20	30	60	C	30	C
322		1.12	30	70	80	50	60	60	80	90	50	C
323		1.12	20	50	30	20	30	80	70	90	30	C
324		1.12	20	C	C	C	C	90	C	C	90	90
325	-	11.21	10	C	C	C	90	C	C	C	90	C
326		11.21	20	C	C	C	90	C	C	C	50	C
327		11.21	0	20	0	20	50	50	30	50	10	90
328		1.12	0	40	50	20	60	50	80	C	30	C
330		1.12	10	20	60	30	90	90	90	C	60	C
331		1.12	30	80	C	C	90	C	C	C	90	C
332		1.12	0	20	50	30	60	80	60	C	60	C
333		1.12	0	30	40	30	80	60	50	80	40	C
334		1.12	20	40	40	20	30	70	50	80	50	90
335		1.12	20	30	90	80	80	C	C	C	90	C
336		1.12	20	60	60	90	90	C	80	C	80	C
337		1.12	20	20	60	80	50	C	C	C	60	C
338		1.12	20	30	90	90	80	C	C	C	80	C
339		1.12	0	0	0	30	40	C	C	C	50	90
340		1.12	0	30	30	0	50	C	C	C	60	C
341		1.12	20	C	C	C	C	C	C	C	90	C
342		1.12	40	90	90	C	C	C	C	C	80	C
343		11.21	20	30	60	40	40	80	80	80	60	80
344		1.12	10	0	0	0	0	20	20	40	0	0
345		1.12	0	0	0	0	20	40	20	30	20	20
346		11.21	30	0	20	10	10	50	50	C	60	70

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yen sg	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
347	11.21	0	50	70	30	80	C	80	90	60	60
348	1.12	0	20	30	20	50	C	50	80	40	40
349	1.12	20	20	0	20	20	30	40	60	30	60
352	11.21	0	0	10	0	0	10	10	0	0	90
353	11.21	10	90	C	C	90	90	80	C	90	C
354	1.12	10	30	40	20	0	20	10	10	30	30
355	11.21	10	10	40	10	60	90	40	50	40	C
356	11.21	20	20	90	30	80	80	40	90	30	C
357	11.21	0	0	10	10	10	20	10	30	10	20
358	11.21	10	0	10	10	20	90	30	90	90	90
359	1.12	0	0	0	0	0	0	10	10	0	70
360	11.21	0	0	0	0	0	0	0	40	20	50
361	11.21	10	0	0	0	10	0	10	10	0	30
362	11.21	10	0	0	0	0	0	10	10	0	20
363	11.21	0	0	30	30	20	90	30	C	30	90
364	11.21	0	0	0	10	0	20	10	10	10	40
365	11.21	0	30	80	30	30	70	30	90	20	C
366	11.21	10	30	60	60	80	C	40	C	60	C
367	11.21	0	0	0	10	10	30	20	20	10	N
368	11.21	0	0	0	0	0	0	10	10	10	N
369	11.21	0	20	0	0	30	20	20	20	40	90
370	11.21	0	10	10	10	40	20	20	30	30	C
371	11.21	0	0	0	0	0	0	0	0	0	0
372	11.21	0	0	0	0	20	30	20	20	20	60
373	11.21	0	10	10	10	80	20	50	50	50	90
374	11.21	0	10	40	10	40	90	20	60	80	C
375	11.21	0	0	10	0	10	20	10	10	20	30

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yens	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
376		11.21	0	C	60	50	50	60	80	90	90	90
377		11.21	20	90	30	90	60	90	90	90	90	90
378		11.21	0	0	0	0	10	40	20	20	90	90
379		11.21	0	0	10	10	30	40	40	C	20	C
380		11.21	0	0	30	20	20	40	30	50	30	70
381		1.12	0	0	0	0	0	20	20	0	20	0
382		11.21	0	0	20	0	30	30	30	60	50	90
383		11.21	0	0	20	0	0	30	20	40	20	10
384		11.21	0	0	0	0	0	0	20	30	20	50
385		11.21	0	30	0	0	20	40	30	40	50	40
386		11.21	0	0	0	0	0	80	60	50	50	50
387		11.21	0	0	0	0	30	10	10	30	30	50
388	\	11.21	0	0	0	0	30	10	10	N	10	20
389	-	11.21	10	90	90	80	90	C	50	C	C	C
390	-	11.21	10	C	C	C	90	C	60	C	90	C
391		1.12	20	30	30	10	60	90	20	30	20	C
392		1.12	10	40	60	40	90	C	40	80	40	C
393		1.12	20	0	20	10	20	C	90	C	0	N
394		1.12	10	80	90	40	90	80	30	C	60	C
395		1.12	10	20	60	30	40	50	20	60	30	C
396		11.21	10	30	30	20	20	C	20	90	40	C
397		1.12	0	20	60	10	70	40	20	40	30	80
398		1.12	0	0	0	0	0	0	0	0	0	0
399		1.12	30	50	40	60	70	50	70	90	60	90
400		11.21	30	0	20	0	30	90	30	C	90	C
401		1.12	10	40	40	30	50	60	30	90	30	C
402		1.12	0	20	20	20	20	80	50	90	30	C

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yens	Abg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inu	Wib
403	11.21	30	50	C	90	C	C	90	C	C	C
404	11.21	10	60	90	90	C	C	90	C	90	C
405	11.21	20	20	50	30	C	C	90	90	C	C
406	11.21	10	0	30	10	20	30	30	C	40	90
407	11.21	40	20	C	C	90	C	C	C	C	C
408	11.21	20	40	70	30	60	50	50	C	80	C
	11.21	0	40	40	0	40	40	80	C	80	C
409	11.21	0	0	30	0	40	60	90	70	30	70
	11.21	0	40	70	20	40	70	80	70	60	80
410	11.21	0	0	80	60	0	50	60	90	60	C
411	1.12	0	0	50	0	50	60	20	90	30	C
	1.12	30	40	80	50	80	80	40	C	60	C
412	1.12	0	0	20	20	20	40	30	50	20	50
413	11.21	0	20	50	30	70	80	60	C	40	C
414	11.21	10	C	C	C	90	C	40	C	C	C
415	1.12	30	50	30	30	80	50	40	90	30	C
416	1.12	20	40	60	30	30	50	50	80	40	60
417	1.12	20	20	50	30	40	60	60	90	50	80
418	1.12	0	0	0	20	30	30	0	60	0	70
	1.12	0	0	20	20	20	40	30	30	30	60
419	1.12	0	20	70	0	50	50	50	C	40	C
420	1.12	0	10	0	0	40	50	40	60	60	90
421	11.21	30	80	C	C	C	C	C	C	C	C
422	11.21	0	50	90	60	80	70	70	C	80	C
423	11.21	0	0	0	0	0	30	40	30	20	90
424	11.21	0	0	20	0	10	10	40	90	20	90

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yellow nutsedge	Annual bluegrass	Sesbania	Downy brome	Barnyardgrass	Morningglory	Cocklebur	Velvetleaf	Indian mustard	Wild buckwheat
425		11.21	0	0	0	0	0	40	40	80	60	60
		11.21	0	0	0	0	0	50	50	60	50	50
426	=	11.21	0	0	0	0	0	40	30	30	20	40
427		11.21	0	0	0	0	0	0	10	0	0	0
428		11.21	0	0	0	20	20	90	40	80	60	60
429		11.21	40	20	50	0	40	20	20	90	C	60
430		11.21	0	30	60	20	30	50	50	90	30	80
431		11.21	0	0	0	0	0	10	20	10	20	10
432		11.21	0	20	C	10	20	40	20	60	C	C
433		11.21	0	0	0	0	0	0	0	0	0	0
435		11.21	0	40	80	20	60	80	60	C	0	C
436		11.21	0	0	50	0	0	20	30	20	40	0
437		11.21	0	0	30	0	0	60	30	40	30	50
		11.21	0	0	20	0	0	40	20	30	0	50
438		1.12	30	50	40	20	30	70	60	80	30	90
439		1.12	20	0	50	20	30	40	60	80	30	80
440		11.21	20	60	60	30	50	90	70	C	70	C
441		1.12	10	30	40	20	30	80	80	80	40	80
442		11.21	0	30	50	20	50	90	50	C	70	C
443		1.12	20	C	C	C	C	C	C	C	90	C
444		1.12	40	0	60	0	20	80	80	C	30	30
445		1.12	40	C	C	C	C	C	C	C	90	C
446		1.12	40	C	90	C	C	C	C	C	C	C
447		1.12	20	40	30	60	80	C	C	C	90	70
448		1.12	20	30	40	20	50	90	70	80	20	40
449		1.12	10	30	50	40	60	C	80	C	10	40
450		1.12	10	40	40	30	40	C	80	C	20	50

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yen sa	Abg	Sej g	Dob r	Bay gr	Mog l	Coo bu	Vel e	Inm u	Wib w
451	1.12	20	0	0	0	20	40	40	50	20	50
452	1.12	10	20	40	0	40	C	80	70	60	90
453	1.12	20	40	40	20	50	C	C	80	30	50
454	1.12	30	C	C	C	C	C	C	C	90	80
455	1.12	20	C	C	C	C	C	80	C	C	90
456	1.12	50	C	90	C	80	C	C	C	70	90
457	1.12	10	70	90	C	C	C	90	C	C	60
458	1.12	20	C	C	C	C	C	80	C	C	C
459	1.12	20	C	C	C	C	C	C	C	C	C
460	1.12	20	C	C	C	C	C	80	C	C	C
461	1.12	20	C	C	C	C	C	C	C	C	C
462	11.21	0	30	50	20	10	40	30	C	40	90
463	1.12	40	30	60	90	C	90	C	C	C	90
464	1.12	20	C	C	C	C	C	C	C	C	C
465	11.21	50	C	C	C	C	C	C	C	C	C
466	11.21	40	40	80	50	70	C	C	C	C	C
467	11.21	40	80	80	40	90	C	80	C	C	C
468	1.12	30	C	C	C	C	90	C	C	C	C
469	1.12	20	10	30	20	80	80	80	C	60	90
470	1.12	0	0	0	0	0	20	20	0	0	0
471	11.21	40	90	C	70	C	C	C	C	C	C
472	11.21	10	20	50	20	30	60	50	C	C	70
473	11.21	0	0	0	10	0	60	30	50	30	50
474	11.21	20	80	C	90	C	C	C	C	C	C
475	11.21	10	20	70	0	60	C	30	C	C	90
476	11.21	0	0	0	0	0	0	0	0	0	0
477	11.21	0	0	0	0	0	0	0	0	0	0

TABLE 8 (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.		Rate kg/ha	Yens	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Inmu	Wibw
478		11.21	0	20	20	20	20	70	70	90	40	80
479		11.21	0	0	0	0	0	10	10	0	0	0
480		11.21	0	0	0	0	0	30	0	20	50	0
481		11.21	10	50	90	40	50	90	40	C	40	90
482		11.21	20	C	C	C	C	90	C	C	C	C
484		5.61	0	0	0	0	30	50	20	60	50	80
485		11.21	0	0	20	0	20	60	60	80	30	90
486		1.12	30	30	10	20	40	80	70	40	40	80
487		11.21	0	0	0	0	0	20	30	70	20	80
489		11.21	10	10	10	0	0	20	20	90	0	30
	=	1.12	0	0	0	0	0	20	0	0	20	0
490		1.12	20	60	C	90	C	C	70	C	80	C
491		11.21	0	0	30	0	40	50	50	60	30	70
492		11.21	0	0	0	20	0	10	0	0	0	0
493		11.21	0	0	20	0	50	40	40	50	20	60
		11.21	0	0	0	0	30	0	0	20	20	40
494		11.21	0	0	0	0	0	20	20	20	0	10
495		1.12	0	0	0	0	20	20	20	40	50	50
496		1.12	0	0	0	0	0	0	10	10	30	0
497		11.21	0	0	0	0	0	0	0	0	20	40
498		11.21	0	0	0	0	0	80	50	90	30	90
499		11.21	10	0	10	0	30	20	20	20	20	40
501		11.21	0	50	60	30	30	80	30	80	30	50
		1.12	0	0	0	0	0	0	0	0	0	0

^ Wibw was generally thin.
= Cobu germination was erratic
- VOLATILE.
\ TEST CONTAMINATION DUE TO VOLATILE COMPOUNDS.

TABLE 8A
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yens	Anbg	Sejg	Dobr	Bygr	Mogl	Coob	Vele	Inmu	Wib w
89	11.21	0	10	70	10	20	C	C	C	80	80
93	1.12	0	10	40	50	70	60	70	90	60	90
98	1.12	0	70	90	90	80	C	C	C	80	80
136	1.12	10	C	C	C	C	C	C	C	C	C
139	1.12	10	90	C	C	C	C	90	C	C	C
140	1.12	10	C	C	C	C	C	C	C	90	90
141	1.12	0	90	90	C	70	C	90	C	80	C
178	1.12	0	90	70	90	70	C	90	C	70	C
198	1.12	0	50	70	70	50	90	70	C	C	C
203	11.21	0	20	60	30	50	60	60	C	60	90
205	11.21	0	40	70	50	10	80	60	C	60	90
251	1.12	10	80	C	C	90	C	90	C	70	90
252	1.12	20	90	C	C	90	C	C	C	C	C
285	1.12	40	30	50	40	70	C	C	C	C	C
287	1.12	10	0	80	10	70	C	C	C	20	90
288	1.12	10	0	20	0	50	C	C	C	90	C
303	11.21	70	C	C	C	C	C	C	C	C	C
315	11.21	20	C	C	C	C	C	C	C	C	C
329	1.12	0	90	90	90	90	C	90	C	C	C
350	1.12	30	20	70	0	60	90	C	C	C	C
351	1.12	30	30	60	40	70	C	C	C	C	C

TABLE 8A (continued)
POST EMERGENCE TESTS
% PLANT INHIBITION

Cpd. No.	Rate kg/ha	Yens	Anbg	Sejg	Dobr	Bygr	Mogl	Cobu	Vele	Imnu	Wibw
488	1.12	0	90	C	90	90	C	C	C	C	C
500	11.21	-	-	-	0	0	0	0	0	0	0
	11.21	0	50	90	70	90	C	C	C	90	C

The herbicidal compositions of this invention, including concentrates which require dilution prior to application, may contain at least one active ingredient and an adjuvant in liquid or solid form. The compositions are prepared by admixing the active ingredient with an adjuvant including diluents, extenders, carriers, and conditioning agents to provide compositions in the form of finely-divided particulate solids, granules, pellets, solutions, dispersions or emulsions. Thus, it is believed that the active ingredient could be used with an adjuvant such as a finely-divided solid, a liquid of organic origin, water, a wetting agent, a dispersing agent, an emulsifying agent or any suitable combination of these.

Suitable wetting agents are believed to include alkyl benzene and alkyl naphthalene sulfonates, sulfated fatty alcohols, amines or acid amides, long chain acid esters of sodium isothionate, esters of sodium sulfosuccinate, sulfated or sulfonated fatty acid esters, petroleum sulfonates, sulfonated vegetable oils, ditertiary acetylenic glycols, polyoxyethylene derivatives of alkylphenols (particularly isooctylphenol and nonylphenol) and polyoxyethylene derivatives of the mono-higher fatty acid esters of hexitol anhydrides (e.g., sorbitan). Preferred dispersants are methyl cellulose, polyvinyl alcohol, sodium lignin sulfonates, polymeric alkyl naphthalene sulfonates, sodium naphthalene sulfonate, and polymethylene bisnaphthalene sulfonate. Wettable powders are water-dispersible compositions containing one or more active ingredients, an inert solid extender and one or more wetting and dispersing agents. The inert solid extenders are usually of mineral origin such as the natural clays, diatomaceous earth and synthetic minerals derived from silica and the like. Examples of such extenders include kaolinites, attapulgite clay and synthetic magnesium silicate. The wettable powders compositions of this invention usually contain from above 0.5 to 60 parts

(preferably from 5-20 parts) of active ingredient, from about 0.25 to 25 parts (preferably 1-15 parts) of wetting agent, from about 0.25 to 25 parts (preferably 1.0-15 parts) of dispersant and from 5 to about 95 parts (preferably 5-50 parts) of inert solid extender, all parts being by weight of the total composition. Where required, from about 0.1 to 2.0 parts of the solid inert extender can be replaced by a corrosion inhibitor or anti-foaming agent or both.

Other formulations include dust concentrates comprising from 0.1 to 60% by weight of the active ingredient on a suitable extender; these dusts may be diluted for application at concentrations within the range of from about 0.1-10% by weight.

Aqueous suspensions or emulsions may be prepared by stirring a nonaqueous solution of a water-insoluble active ingredient and an emulsification agent with water until uniform and then homogenizing to give stable emulsion of very finely divided particles. The resulting concentrated aqueous suspension is characterized by its extremely small particle size, so that when diluted and sprayed, coverage is very uniform. Suitable concentrations of these formulations contain from about 0.1-60%, preferably 5-50% by weight of active ingredient, the upper limit being determined by the solubility limit of active ingredient in the solvent. Concentrates are usually solutions of active ingredient in water-immiscible or partially water-immiscible solvents together with a surface active agent. Suitable solvents for the active ingredient of this invention include dimethylformamide, dimethylsulfoxide, N-methyl-pyrrolidone, hydrocarbons, and water-immiscible ethers, esters, or ketones. However, other high strength liquid concentrates may be formulated by dissolving the active ingredient in a solvent then diluting, e.g., with kerosene, to spray concentration.

The concentrate compositions herein generally contain from about 0.1 to 95 parts (preferably 5-60 parts) active ingredient, about 0.25 to 50 parts (preferably 1-25 parts) surface active agent and where required about 5 to 94 parts solvent, all parts being be weight based on the total weight of emulsifiable oil.

Granules are physically stable particulate compositions comprising active ingredient adhering to or distributed through a basic matrix of an inert, finely-divided particulate extender. In order to aid leaching of the active ingredient from the particulate extender, a surface active agent can be present in the composition. Natural clays, pyrophyllites, illite, and vermiculite are examples of operable classes of particulate mineral extenders. The preferred extenders are the porous, absorptive, preformed particles such as preformed and screened particulate attapulgite or heat expanded, particulate vermiculite and the finely-divided clays such as kaolin clays, hydrated attapulgite or bentonitic clays. These extenders are sprayed or blended with the active ingredient to form the herbicidal granules.

The granular compositions of this invention may contain from about 0.1 to about 30 parts by weight of active ingredient per 100 parts by weight of clay and 0 to about 5 parts by weight of surface active agent per 100 parts by weight of particulate clay.

The compositions of this invention can also contain other additaments, for example, fertilizers, other herbicides, other pesticides, safeners and the like used as adjuvants or in combination with any of the above-described adjuvants. Chemicals useful in combination with the active ingredients of this invention included, for example, triazines, ureas, sulfonylureas, carbamates, acetamides, acetanilides, uracils, acetic acid or phenol derivatives, thiol-carbamates, triazoles, azolopyrimidines, benzoic acid

and its derivatives, nitriles, biphenyl ethers, nitrobenzenes and the like such as:

Heterocyclic Nitrogen/Sulfur Derivatives

2-Chloro-4-ethylamino-6-isopropylamino-s-triazine

2-Chloro-4,6-bis(isopropylamino)-s-triazine

2-Chloro-4,6-bis(ethylamino)-s-triazine

3-Isopropyl-1H-2,1,3-benzothiadiazin-4-(3H)-one 2,2-dioxide

3-Amino-1,2,4-triazole

6,7-Dihydrodipyrido(1,2-:2',1'-c)-pyrazidiinium salt

5-Bromo-3-isopropyl-6-methyluracil

1,1'-Dimethyl-4,4'-bypyridinium

2-(4-Isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)-3-quinolinecarboxylic acid

Isopropylamine salt of 2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)nicotinic acid

Methyl 6-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)-m-toluate and methyl 2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)-p-toluate

Ureas/Sulfonylureas

N-(4-Chlorophenoxy) phenyl-N,N-dimethylurea

N,N-dimethyl-N'-(3-chloro-4-methylphenyl) urea

3-(3,4-dichlorophenyl)-1,1-dimethylurea

1,3-Dimethyl-3-(2-benzothiazolyl) urea

3-(p-Chlorophenyl)-1,1-dimethylurea

1-Butyl-3-(3,4-dichlorophenyl)-1-methylurea

2-Chloro-N[(4-methoxy-6-methyl-1,3,5-triazin-2-yl) aminocarbonyl]-benzenesulfonamide

N-(2-methoxycarbonylphenyl sulfonyl()-N'-(4,6-bis-difluoromethoxypyrimidin-2-yl)urea

Methyl 2-(((((4,6-dimethyl-2-pyrimidinyl)amino)-carbonyl)amino)sulfonyl) benzoate

Ethyl 1-[methyl 2-(((((4,6-dimethyl-2-pyrimidinyl)-amino)carbonyl)amino)sulfonyl)] benzoate

Methyl-2((4,6-dimethoxy pyrimidin-2-yl)aminocarbonyl)amino sulfonyl methyl) benzoate

Methyl 2-(((((4-methoxy-6-methyl-1,3,5-triazin-2-yl)-amino)carbonyl)amino)sulfonyl) benzoate

Carbamates/Thiolcarbamates

2-Chloroallyl diethyldithiocarbamate

S-(4-chlorobenzyl)N,N-diethylthiolcarbamate

Isopropyl N-(3-chlorophenyl) carbamate

S-2,3-dichloroallyl N,N-diisopropylthiolcarbamate

S-N,N-dipropylthiolcarbamate

S-propyl N,N-dipropylthiolcarbamate

S-2,3,3-trichloroallyl-N,N-diisopropylthiolcarbamate

Acetamides/Acetanilides/Anilines/Amides

2-Chloro-N,N-diallylacetamide

N,N-dimethyl-2,2-diphenylacetamide

N-(2,4-dimethylthien-3-yl)-N-(1-methoxyprop-2-yl)-2-chloroacetamide

N-(1H-pyrazol-1-ylmethyl-N-(2,4-dimethylthien-3-yl)-2-chloroacetamide

N-(1-pyrazol-1-ylmethyl)-N-(4,6-dimethoxypyrimidin-5-yl)-2-chloroacetamide

N-(2,4-dimethyl-5-[[[(trifluoromethyl)sulfonyl]amino]-phenyl]acetamide

N-Isopropyl-2-chloroacetanilide

N-Isopropyl-1-(3,5,5-trimethylcyclohexen-1-yl)-2-chloroacetamide

2',6'-Diethyl-N-(butoxymethyl)-2-chloroacetanilide

2',6'-Diethyl-N-(2-n-propoxyethyl)-2-chloroacetanilide

2',6'-Dimethyl-N-(1-pyrazol-1-ylmethyl)-2-chloroacetanilide

2',6'-Diethyl-N-methoxymethyl-2-chloroacetanilide

2'-Methyl-6'-ethyl-N-(2-methoxyprop-2-yl)-2-chloroacetanilde

2'-Methyl-6'-ethyl-N-(ethoxymethyl)-2-chloro-acetanilide

α,α,α-Trifluoro-2,6-dinitro-N,N-dipropyl-p-toluidine

N-(1,1-dimethylpropynyl)-3,5-dichlorobenzamide

Acids/Esters/Alcohols

2,2-Dichloropropionic acid

2-Methyl-4-chlorophenoxyacetic acid

2,4-Dichlorophenoxyacetic acid

Methyl-2-[4-(2,4-dichlorophenoxy)phenoxy]propionate

3-Amino-2,5-dichlorobenzoic acid
2-Methoxy-3,6-dichlorobenzoic acid
2,3,6-Trichlorophenylacetic acid
N-1-naphthylphthalamic acid
Sodium 5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoate
4,6-Dinitro-o-sec-butylphenol
N-(phosphonomethyl)glycine and its salts
Butyl (R)-2-[4-[(5-(trifluoromethyl)-2-pyridinyl)oxy]-phenoxy] propanoate

Ethers

2,4-Dichlorophenol-4-nitrophenyl ether
2-Chloro-δ,δ,δ-trifluoro-p-tolyl-3-ethoxy-4-nitro-diphenyl ether
5-(2-chloro-4-trifluoromethylphenoxy)-N-methylsulfonyl 2-nitrobenazmide
1'-(Carboethoxy) ethyl 5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoate

Miscellaneous

2,6-Dichlorobenzonitrile
Monosodium acid methanearsonate
Disodium methanearsonate
2-(2-chlorophenyl)methyl-4,4-dimethyl-3-isoxazolidinone
7-Oxabicyclo (2.2.1) heptane, 1-methyl-4-(1-methylethyl)-2-(2-methylphenylmethoxy)-, exo-
Glyphosate and salts thereof.

Fertilizers useful in combination with the active ingredients include, for example, ammonium nitrate, urea, potash and superphosphate. Other useful additaments include materials in which plant organisms take root and grow such as compost, manure, humus, sand and the like.

Herbicidal formulations of the types described above contemplated as within the purview of this invention are exemplified in several illustrative embodiments below.

I. Emulsifiable Concentrates

		Weight Percent
A.	Compound No. 308	11.0
	Free acid of complex organic phosphate or aromatic or aliphatic hydrophobe base (e.g., GAFAC RE-610, registered trademark of GAF Corp.)	5.59
	Polyoxyethylene/polyoxypropylene block copolymer with butanol (e.g., Tergitol XH, registered trademark of Union Carbide Corp.)	1.11
	Phenol	5.34
	Monochlorobenzene	76.96
		100.00
B.	Compound No. 261	25.00
	Free acid of complex organic phosphate of aromatic or aliphatic hydrophobe base (e.g., GAFAC RE-610)	5.00
	Polyoxyethylene/polyoxypropylene block copolymer with butanol (e.g., Tergitol XH)	1.60
	Cyclohexanone	4.75
	Monochlorobenzene	63.65
		100.00
C.	Compound No. 291	12.0
	Free acid of complex organic phosphate or aromatic or aliphatic hydrophobe base (e.g., GAFAC RE-610, registered trademark of GAF Corp.)	6.0
	Polyoxyethylene/polyoxypropylene block copolymer with butanol (e.g., Tergitol XH, registered trademark of Union Carbide Corp.)	1.5
	Cyclohexanone	5.5
	Monochlorobenzene	75.0
		100.00

		Weight Percent
D.	Compound of No. 229	20.0
	Free acid of complex organic phosphate of aromatic or aliphatic hydrophobe base (e.g., GAFAC RE-610	5.00
	Polyoxyethylene/polyoxypropylene block copolymer with butanol (e.g., Tergitol XH)	2.0
	Cyclohexanone	5.0
	Monochlorobenzene	68.0
		100.00
E.	Compound No. 312	11.0
	Free acid of complex organic phosphate or aromatic or aliphatic hydrophobe base (e.g. GAFAC RE-610, registered trademark of GAF Corp.)	5.59
	Polyoxyethylene/polyoxypropylene block copolymer with butanol (e.g., Tergitol XH, registered trademark of Union Carbide Corp.)	1.11
	Cyclohexanone	5.34
	Monochlorobenzene	76.96
		100.00
F.	Compound No. 282	25.00
	Free acid of complex organic phosphate of aromatic or aliphatic hydrophobe base (e.g., GAFAC RE-610	5.00
	Polyoxyethylene/polyoxypropylene block copolymer with butanol (e.g., Tergitol XH)	1.60
	Cyclohexanone	4.75
	Monochlorobenzene	63.65
		100.00

		Weight Percent
	II. Flowables	
A.	Compound No. 261	25.0
	Methyl cellulose	0.3
	Silica Aerogel	1.5
	Sodium lignosulfonate	3.5
	Sodium N-methyl-N-oleyl taurate	1.0
	Water	67.7
		100.00
B.	Compound No. 270	45.0
	Methyl cellulose	.3
	Silica aerogel	1.5
	Sodium lignosulfonate	3.5
	Sodium N-methyl-N-oleyl taurate	1.0
	Water	47.7
		100.00
C.	Compound No. 294	30.0
	Methyl cellulose	0.3
	Silica Aerogel	1.5
	Sodium lignosulfonate	3.5
	Sodium N-methyl-N-oleyl taurate	3.0
	Water	62.0
		100.00
D.	Compound No. 135	23.0
	Methyl cellulose	0.5
	Silica Aerogel	2.0
	Sodium lignosulfonate	3.5
	Sodium N-methyl-N-oleyl taurate	2.0
	Water	69.0
		100.00
E.	Compound No. 148	45.0
	Methyl cellulose	.3
	Silica aerogel	1.5
	Sodium lignosulfonate	3.5
	Sodium N-methyl-N-oleyl taurate	1.0
	Water	47.7
		100.00

		Weight Percent
III. Wettable Powders		
A.	Compound No. 261	25.0
	Sodium lignosulfonate	3.0
	Sodium N-methyl-N-oleyl-taurate	1.0
	Amorphous silica (synthetic)	71.0
		100.0
B.	Compound No. 312	45.0
	Sodium dioctyl sulfosuccinate	1.25
	Calcium lignosulfonate	1.75
	Amorphous silica (synthetic)	52.0
		100.0
C.	Compound No. 237	10.0
	Sodium lignosulfonate	3.0
	Sodium N-methyl-N-oleyl-taurate	1.0
	Kaolinite clay	86.0
		100.00
D.	Compound No. 463	30.0
	Sodium lignosulfonate	3.0
	Sodium N-methyl-N-oleyl-taurate	1.0
	Kaolin	56.0
	Amorphous silica (synthetic)	10.0
		100.0
E.	Compound No. 446	75.0
	Sodium dioctyl sulfosuccinate	1.25
	Calcium lignosulfonate	1.75
	Kaolin	12.0
	Amorphous silica synthetic	10.0
		100.00
F.	Compound No. 482	15.0
	Sodium lignosulfonate	3.0
	Sodium N-methyl-N-oleyl-taurate	1.0
	Amorphous silica, synthetic	10.0
	Kaolinite clay	71.0
		100.00

IV. Granules

A.	Compound No. 74	15.0
	Dipropylene Glycol	5.0
	Granular attapulgite (20/40 mesh)	80.0
		100.0
B.	Compound No. 390	15.0
	Dipropylene Glycol	5.0
	Diatomaceous earth (20/40)	80.0
		100.0
C.	Compound No. 399	1.0
	Ethylene glycol	5.0
	Methylene blue	0.1
	Pyrophyllite	93.9
		100.0
D.	Compound No. 393	5.0
	Ethylene Glycol	5.0
	Pyrophyllite (20/40)	90.0
		100.0
E.	Compound No. 312	15.0
	Propylene Glycol	5.0
	Granular attapulgite (20/40 mesh)	80.0
		100.0
F.	Compound No. 324	25.0
	Diatomaceous earth (20/40)	75.0
		100.0
G.	Compound No. 261	5.0
	Ethylene glycol	5.0
	Methylene blue	0.5
	Pyrophyllite	94.5
		100.00
H.	Compound No. 262	10.0
	Propylene Glycol	5.0
	Pyrophyllite (20/40)	85.0
		100.0

		Weight Percent
V. Suspension Concentrates		
A.	Compound No. 262	16.0
	Nonylphenol ethoxylate 9.5 mole EO Sterox NJ	13.8
	Sodium lignosulfonate (Reax 88B)	12.2
	Water	58.0
		100.0
B.	Compound No. 446	32.5
	Potassium salt of napthalene sulfonate formaldehyde condensate (DAXAD 11 KLS)	9.0
	Nonylphenol ethoxylate 10 mole EO (Igepal CO-660)	9.0
	Water	49.5
		100.0
C.	Compound No. 76	10.0
	Sodium dioctyl sulfosuccinate Aerosol OTB	11.0
	Castor oil + 36 Ethylene oxide (FloMo 3G)	11.0
	Water	70.0
		100.0
D.	Compound No. 261	15.0
	Nonylphenol ethoxylate 9.5 mole EO Sterox NJ	1.0
	Sodium lignosulfonate (Reax 88B)	5.0
	Water	79.0
		100.0
E.	Compound No. 290	30.0
	Potassium salt of napthalene sulfonate formaldehyde condensate (DAXAD 11 KLS)	4.0
	Nonylphenol ethoxylate 10 mole EO (Igepal CO-660)	2.0
	Water	64.0
		100.0

		Weight Percent
F.	Compound No. 135	18.0
	Nonylphenol ethoxylate 9.5 mole EO Sterox NJ	14.0
	Sodium lignosulfonate (Reax 88B)	12.0
	Water	56.0
		100.0
G.	Compound No. 148	34.0
	Potassium salt of napthalene sulfonate formaldehyde condensate (DAXAD aag)	8.0
	Nonylphenol ethoxylate 10 mole EO (Igepal CO-660)	10.0
	Water	48.0
		100.0
H.	Compound No. 482	14.0
	Sodium dioctyl sulfosuccinate Aerosol OTB	3.0
	Castor oil + 36 Ethylene oxide (FloMo 3G)	3.0
	Water	80.0
		100.0

VI. Liquid Concentrates

A.	Compound No. 76	20.0
	Xylene	80.0
		100.0
B.	Compound No. 229	10.0
	Xylene	90.0
		100.0
C.	Compound No. 217	25.0
	Xylene	75.0
		100.0
D.	Compound No. 482	15.0
	Xylene	85.0
		100.0

VII. Microcapsules

A.	Compound No. 135 encapsulated in a polyurea shell wall	4.5
	Reax® C-21	1.5
	NaCl	5.0
	Water	89.0
		100.0
B.	Compound No. 137 encapsulated in a polyurea shell wall	20.0
	Reax® 88B	2.0
	$NaNO_3$	10.0
	Xylene	30.0
	Water	38.0
		100.0
C.	Compound No. 138 encapsulated in a polyurea shell wall	4.8
	Reax® 88B	1.2
	$NaNO_3$	5.0
	Kerosene	20.0
	Water	69.0
		100.0
D.	Compound No. 148 encapsulated in a urea-formaldehyde polymer shell wall	50.0
	Reax® C-21	1.5
	NaCl	8.5
	Petroleum oil (Aromatic 200)	20.0
	Water	20.0
		100.0
E.	Compound No. 229 encapsulated in a thiourea-formaldehyde shell wall	30.0
	Reax® C-21	2.0
	NaCl	8.0
	Xylene	30.0
	Water	30.0
		100.0

		Weight Percent
F.	Compound No. 261 encapsulated in a polyurea shell wall	7.5
	Reax® 88B	1.5
	NaCl	8.0
	Aromatic 200	30.0
	Water	53.0
		100.0
G.	Compound No. 308 encapsulated in a melamine-formaldehyde co-polymeric shell wall	9.0
	Reax® 88B	2.0
	$NaNO_3$	10.0
	Kerosene	40.0
	Water	39.0
		100.0
H.	Compound No. 446 encapsulated in a urea-formaldehyde polymeric shell wall	15.0
	Reax® 88B	10.0
	$NaNO_3$	8.0
	Xylene	42.0
	Water	25.0
		100.0
I.	Compound No. 312 encapsulated in a polyurea shell wall	22.0
	Reax® 88B	2.0
	NaCl	8.0
	Xylene	35.0
	Water	33.0
		100.0

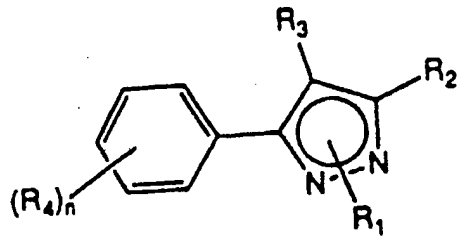
When operating in accordance with the present invention, effective amounts of the compounds of this invention are applied to the soil containing the seeds, or vegetative propagules or may be incorporated into the soil media in any convenient fashion. The application of liquid and particulate solid compositions to the soil can be carried out by conventional methods, e.g., power duster, boom and hand sprayers and spray dusters. The compositions can also be applied from airplanes as a dust or a spray because of their effectiveness at low dosages. The exact amount of active ingredient to be employed is dependent upon various factors, including the plant species and stage of development thereof, the type and condition of soil, the amount of rainfall and the specific compounds employed. In elective pre-emergence application or to the soil, a dosage of from about 0.02 to about 11.2 kg/ha, preferably from about 0.1 to about 5.60 kg/ha, is usually employed. Lower or higher rates may be required in some instances. One skilled in the art can readily determine from this specification, including the above examples, the optimum rate to be applied in any particular case.

The term "soil" is employed in its broadest sense to be inclusive of all conventional "soils" as defined in Webster's New International Dictionary, Second Edition, Unabridged (1961). Thus, the term refers to any substance or medium in which vegetation may take root and grow, and includes not only earth but also compost, manure, muck, humus, loam, silt, mire, clay, sand and the like, adapted to support plant growth.

Although this invention has been described with respect to specific embodiments, the details of these embodiments are not to be construed as limitations. Various equivalents, changes and modifications may be made without departing from the spirit and scope of this invention, and it is understood that such equivalent embodiments are part of this invention.

WE CLAIM:

1. Compounds according to Formula I:

I 

and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is independently C_{1-8} alkyl; C_{3-8} cycloalkyl, cycloalkenyl, cycloalkylalkyl, or cycloalkenylalkyl; C_{2-8} alkenyl or alkynyl; benzyl; and said R_1 members substituted with halogen, amino, nitro, cyano, hydroxy, alkoxy, alkylthio,

$-CYR_8$, $-CR_9$, YR_{10}, or $NR_{11}R_{12}$;

R_2 is C_{1-5} haloalkyl;

R_3 is halogen;

R_4 is an R_1 member, thioalkyl, alkoxyalkyl or polyalkoxyalkyl, carbamyl, halogen, amino, nitro, cyano, hydroxy, C_{1-10} heterocycle containing O, $S(O)_m$ and/or NR_{18} hetero atoms, C_{6-12} aryl, aralkyl or alkaryl,

$-CYR_{13}$, $-CR_{14}$, YR_{15} or $NR_{16}R_{17}$ group and any two R_4 groups combined through a saturated and/or unsaturated carbon, -(C=X)-, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of said R_4 members;

X is O, $S(O)_m$, NR_{19} or $CR_{20}R_{21}$;

Y is O, $S(O)_m$ or NR_{22};

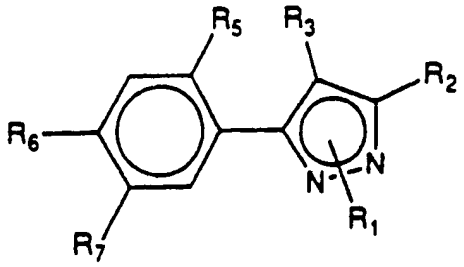
R_{8-22} are hydrogen or one of the R_4 members;

m is 0-2 and

n is 1 to 5.

2. Compounds according to Formula II

II



and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is C_{1-5} alkyl, alkylthio, alkoxyalkyl, C_{2-4} alkenyl, benzyl, which members may optionally be substituted with halogen, amino, nitro, cyano, hydroxy groups or $-\overset{X}{\overset{\|}{C}}-YR_8$;

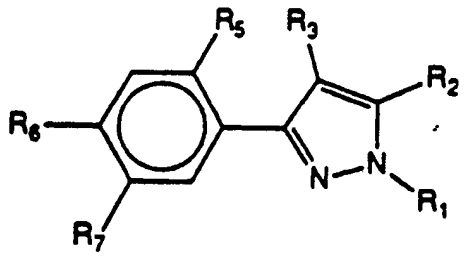
R_2, R_3, X, Y and R_8 are as defined for Formula I;

R_5 is halogen or hydrogen;

R_6 and R_7 are as defined for the R_4 member of Formula I.

3. Compounds according to Formula III:

III



and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is C_{1-5} alkyl;

R_2, R_3 and R_5 are as previously defined;

R_6 is halogen, nitro, cyano, YR_{10} and

R_7 is hydrogen or an R_4 member or

R_6 and R_7 are combined through a saturated and/or unsaturated carbon, -(C=X)-, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of said R_4 members, provided that when said linkage contains

-, said cyclic ring has at least six ring members and

X, Y, R_{18} and m are as previously defined.

4. Compounds, salts and hydrates according to Claim 3 wherein

R_1 is methyl;

R_2 is CF_3, CF_2Cl or CF_2H;

R_3 is chloro or bromo;

R_5 is fluoro;

R_6 is chloro;

R_7 is propargyloxy, allyloxy, polyalkoxy, $OCH(R_{23})COR_{24}$ where R_{23} is hydrogen, methyl or ethyl and R_{24} is YR_{10} or $NR_{11}R_{12}$;

R_6 and R_7 are combined through an $-OCH_2(C=O)N(R_{18})-$linkage to give a fused six-member ring and

Y, R_{10}-R_{12} and R_{18} are as previously defined.

5. Compound according to Claim 4 selected from the group consisting of:

4-Chloro-3-(4-chloro-2-fluoro-5-progargyl-oxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

2-(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)propanoic acid, ethyl ester,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, 1-methylethyl ester,

4-Chloro-3-(4-chloro-2-fluoro-5-(methoxy-methoxy)phenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

4-Chloro-3-(4-chloro-2-fluoro-5-(methoxy-ethoxy)phenyl)-1-methyl-5-(trifluoro-methyl)-1H-pyrazole,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoro-methyl)-1H-pyrazol-3-yl)-4-fluoro-phenoxy)acetic acid, 1,1-dimethylethyl ester,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-acetic acid,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoro-methyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-ethoxy-1-methyl-2-oxoethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoro-methyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-methoxy-1-methyl-2-oxoethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoro-methyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, ethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoro-methyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 1-methylethyl ester and

6-(4-Chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3-(4H)-one.

6. 4-Chloro-3-(4-chloro-2-fluoro-5-propargyloxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole.

7. (2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-phenoxy)acetic acid, 1-methylethyl ester.

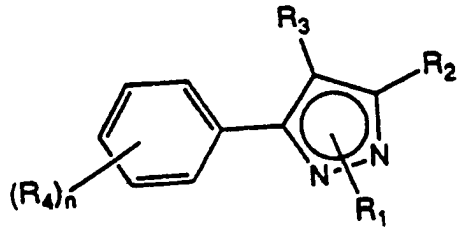
8. 2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-methoxy-1-methyl-2-oxoethyl ester.

9. 2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 1-methylethyl ester.

10. 6-(4-Chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3-(4H)-one.

11. Herbicidal composition comprising an adjuvant and a herbicidally-effective amount of a compound according to Formula I:

I



and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is independently C_{1-8} alkyl; C_{3-8} cycloalkyl, cycloalkenyl, cycloalkylalkyl, or cycloalkenylalkyl; C_{2-8} alkenyl or alkynyl; benzyl; and said R_1 members substituted with halogen, amino, nitro, cyano, hydroxy, alkoxy, alkylthio,

$-\overset{X}{\overset{\|}{C}}YR_8$, $-\overset{X}{\overset{\|}{C}}R_9$, YR_{10}, or $NR_{11}R_{12}$;

R_2 is C_{1-5} haloalkyl;

R_3 is halogen;

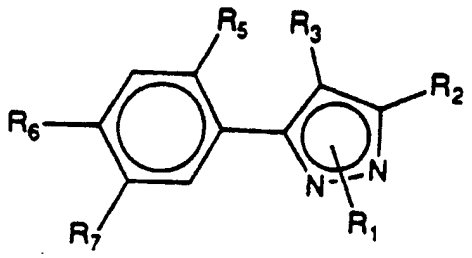
R_4 is an R_1 member, thioalkyl, alkoxyalkyl or polyalkoxyalkyl, carbamyl, halogen, amino, nitro, cyano, hydroxy, C_{1-10} heterocycle containing O, $S(O)_m$ and/or NR_{18} hetero atoms, C_{6-12} aryl, aralkyl or alkaryl,

$-\overset{X}{\overset{\|}{C}}YR_{13}$, $-\overset{X}{\overset{\|}{C}}R_{14}$, YR_{15} or $NR_{16}R_{17}$ group and any two R_4 groups combined through a saturated and/or unsaturated carbon, -(C=X)-, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of said R_4 members;

X is O, $S(O)_m$, NR_{19} or $CR_{20}R_{21}$;
Y is O, $S(O)_m$ or NR_{22};
R_{8-22} are hydrogen or one of said R_4 members;
m is 0-2 and
n is 1 to 5.

12. Herbicidal composition comprising an adjuvant and a herbicidally-effective amount of a compound according to Formula II:

II

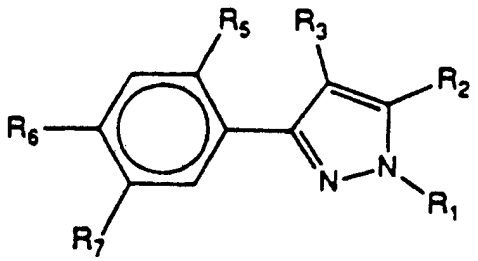


and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is C_{1-5} alkyl, alkylthio, alkoxyalkyl, C_{2-4} alkenyl, benzyl, which members may optionally be substituted with halogen, amino, nitro, cyano, hydroxy groups or $-\overset{X}{\overset{\|}{C}}-YR_8$;

R_2, R_3, X, Y and R_8 are as defined for Formula I;
R_5 is halogen or hydrogen;
R_6 and R_7 are as defined for the R_4 member of Formula I.

13. Composition according to Claim 12 where in Formula II the substituted-phenyl member is in the 3-position of the substituted pyrazole member resulting in compounds according to Formula III:

III 

and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is C_{1-5} alkyl;

R_2, R_3 and R_5 are as previously defined;

R_6 is halogen, nitro, cyano, YR_{10} and

R_7 is hydrogen or an R_4 member or

R_6 and R_7 are combined through a saturated and/or unsaturated carbon, -(C=X)-, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of said R_4 members and

X, Y, R_{18} and m are as previously defined.

14. Composition according to Claim 13 where in Formula III

R_1 is methyl;

R_2 is CF_3, CF_2Cl or CF_2H;

R_3 is chloro or bromo;

R_5 is fluoro;

R_6 is chloro;

R_7 is propargyloxy, allyloxy, polyalkoxy, $OCH(R_{23})COR_{24}$, wherein R_{23} is hydrogen, methyl or ethyl and R_{24} is YR_{10} or $NR_{11}R_{12}$;

R_6 and R_7 may be combined through an $-OCH_2(C=O)N-(R_{18})-$linkage to give a fused six-member ring and

Y, R_{10}-R_{12} and R_{18} are as previously defined.

15. Composition according to Claim 14 wherein said compound is selected from the group consisting of

4-Chloro-3-(4-chloro-2-fluoro-5-progargyl-oxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

2-(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)propanoic acid, ethyl ester,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, 1-methylethyl ester,

4-Chloro-3-(4-chloro-2-fluoro-5-(methoxymethoxy)phenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

4-Chloro-3-(4-chloro-2-fluoro-5-(methoxyethoxy)phenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, 1,1-dimethylethyl ester,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-acetic acid,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-ethoxy-1-methyl-2-oxoethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-methoxy-1-methyl-2-oxoethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, ethyl ester,

2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 1-methylethyl ester and

6-(4-Chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3-(4H)-one.

16. Composition comprising an inert adjuvant and a herbicidally-effective amount of 4-Chloro-3-(4-chloro-2-fluoro-5-propargyloxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole.

17. Composition comprising an inert adjuvant and a herbicidally-effective amount of (2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluoro-phenoxy)acetic acid, 1-methylethyl ester.

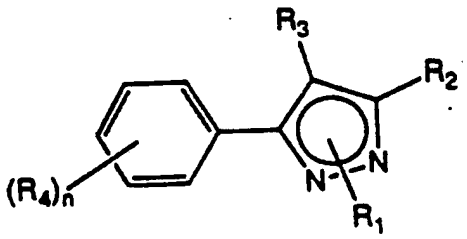
18. Composition comprising an inert adjuvant and a herbicidally-effective amount of 2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-methoxy-1-methyl-2-oxoethyl ester.

19. Composition comprising an inert adjuvant and a herbicidally-effective amount of 2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 1-methylethyl ester.

20. Composition comprising an inert adjuvant and a herbicidally-effective amount of 6-(4-Chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3-(4H)-one.

21. Method for combatting undesirable plants in crops which comprises applying to the locus thereof a herbicidally-effective amount of a compound according to Formula I

I



and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is independently C_{1-8} alkyl; C_{3-8} cycloalkyl, cycloalkenyl, cycloalkylalkyl, or cycloalkenylalkyl; C_{2-8} alkenyl or alkynyl; benzyl; and said R_1 members substituted with halogen, amino, nitro, cyano, hydroxy, alkoxy, alkylthio,

$-CYR_8$, $-CR_9$, YR_{10}, or $NR_{11}R_{12}$;

R_2 is C_{1-5} haloalkyl;

R_3 is halogen;

R_4 is an R_1 member, thioalkyl, alkoxyalkyl or polyalkoxyalkyl, carbamyl, halogen, amino, nitro, cyano, hydroxy, C_{1-10} heterocycle containing O, $S(O)_m$ and/or NR_{18} hetero atoms, C_{6-12} aryl, aralkyl or alkaryl,

$-CYR_{13}$, $-CR_{14}$, YR_{15} or $NR_{16}R_{17}$ group and any two R_4 groups combined through a saturated and/or unsaturated carbon, $-(C=X)-$, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of said R_4 members;

X is O, $S(O)_m$, NR_{19} or $CR_{20}R_{21}$;

Y is O, $S(O)_m$ or NR_{22};

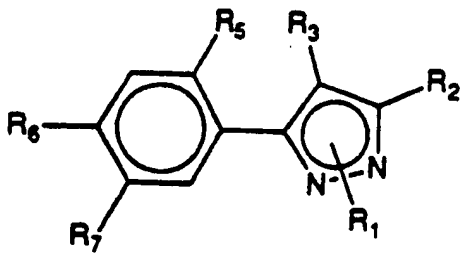
R_{8-22} are hydrogen or one of said R_4 members;

m is 0-2 and

n is 1-5.

22. Method according to Claim 21 where in the substituted phenyl and the resulting compounds are those according to Formula II

II



and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is C_{1-5} alkyl, alkylthio, alkoxyalkyl, C_{2-4} alkenyl, benzyl, which members may optionally be substituted with halogen, amino, nitro, cyano, hydroxy

groups or $-\overset{X}{\overset{\|}{C}}-YR_8$;

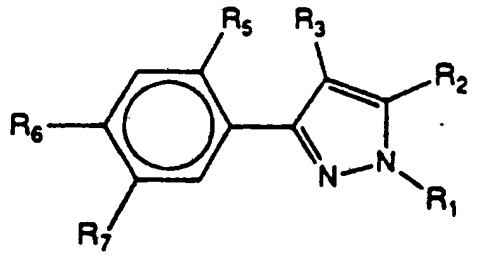
R_2, R_3, X, Y and R_8 are as defined for Formula I;

R_5 is halogen or hydrogen;

R_6 and R_7 are as defined for the R_4 member of Formula I.

23. Method according to Claim 22 where in Formula II the substituted-phenyl member is in the 3-position of the substituted-pyrazole member resulting in compounds according to Formula III:

III



and agriculturally-acceptable salts and hydrates thereof wherein

R_1 is C_{1-5} alkyl;

R_2, R_3 and R_5 are as previously defined;

R_6 is halogen, nitro, cyano, YR_{10} and

R_7 is hydrogen or an R_4 member or

R_6 and R_7 are combined through a saturated and/or unsaturated carbon, -(C=X)-, and/or hetero O, $S(O)_m$ and/or NR_{18} linkage to form a cyclic ring having up to 9 ring members which may be substituted with any of said R_4 members, provided that when said linkage contains $-\overset{O}{\overset{\|}{C}}-NR_{18}-$, said cyclic ring has at least six ring members and

X, Y, R_{18} and m are as previously defined.

24. Method according to Claim 23 where in Formula III

R_1 is methyl;

R_2 is CF_3, CF_2Cl or CF_2H;

R_3 is chloro or bromo;

R_5 is fluoro;

R_6 is chloro;

R_7 is propargyloxy, allyloxy, polyalkoxy, $OCH(R_{23})COR_{24}$ where R_{23} is hydrogen, methyl or ethyl and R_{24} is YR_{10} or $NR_{11}R_{12}$;

R_6 and R_7 are combined through an $-OCH_2(C=O)N(R_{18})-$linkage to give a fused six-member ring and

Y, R_{10}-R_{12} and R_{18} are as previously defined.

25. Method according to Claim 24 wherein said compound is selected from the group consisting of

4-Chloro-3-(4-chloro-2-fluoro-5-progargyl-oxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

2-(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-propanoic acid, ethyl ester,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, 1-methylethyl ester,

4-Chloro-3-(4-chloro-2-fluoro-5-(methoxymethoxy)phenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

4-Chloro-3-(4-chloro-2-fluoro-5-(methoxyethoxy)phenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, 1,1-dimethylethyl ester,

(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)-acetic acid,

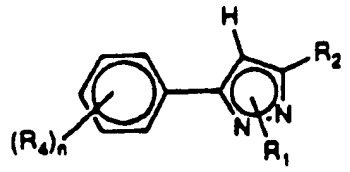
2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-ethoxy-1-methyl-2-oxoethyl ester,
2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-methoxy-1-methyl-2-oxoethyl ester,
2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, ethyl ester,
2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 1-methylethyl ester and
6-(4-Chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3-(4H)-one.

26. Method according to Claim 24 wherein said compound according to Formula III is selected from the group consisting of

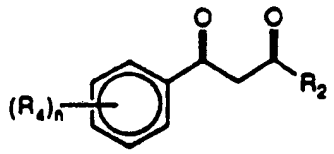
4-Chloro-3-(4-chloro-2-fluoro-5-propargyloxyphenyl)-1-methyl-5-(trifluoromethyl)-1H-pyrazole,
(2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorophenoxy)acetic acid, 1-methylethyl ester.
2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 2-methoxy-1-methyl-2-oxoethyl ester,
2-Chloro-5-(4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-4-fluorobenzoic acid, 1-methylethyl ester and
6-(4-Chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-7-fluoro-4-(2-propynyl)-2H-1,4-benzoxazin-3-(4H)-one.

27. Method according to Claim 24 wherein said crops are soybeans, cotton, corn, wheat or barley.

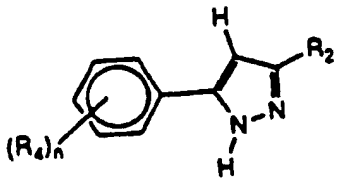
28. Process for preparing compounds of Formula B

B 

which comprises reacting a compound of Formula A

A 

with a substituted or unsubstituted hydrazine; provided that when the hydrazine is unsubstituted, the resulting compound of Formula C is

C 

reacted with an alkylating agent and wherein in the above formulae, R_1, R_2, R_4 and n are as previously defined.

29. Process according to Claim 28 wherein the major regioisomer compound of Formula B is a 1-alkyl-3-aryl-5-haloalkylpyrazole compound.

30. Process according to Claim 29 wherein the alkylating reaction is conducted in the absence of a base.

31. Process according to Claim 30 wherein

R_1 is methyl;

R_2 is CF_3, CF_2Cl or CF_2H and

R_4 is as previously defined.

32. Process according to Claim 31 wherein $(R_4)_n$ is independently halogen, nitro, YR_{15} and C_{1-5} alkyl, wherein R_{15} is as previously defined and n is an integer from 1-3.

33. Process for preparing compounds according to Formula I which comprises reacting compounds according to Formula B with a halogenating agent, wherein R_1-R_4 and n are as previously defined.

34. Process according to Claim 33 wherein said compounds according to Formula I are compounds according to Formula III, wherein R_1-R_7 are as previously defined; provided that R_6 can also be hydrogen.

35. Process according to Claim 34 wherein

R_1 is methyl;

R_2 is CF_3, CF_2Cl or CF_2H;

R_3 is chloro or bromo;

R_5 is fluoro and

R_6 and R_7 are as previously defined.

36. Process for the preparation of compounds of Formula III wherein R_1, R_2, R_3 and R_5 are as previously defined, which comprises reacting the corresponding precursor compound of Formula III wherein R_6 or R_7 is -YH or $-NR_{16}R_{17}$, wherein Y, R_{16} and R_{17} are as previously defined, with an alkylating or acylating agent.

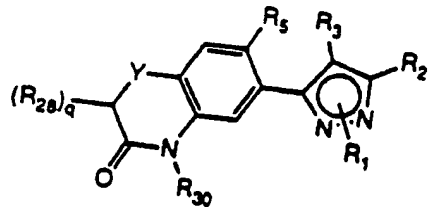
37. Process according to Claim 36 wherein the reaction is an alkylation.

38. Process according to Claim 37 wherein in said compound of Formula III R_7 is $-YR_{15}$ wherein R_{15} is alkyl, alkenyl, alkynyl, alkoxy or polyalkoxy having up to 10 carbon atoms or R_7 is $-YCH_{2-p}(R_{25})_pCOYR_{27}$, wherein p is 0-2, Y is as defined for Formula I and R_{25} and R_{27} are an R_4 member as defined for Formula I.

39. Process according to Claim 38 wherein in said precursor of Formula III, R_7 is OH and in Formula III, R_7 is propargyloxy, $OCH(CH_3)CO_2C_2H_5$, $OCH_2CO_2CH(CH_3)_2$ or OCH_2CO_2H.

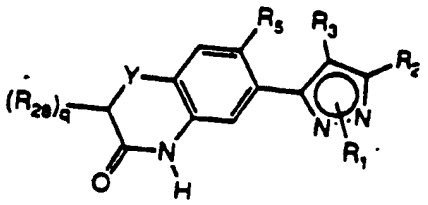
40. Process for the preparation of compounds of Formula III represented by compounds according to Formula N

N



which comprises alkylating a compound of Formula M

M



wherein R_1-R_3, R_5, R_{28}, R_{30} and q are as previously defined.

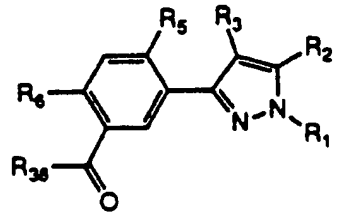
41. Process according to Claim 40 wherein R_{30} is an alkyl, alkenyl or alkynyl radical having up to 5 carbon atoms or said radicals substituted with

$-\overset{\overset{X}{\|}}{C}-YR_{13}$, wherein X, Y and R_{13} are as previously defined.

42. Process according to Claim 41 wherein R_{30} is propargyl.

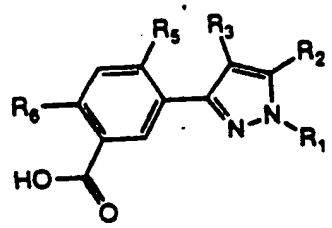
43. Process for the preparation of compounds of Formula III represented by compounds according to Formula Z.

Z



which comprises the derivatization of compounds according to Formula Y,

Y



wherein R_1-R_3, R_5, R_6 and R_{38} are as previously defined.

44. Process according to Claim 43 wherein in Formula Z, R_{38} is OC_{1-5} alkyl, optionally substituted with $-\overset{X}{\overset{\|}{C}}-YR_3$, wherein X, Y and R_{13} are as previously defined.

45. Process according to Claim 44 wherein R_{38} is $OCH(CH_3)_2$ or $OCH(CH_3)CO_2CH_3$.

INTERNATIONAL SEARCH REPORT

International Application N. PCT/US 91/07521

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all)[6]

According to International Patent Classification (IPC) or to both National Classification and IPC

Int.Cl. 5 C07D231/16; A01N43/56

II. FIELDS SEARCHED

Minimum Documentation Searched[7]

Classification System	Classification Symbols
Int.Cl. 5	C07D ; A01N

Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched[8]

III. DOCUMENTS CONSIDERED TO BE RELEVANT[9]

Category °	Citation of Document, [11] with indication, where appropriate, of the relevant passages [12]	Relevant to Claim No.[13]
A	EP,A,0 290 904 (BAYER AKTIENGESELLSCHAFT) 17 November 1988 ---	
A	EP,A,0 289 879 (MITSUBISHI CHEMICAL INDUSTRIES LIMITED) 9 November 1988 ---	
A	EP,A,0 269 806 (HOECHST AKTIENGESELLSCHAFT) 8 June 1988 ---	

° Special categories of cited documents : [10]

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

IV. CERTIFICATION

Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report
19 FEBRUARY 1992	18. 03. 92
International Searching Authority	**Signature of Authorized Officer**
EUROPEAN PATENT OFFICE	DE BUYSER I.A.F

Form PCT/ISA/210 (second sheet) (January 1985)

ANNEX TO THE INTERNATIONAL SEARCH REPORT ON INTERNATIONAL PATENT APPLICATION NO. US 9107521 SA 53557

This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report. The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information. 19/02/92

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP-A-0290904	17-11-88	DE-A- 3715703	24-11-88
		JP-A- 63287768	24-11-88
EP-A-0289879	09-11-88	JP-A- 1025763	27-01-89
		US-A- 4950668	21-08-90
		ZA-A- 8802738	17-10-88
EP-A-0269806	08-06-88	DE-A- 3633840	14-04-88
		AU-B- 610085	16-05-91
		AU-A- 7930887	14-04-88
		JP-A- 63091373	22-04-88
		US-A- 4891057	02-01-90